09483504.8 Page 1286

RN 6640-47-7 CAPLUS CN 2,3-Quinoxalinediamine (9CI) (CA INDEX NAME)

RN 6640-47-7 CAPLUS CN 2,3-Quinoxalinediamine (9CI) (CA INDEX NAME)

RN 115722-45-7 CAPLUS
CN 2-Quinoxalinamine, diperchlorate (9CI) (CA INDEX NAME)
CM 1

CRN 7601-90-3 CMF Cl H O4

CM 2

CRN 5424-05-5 CMF C8 H7 N3

RN 115747-27-8 CAPLUS

CN 2-Quinoxalinamine, monoperchlorate (9CI) (CA INDEX NAME)

CM 1

CRN 7601-90-3 CMF Cl H O4

CM 2

CRN 5424-05-5 CMF C8 H7 N3

AB Stationary and time-resolved luminescence methods were used to investigate various protonated forms of 2-aminoquinoxaline and 2,3-diaminoquinoxaline. H+ attachment to 2-aminoquinoxaline monocation was discovered in the 1st excited singlet electronic state. Three different protonated structures of 2,3-diaminoquinoxaline were obsd.

L3 ANSWER 639 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1988:473395 CAPLUS

DN 109:73395

TI Dissymmetry of certain substituted dipyridotetraazapentalenes.

AU Pereira, David E.; Clauson, Gary L.; Leonard, Nelson J.

CS Sch. Chem. Sci., Univ. Illinois, Urbana, IL, 61801, USA

SO Tetrahedron (1987), 43(21), 4931-46

CODEN: TETRAB; ISSN: 0040-4020

DT Journal

LA English

OS CASREACT 109:73395

AU Trujillo, William A.

CS Velsicol Chem. Corp., Chicago, IL, 60611, USA

SO Journal of Liquid Chromatography (1980), 3(8), 1219-26 CODEN: JLCHD8; ISSN: 0148-3919

DT Journal

LA English

IT 59-40-5

RL: ANT (Analyte); ANST (Analytical study)

(detn. of, in rodenticide concs., by high-performance lig. chromatog.)

RN 59-40-5 CAPLUS

CN Benzenesulfonamide, 4-amino-N-2-quinoxalinyl- (9CI) (CA INDEX NAME)

GΙ

- AB Warfarin (I) [81-81-2] and sulfaquinoxaline [59-40-5] are active ingredients in formulated rodenticide concs. They are solvent-extd.; after injection into a liq. chromatograph, a simple buffered mobile phase is used to elute I as a paired ion and sulfaquinoxaline as an ion-suppressed nonionic species by reverse phase chromatog. A variable wavelength UV detector and an external std. calibration were used for quantitation.
- L3 ANSWER 857 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1980:568226 CAPLUS
- DN 93:168226
- TI Alkynyl- and dialkylnylquinoxalines. Synthesis of condensed quinoxalines
- AU Ames, Donald E.; Brohi, M. Ismail
- CS Chem. Dep., Chelsea Coll., London, SW3 6LX, UK

Ι

- Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1980), (7), 1384-9 CODEN: JCPRB4; ISSN: 0300-922X
- DT Journal
- LA English
- IT 75163-28-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and condensation reaction of, with amines)

RN 75163-28-9 CAPLUS

CN 3-Butyn-1-ol, 4-[3-(ethylamino)-2-quinoxalinyl]- (9CI) (CA INDEX NAME)

 $\mathcal{H}_{\mathrm{T}}$ 

63666-09-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, by condensation of amine with chloroquinoxaline)

RN 63666-09-1 CAPLUS

CN 2,3-Quinoxalinediamine, N,N'-dimethyl- (9CI) (CA INDEX NAME)

IT 75163-44-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, by condensation of amine with quinoxaline alkyne)

RN 75163-44-9 CAPLUS

CN 2-Quinoxalinamine, N-ethyl-3-[2-(ethylamino)-2-phenylethenyl]- (9CI) (CA INDEX NAME)

IT 25980-21-6P 75163-27-8P 75163-29-0P

75163-78-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, by condensation reaction of amine with chloroquinoxaline)

RN 25980-21-6 CAPLUS

CN Ethanol, 2,2'-(2,3-quinoxalinediyldiimino)bis- (9CI) (CA INDEX NAME)

RN 75163-27-8 CAPLUS

CN 2-Quinoxalinamine, N-ethyl-3-(phenylethynyl)- (9CI) (CA INDEX NAME)

09483504.8 Page 1544

RN 75163-29-0 CAPLUS

CN 2-Quinoxalinamine, N-methyl-3-(phenylethynyl)- (9CI) (CA INDEX NAME)

RN 75163-78-9 CAPLUS

CN Ethanol, 2-[[3-[2-[(2-hydroxyethyl)amino]-2-phenylethenyl]-2-quinoxalinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} & \\ & | \\ \text{CH} = \text{C-NH-CH}_2\text{-CH}_2\text{-OH} \\ \\ & \text{NH-CH}_2\text{-CH}_2\text{-OH} \\ \end{array}$$

GI

AB Condensation of 2-chloro- and 2,3-dichloroquinoxalines I (R = Cl, Rl = H, Cl) with alk-1-ynes in the presence of (Ph3P)2PdCl2 and CuI gave mono- and dialkynylquinoxalines I (R = alkynyl, Rl = H, alkynyl) (II). Addn. of amines to II gave stable enamines, and hydration of II gave 2'-oxoalkyl compds. existing predominantly in the enol form due to intramol. H bonding, e.g. I [R = CH:C(OH)Ph, Rl = H]. Condensation of II with CH2(CO2Et)2 and related compds. gave pyrido[1,2-a]quinoxalin-4-ones. (e.g. III). Pyrrolo[2,3-b]quinoxalines (e.g. IV) were prepd. from I (R = alkynyl, Rl = Cl).

IV

10018688.13 Page 2

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

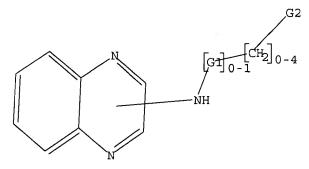
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> Uploading 09483504.5

L1 STRUCTURE UPLOADED

=> D L1 L1 HAS NO ANSWERS L1 STR



G1 C,S,N,CH2,SO2,NH2 G2 H,Cb,Cy,Hy,Ak

Structure attributes must be viewed using STN Express query preparation.

=> S L1 SSS FULL
FULL SEARCH INITIATED 14:43:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 117338 TO ITERATE

100.0% PROCESSED 117338 ITERATIONS SEARCH TIME: 00.00.03

5088 ANSWERS

L2 5088 SEA SSS FUL L1

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SINCE FILE TOTAL ENTRY SESSION 148.55 148.76

FULL ESTIMATED COST

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FILE COVERS 1907 - 9 Nov 2003 VOL 139 ISS 20 FILE LAST UPDATED: 7 Nov 2003 (20031107/ED)

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L3 1519 L2

=> S L3 AND glp-1

L4 1 L3 AND GLP-1

=> D L4 F BIB HITSTR ABS TOTAL
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APPS ----- AI, PRAI

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CBIB ----- AN, plus Compressed Bibliographic Data

DALL ----- ALL, delimited (end of each, field identified)

DMAX ----- MAX, delimited for post-processing

FAM ----- AN, PI and PRAI in table, plus Patent Family data

FBIB ----- AN, BIB, plus Patent FAM

IND ----- Indexing data

IPC ----- International Patent Classifications

MAX ----- ALL, plus Patent FAM, RE

PATS ----- PI, SO

SAM ----- CC, SX, TI, ST, IT

SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;

SCAN must be entered on the same line as the DISPLAY, e.g., D SCAN or DISPLAY SCAN) STD ----- BIB, IPC, and NCL IABS ----- ABS, indented with text labels IALL ----- ALL, indented with text labels IBIB ----- BIB, indented with text labels IMAX ----- MAX, indented with text labels ISTD ----- STD, indented with text labels OBIB ----- AN, plus Bibliographic Data (original) OIBIB ----- OBIB, indented with text labels SBIB ----- BIB, no citations SIBIB ----- IBIB, no citations HIT ----- Fields containing hit terms HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT) containing hit terms HITRN ----- HIT RN and its text modification HITSTR ----- HIT RN, its text modification, its CA index name, and its structure diagram HITSEQ ----- HIT RN, its text modification, its CA index name, its structure diagram, plus NTE and SEQ fields FHITSTR ---- First HIT RN, its text modification, its CA index name, and its structure diagram FHITSEQ ---- First HIT RN, its text modification, its CA index name, its structure diagram, plus NTE and SEQ fields KWIC ----- Hit term plus 20 words on either side OCC ----- Number of occurrence of hit term and field in which it occurs

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- L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2000:493530 CAPLUS
- DN 133:89542
- TI Preparation of quinoxalines as non-peptide **GLP-1** agonists
- IN Teng, Min; Truesdale, Larry Kenneth; Bhumralkar, Dilip; Kiel, Dan; Johnson, Michael D.; Thomas, Christine; Jorgensen, Anker Steen; Madsen, Peter; Olesen, Preben Houlberg; Knudsen, Liselotte Bjerre; Petterson, Ingrid Vivika; Cornelis De Jong, Johannes; Behrens, Carsten; Kodra, Janos Tibor; Lau, Jesper
- PA Novo Nordisk A/S, Den.; Agouron Pharmaceuticals, Inc.
- SO PCT Int. Appl., 194 pp.
- CODEN: PIXXD2
- DT Patent
- LA English

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                                            APPLICATION NO. DATE
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     WO 2000042026
                       A1 20000720
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     Preparation of quinoxalines as non-peptide GLP-1
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     Novo Nordisk A/S, Den.; Agouron Pharmaceuticals, Inc.
SO
     PCT Int. Appl., 194 pp.
     CODEN: PIXXD2
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LA.
     English
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                                                                A 19990115
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                                               DK 1999-41
                                               WO 2000-DK14
                                                                W 20000114
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     281209-24-3P 281209-25-4P 281209-26-5P
     281209-52-7P 281209-54-9P 281209-71-0P
     281209-72-1P 281209-73-2P 281209-74-3P
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     281210-07-9P 281210-08-0P 281210-10-4P
     281210-11-5P 281210-14-8P 281210-15-9P
     281211-09-4P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
         (prepn. of quinoxalines as non-peptide GLP-1
        agonists)
RN
     281209-24-3 CAPLUS
CN
     2-Quinoxalinamine, 6,7-dichloro-3-(2-furanyl)-N-2-propynyl- (9CI) (CA
     INDEX NAME)
Cl
                  NH-CH_2-C=CH
```

Ethanol, 2-[[6,7-dichloro-3-(2-furanyl)-2-quinoxalinyl]amino]- (9CI)

RN CN 281209-25-4 CAPLUS

INDEX NAME)

10018688.13 Page 7

$$C1$$
 $N$ 
 $NH-CH_2-CH_2-OH$ 

RN 281209-26-5 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & \parallel & \\ S-Me \\ & \parallel & \\ O \\ NH_2 \end{array}$$

RN 281209-52-7 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1-methylethyl)-3-(methylsulfonyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & & & \\ & & \\ C1 & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 281209-54-9 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-ethyl-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 281209-71-0 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1,1-dimethylethyl)-3-(methylsulfonyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & & & \\ & \parallel \\ S-\text{Me} \\ \parallel \\ O \\ NHBu-t \end{array}$$

10018688.13

Page 8

RN 281209-72-1 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(2-methylpropyl)-3-(methylsulfonyl)(9CI) (CA INDEX NAME)

RN 281209-73-2 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-(methylsulfonyl)-N-(tetrahydro-1,1-dioxido-3-thienyl)- (9CI) (CA INDEX NAME)

RN 281209-74-3 CAPLUS

CN Acetamide, N-[2-[[6,7-dichloro-3-(methylsulfonyl)-2-quinoxalinyl]amino]ethyl]- (9CI) (CA INDEX NAME)

RN 281209-75-4 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1-methyl-1-phenylethyl)-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 281209-77-6 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-(methylsulfonyl)-N-(1,2,3,4-tetrahydro-1-

10018688.13

Page 9

naphthalenyl) - (9CI) (CA INDEX NAME)

RN 281209-82-3 CAPLUS

CN Hydrazinecarboxamide, 2-[6,7-dichloro-3-(methylsulfonyl)-2-quinoxalinyl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 281209-83-4 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1-methylethyl)-3-(methylsulfonyl)-8-nitro-(9CI) (CA INDEX NAME)

RN 281209-84-5 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1,1-dimethylethyl)-3-[[(6-fluoro-4H-1,3-benzodioxin-8-yl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)

10018688.13 Page 10

RN 281209-85-6 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-[[[4-(difluoromethoxy)phenyl]methyl]sulf onyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 281209-97-0 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-cyclopropyl-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 281209-98-1 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-cyclopentyl-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{C1} & & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

## 10018688.13 Page 11

RN 281210-00-2 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1-methylethyl)-3-[(1-methyl-1H-imidazol-2-yl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 281210-01-3 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1-methylpropyl)-3-(methylsulfonyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & & \\ & & \\ C1 & & \\ & &$$

RN 281210-02-4 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-[[(6-fluoro-4H-1,3-benzodioxin-8-yl)methyl]sulfonyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 281210-03-5 CAPLUS

CN 2H-Azepin-2-one, 3-[[6,7-dichloro-3-(methylsulfonyl)-2-quinoxalinyl]amino]hexahydro- (9CI) (CA INDEX NAME)

10018688.13

Page 12

$$\begin{array}{c|c} C1 & & & \\ & N & \\ & NH & \\ & NH & \\ & S-Me \\ & \\ & O \end{array}$$

RN 281210-04-6 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1-ethylpropyl)-3-(methylsulfonyl)-(9CI) (CA INDEX NAME)

RN 281210-05-7 CAPLUS

CN 2-Quinoxalinamine, 7-chloro-N-(1-methylpropyl)-3-(methylsulfonyl)-6-nitro-(9CI) (CA INDEX NAME)

RN 281210-06-8 CAPLUS

CN 2-Quinoxalinamine, 6-chloro-N-(1-methylethyl)-3-(methylsulfonyl)-7-nitro-8-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 281210-07-9 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1,1-dimethylpropyl)-3-(methylsulfonyl)-(9CI) (CA INDEX NAME)

RN 281210-08-0 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-[[[4-(difluoromethoxy)phenyl]methyl]sulfonyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 281210-10-4 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1,1-dimethylethyl)-3-[(1-methyl-1H-imidazol-2-yl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 281210-11-5 CAPLUS

CN 2-Quinoxalinamine, 5-chloro-N-(1,1-dimethylethyl)-3-(methylsulfonyl)-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 281210-14-8 CAPLUS

10018688.13

Page 14

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1-methylethyl)-3-nitro-(9CI) (CA INDEX NAME)

RN 281210-15-9 CAPLUS

CN 2-Quinoxalinamine, N-(1,1-dimethylethyl)-3-(methylsulfonyl)-6,7-dinitro-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O_2N & N & NHBu-t \\ O_2N & N & NHBu-t \\ N & N & NHBu-t \\ O_2N & N & NHBu-t \\ O_3N & NHBu-t \\ O_4N & O_4N & O_4N \\ O_5N & O_4N & O_4N \\ O_6N & O_6N & O_6N \\ O_6N & O_6N$$

RN 281211-09-4 CAPLUS

CN 2-Quinoxalinamine, 3,6,7-trichloro-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

IT 209743-15-7 281210-92-2 281210-98-8

281211-00-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of quinoxalines as non-peptide GLP-1
 agonists)

RN 209743-15-7 CAPLUS

CN 2-Quinoxalinamine, 3,6,7-trichloro- (9CI) (CA INDEX NAME)

RN 281210-92-2 CAPLUS

CN 2-Quinoxalinamine, 3,6,7-trichloro-N-(1-methylethyl)-8-nitro- (9CI) (CA INDEX NAME)

10018688.13 Page 15

RN 281210-98-8 CAPLUS

CN 2,3-Quinoxalinediamine, 6,7-dichloro-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 281211-00-5 CAPLUS

CN Sulfilimine, N-[6,7-dichloro-3-[(1-methylethyl)amino]-2-quinoxalinyl]-S,S-dimethyl- (9CI) (CA INDEX NAME)

IT 281210-62-6P 281210-64-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of quinoxalines as non-peptide **GLP-1** agonists)

RN 281210-62-6 CAPLUS

CN 2(1H)-Quinoxalinethione, 6,7-dichloro-3-[(1-methylethyl)amino]- (9CI) (CA INDEX NAME)

RN 281210-64-8 CAPLUS

CN 2(1H)-Quinoxalinethione, 6,7-dichloro-3-[(1,1-dimethylethyl)amino]- (9CI) (CA INDEX NAME)

10018688.13 Page 16

GI

$$R^2$$
 $X$ 
 $L-A$ 
 $M-B$ 
 $R^4$ 

The title compds. I [R1, R2, R3, R4 independently = H, halogen, CN, CF3, NO2, OR5, lower alkyl, SR5, S(O2)NR5R6, etc (a proviso is given); A, B = H, halogen, OH, CF3, CF2CF3, CN, NO2, alkyl, alkenyl, etc; L, M = (CH2)mS(CH2)n, (CH2)mO(CH2)n, (CH2)mS(O)(CH2)n, (CH2)mS(O)2(CH2)n, etc; X, V = :N or :CD; D = H, halogen, CN, CF3, NO2, etc; m, n independently = 0, 1, 2, 3, or 4 ] useful as non-peptide GLP-1 agonists for the treatment and/or prevention of disorders and diseases wherein an activation of the human GLP-1 receptor is beneficial, esp. metabolic disorders such as Type 1 diabetes, Type 2 diabetes and obesity (no data), are prepd. Formulations are given.

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> LOG Y COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 11.07 159.83 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL **ENTRY** SESSION CA SUBSCRIBER PRICE -0.65 -0.65

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NEWS 11 SEP 25 INPADOC: Legal Status data to be reloaded

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STRUCTURE FILE UPDATES: 7 NOV 2003 HIGHEST RN 614290-14-1 DICTIONARY FILE UPDATES: 7 NOV 2003 HIGHEST RN 614290-14-1

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L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STR

G1  $CH_2$  0  $SQ_2$  NH 0 1 NH

G1 Cb,Cy,Hy G2 C,H,O,CH2,CH,CF3,CC13,CBr3,PhO,NH,NH2,X,Cy,Ak,Ph

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss full FULL SEARCH INITIATED 11:50:32 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 21589 TO ITERATE

100.0% PROCESSED 21589 ITERATIONS SEARCH TIME: 00.00.01

273 ANSWERS

L2 273 SEA SSS FUL L1

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FULL ESTIMATED COST

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FILE COVERS 1907 - '9 Nov 2003 VOL 139 ISS 20 FILE LAST UPDATED: 7 Nov 2003 (20031107/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 62 L2

=> s 13 and cancer

L4 4 L3 AND CANCER

=> s 13 and cancer and diabetes and arthritis and hematoma
L5 0 L3 AND CANCER AND DIABETES AND ARTHRITIS AND HEMATOMA

=> d l4 fbib hitstr abs total

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:581738 CAPLUS

DN 135:175421

TI Integrin expression inhibitors

IN Wakabayashi, Toshiaki; Funahashi, Yasuhiro; Hata, Naoko; Semba, Taro; Yamamoto, Yuji; Haneda, Toru; Owa, Takashi; Tsuruoka, Akihiko; Kamata, Junichi; Okabe, Tadashi; Takahashi, Keiko; Nara, Kazumasa; Hamaoka, Shinichi; Ueda, Norihiro

PA Eisai Co., Ltd., Japan

SO PCT Int. Appl., 153 pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

Patel <11/9/2003>

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WO 2001056607
ΡI
                            20010809
                                           WO 2001-JP713
                     A1
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             PT, SE, TR
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                                           JP 2000-402084 A 20001228
                                           AU 2001-28867
    AU 2001028867
                       Α5
                            20010814
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     EP 1258252
                       A1
                            20021120
                                           EP 2001-948941
                                                            20010201
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    NO 2002003688
                       Α
                            20021003
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                                           JP 2000-402084 A 20001228
                                           WO 2001-JP713 W 20010201
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     347145-71-5P 347145-72-6P 347145-73-7P
     347145-74-8P 347145-75-9P 347145-76-0P
     347146-10-5P
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     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (integrin expression inhibitors for medical uses)
RN
     347145-20-4 CAPLUS
CN
     3-Pyridinesulfonamide, N-(8-bromo-3-quinolinyl)- (9CI) (CA INDEX NAME)
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RN 347145-21-5 CAPLUS

CN 3-Pyridinesulfonamide, N-(5-bromo-3-quinolinyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 347145-22-6 CAPLUS

CN 3-Pyridinesulfonamide, 6-amino-N-(8-bromo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-23-7 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-4-cyano- (9CI) (CA INDEX NAME)

RN 347145-24-8 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-bromo-3-quinolinyl)-6-chloro- (9CI) (CA INDEX NAME)

RN 347145-25-9 CAPLUS

CN 1,4-Benzenedisulfonamide, N-(8-bromo-3-quinolinyl)-N'-ethyl- (9CI) (CA INDEX NAME)

RN 347145-26-0 CAPLUS

CN 2-Pyridinesulfonamide, N-(8-bromo-3-quinolinyl)-5-cyano- (9CI) (CA INDEX NAME)

RN 347145-27-1 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-cyano-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-28-2 CAPLUS

CN Benzenesulfonamide, 4-cyano-N-(8-cyano-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-29-3 CAPLUS

CN 3-Pyridinesulfonamide, N-(5-bromo-2-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-30-6 CAPLUS

CN 1H-Indene-5-sulfonamide, N-(8-bromo-3-quinolinyl)-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 347145-31-7 CAPLUS

CN 1H-Indole-5-sulfonamide, 1-acetyl-2,3-dihydro-N-(8-iodo-3-quinolinyl)-(9CI) (CA INDEX NAME)

RN 347145-32-8 CAPLUS

CN 3-Quinolinesulfonamide, N-(8-bromo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-33-9 CAPLUS

CN 6-Quinolinesulfonamide, 1-acetyl-N-(8-bromo-3-quinolinyl)-1,2,3,4-tetrahydro-(9CI) (CA INDEX NAME)

RN 347145-34-0 CAPLUS

CN 4-Isoquinolinesulfonamide, N-(8-iodo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-35-1 CAPLUS

CN Benzenesulfonamide, 4-cyano-N-(8-iodo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-36-2 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-iodo-3-quinolinyl)- (9CI) (CA INDEX NAME)

Patel

<11/9/2003>

RN 347145-37-3 CAPLUS

CN Benzenesulfonamide, N-(5-bromo-2-quinolinyl)-4-cyano- (9CI) (CA INDEX NAME)

RN 347145-38-4 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-bromo-3-quinolinyl)-6-ethyl- (9CI) (CA INDEX NAME)

RN 347145-39-5 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-40-8 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-chloro-3-quinolinyl)-6-ethyl- (9CI) (CA INDEX NAME)

Patel <11/9/2003>

RN 347145-41-9 CAPLUS

CN 3-Pyridinesulfonamide, N-(5-chloro-2-quinolinyl)-6-ethyl- (9CI) (CA INDEX NAME)

RN 347145-42-0 CAPLUS

CN Benzenesulfonamide, N-(8-chloro-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-43-1 CAPLUS

CN Benzenesulfonamide, N-(5-chloro-2-quinolinyl)-4-cyano- (9CI) (CA INDEX NAME)

RN 347145-44-2 CAPLUS

CN Benzenesulfonamide, N-(5-chloro-2-quinolinyl)-4-methyl- (9CI) (CA INDEX

Patel <11/9/2003>

NAME)

RN 347145-45-3 CAPLUS

CN 1,4-Benzenedisulfonamide, N-(5-chloro-2-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-46-4 CAPLUS

CN 1,4-Benzenedisulfonamide, N-(5-bromo-2-quinolinyl)-N'-ethyl- (9CI) (CA INDEX NAME)

RN 347145-47-5 CAPLUS

CN Benzenesulfonamide, N-(8-chloro-3-quinolinyl)-3-cyano- (9CI) (CA INDEX NAME)

Patel

<11/9/2003>

RN 347145-48-6 CAPLUS

CN Benzenesulfonamide, N-(8-chloro-3-quinolinyl)-3-methyl- (9CI) (CA INDEX NAME)

RN 347145-49-7 CAPLUS

CN 1,3-Benzenedisulfonamide, N-(8-chloro-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-50-0 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-methyl-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-51-1 CAPLUS

CN Benzenesulfonamide, 4-cyano-N-(8-methyl-3-quinolinyl)- (9CI) (CA INDEX NAME)

Patel . <11/9/2003>

RN 347145-52-2 CAPLUS

CN 3-Pyridinesulfonamide, N-(6-chloro-8-cyano-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-53-3 CAPLUS

CN Benzenesulfonamide, N-(8-chloro-3-quinolinyl)-4-cyano- (9CI) (CA INDEX NAME)

RN 347145-54-4 CAPLUS

CN 1,4-Benzenedisulfonamide, N-(8-chloro-3-quinolinyl)-N'-ethyl- (9CI) (CA INDEX NAME)

RN 347145-55-5 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-chloro-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-56-6 CAPLUS

CN 2,5-Pyridinedisulfonamide, N-(8-chloro-3-quinolinyl)-N'-ethyl- (9CI) (CA INDEX NAME)

RN 347145-57-7 CAPLUS

CN Benzenesulfonamide, 4-cyano-N-[8-(trifluoromethyl)-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 347145-58-8 CAPLUS

CN 1,4-Benzenedisulfonamide, N-ethyl-N'-[8-(trifluoromethyl)-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 347145-59-9 CAPLUS

CN 3-Pyridinesulfonamide, N-[8-(trifluoromethyl)-3-quinolinyl]- (9CI) (CA INDEX NAME)

Patel

<11/9/2003>

RN 347145-60-2 CAPLUS

CN 2-Naphthalenesulfonamide, N-(8-chloro-3-quinolinyl)-5,6,7,8-tetrahydro-(9CI) (CA INDEX NAME)

RN 347145-61-3 CAPLUS

CN 5-Benzofuransulfonamide, N-(8-chloro-3-quinolinyl)-2,3-dihydro- (9CI) (CA: INDEX NAME)

RN 347145-62-4 CAPLUS

CN Benzenesulfonamide, N-(8-chloro-4-ethenyl-3-quinolinyl)-4-cyano- (9CI) (CA INDEX NAME)

RN 347145-63-5 CAPLUS

CN 1H-Indole-5-sulfonamide, 1-acetyl-2,3-dihydro-N-[8-(trifluoromethyl)-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 347145-64-6 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-bromo-3-quinolinyl)-6-(methylthio)- (9CI) (CA INDEX NAME)

RN 347145-65-7 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-4-[2-(methylsulfonyl)ethyl]-(9CI) (CA INDEX NAME)

RN 347145-66-8 CAPLUS

CN 1,4-Benzoxathiin-6-sulfonamide, N-(8-bromo-3-quinolinyl)-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 347145-67-9 CAPLUS

CN Acetamide, N-[2-[4-[[(8-bromo-3-quinolinyl)amino]sulfonyl]phenyl]ethyl]- (9CI) (CA INDEX NAME)

RN 347145-68-0 CAPLUS

CN 7-Isoquinolinesulfonamide, 2-acetyl-N-(8-bromo-3-quinolinyl)-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

RN 347145-69-1 CAPLUS

CN 2H-1-Benzothiopyran-6-sulfonamide, N-(8-bromo-3-quinolinyl)-3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 347145-70-4 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-4-[3-(methylsulfonyl)propyl]-(9CI) (CA INDEX NAME)

RN 347145-71-5 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-4-fluoro- (9CI) (CA INDEX NAME)

RN 347145-72-6 CAPLUS

CN 3-Pyridazinesulfonamide, N-(8-bromo-3-quinolinyl)-6-methoxy- (9CI) (CA INDEX NAME)

RN 347145-73-7 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-74-8 CAPLUS

CN 4-Pyridinecarboxamide, 2-[[(8-bromo-3-quinolinyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)

RN 347145-75-9 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-3-methoxy- (9CI) (CA INDEX NAME)

RN 347145-76-0 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-3-hydroxy- (9CI) (CA INDEX NAME)

RN 347146-10-5 CAPLUS

CN 3-Pyridinesulfonamide, N-(5-ethenyl-2-quinolinyl)- (9CI) (CA INDEX NAME)

 $H_2C = CH$ 

AB Integrin expression inhibitors and remedies for arteriosclerosis, psoriasis, cancer, retinal angiogenesis, diabetic retinitis or inflammatory diseases, anticoagulant agents and cancerous metastasis inhibitors based on the integrin inhibitory effect. Namely, integrin expression inhibitors contg. as the active ingredient sulfonamide compds. represented by the following general formula BKSO2N(R1)ZR, pharmacol. acceptable salts thereof or hydrates of the same wherein B represents optionally substituted C6-10 aryl or 6- to 10-membered heteroaryl wherein the ring may be partly satd.; K represents a single bond, -CH=CH- or -(CR4bR5b)mb- (wherein R4b and R5b may be the same or different and each represents hydrogen or C1-4 alkyl; and mb represents an integer of 1 or 2); R1 represents hydrogen or C1-6 alkyl; Z represents a single bond or CO-NH-; and R represents optionally substituted C6-10 aryl or 6- to 10-membered heteroaryl wherein the ring may be partly satd.

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:489373 CAPLUS

DN 135:76882

- TI Preparation of heterocyclic compounds having sulfonamide groups as inhibitors of angiogenesis
- IN Haneda, Toru; Tsuruoka, Akihiko; Kamata, Junichi; Okabe, Tadashi; Takahashi, Keiko; Nara, Kazumasa; Hamaoka, Shinichi; Ueda, Norihiro; Wakabayashi, Toshiaki; Funahashi, Yasuhiro; Semba, Taro; Hata, Naoko; Yamamoto, Yuji; Ozawa, Yoichi; Tsukahara, Naoko; Owa, Takashi
- PA Eisai Co., Ltd., Japan
- SO PCT Int. Appl., 94 pp. CODEN: PIXXD2
- DT Patent
- LA Japanese
- FAN. CNT 1

FAN.CNT 1 PATENT NO.		KIND DATE		APPLICATION NO. DATE	
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		PT, SE,	TR		
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					WO 2000-JP9326 W 20001227
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	_		_		JP 1999-375489 A 19991228

- OS CASREACT 135:76882; MARPAT 135:76882
- IT 347145-24-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of heterocyclic compds. having sulfonamide groups as inhibitors of angiogenesis)

- RN 347145-24-8 CAPLUS
- CN 3-Pyridinesulfonamide, N-(8-bromo-3-quinolinyl)-6-chloro- (9CI) (CA INDEX NAME)

IT 347145-20-4P 347145-21-5P 347145-22-6P 347145-23-7P 347145-25-9P 347145-26-0P

WO 2000-JP9326 W 20001227

347145-27-1P 347145-28-2P 347145-29-3P 347145-30-6P 347145-31-7P 347145-32-8P 347145-33-9P 347145-34-0P 347145-35-1P 347145-36-2P 347145-37-3P 347145-38-4P 347145-39-5P 347145-40-8P 347145-41-9P 347145-42-0P 347145-43-1P 347145-44-2P 347145-45-3P 347145-46-4P 347145-47-5P 347145-48-6P 347145-49-7P 347145-50-0P 347145-51-1P 347145-52-2P 347145-53-3P 347145-54-4P 347145-55-5P 347145-56-6P 347145-57-7P 347145-58-8P 347145-59-9P 347145-60-2P 347145-61-3P 347145-62-4P 347145-63-5P 347145-64-6P 347145-65-7P 347145-66-8P 347145-67-9P 347145-68-0P 347145-69-1P 347145-70-4P 347145-71-5P 347145-72-6P 347145-73-7P 347145-74-8P 347145-75-9P 347145-76-0P 347146-10-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclic compds. having sulfonamide groups as inhibitors of angiogenesis)

RN 347145-20-4 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-bromo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-21-5 CAPLUS

CN 3-Pyridinesulfonamide, N-(5-bromo-3-quinolinyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 347145-22-6 CAPLUS

CN 3-Pyridinesulfonamide, 6-amino-N-(8-bromo-3-quinoliny1)- (9CI) (CA INDEX NAME)

RN 347145-23-7 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-4-cyano- (9CI) (CA INDEX NAME)

RN 347145-25-9 CAPLUS

CN 1,4-Benzenedisulfonamide, N-(8-bromo-3-quinolinyl)-N'-ethyl- (9CI) (CA INDEX NAME)

RN 347145-26-0 CAPLUS

CN 2-Pyridinesulfonamide, N-(8-bromo-3-quinolinyl)-5-cyano- (9CI) (CA INDEX NAME)

RN 347145-27-1 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-cyano-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-28-2 CAPLUS

CN Benzenesulfonamide, 4-cyano-N-(8-cyano-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-29-3 CAPLUS

CN 3-Pyridinesulfonamide, N-(5-bromo-2-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-30-6 CAPLUS

CN 1H-Indene-5-sulfonamide, N-(8-bromo-3-quinolinyl)-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 347145-31-7 CAPLUS

CN 1H-Indole-5-sulfonamide, 1-acetyl-2,3-dihydro-N-(8-iodo-3-quinolinyl)-(9CI) (CA INDEX NAME)

RN 347145-32-8 CAPLUS

CN 3-Quinolinesulfonamide, N-(8-bromo-3-quinolinyl)- (9CI). (CA INDEX NAME)

RN 347145-33-9 CAPLUS

CN 6-Quinolinesulfonamide, 1-acetyl-N-(8-bromo-3-quinolinyl)-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

RN 347145-34-0 CAPLUS

CN 4-Isoquinolinesulfonamide, N-(8-iodo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-35-1 CAPLUS

CN Benzenesulfonamide, 4-cyano-N-(8-iodo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-36-2 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-iodo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-37-3 CAPLUS

CN Benzenesulfonamide, N-(5-bromo-2-quinolinyl)-4-cyano- (9CI) (CA INDEX NAME)

RN 347145-38-4 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-bromo-3-quinolinyl)-6-ethyl- (9CI) (CA INDEX NAME)

RN 347145-39-5 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-40-8 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-chloro-3-quinolinyl)-6-ethyl- (9CI) (CA INDEX NAME)

RN 347145-41-9 CAPLUS

CN 3-Pyridinesulfonamide, N-(5-chloro-2-quinolinyl)-6-ethyl- (9CI) (CA INDEX NAME)

RN 347145-42-0 CAPLUS

CN Benzenesulfonamide, N-(8-chloro-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-43-1 CAPLUS

CN Benzenesulfonamide, N-(5-chloro-2-quinolinyl)-4-cyano- (9CI) (CA INDEX NAME)

RN 347145-44-2 CAPLUS

CN Benzenesulfonamide, N-(5-chloro-2-quinolinyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 347145-45-3 CAPLUS

CN 1,4-Benzenedisulfonamide, N-(5-chloro-2-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-46-4 CAPLUS

CN 1,4-Benzenedisulfonamide, N-(5-bromo-2-quinolinyl)-N'-ethyl- (9CI) (CA INDEX NAME)

RN 347145-47-5 CAPLUS

CN Benzenesulfonamide, N-(8-chloro-3-quinolinyl)-3-cyano- (9CI) (CA INDEX NAME)

RN 347145-48-6 CAPLUS

CN Benzenesulfonamide, N-(8-chloro-3-quinolinyl)-3-methyl- (9CI) (CA INDEX NAME)

RN 347145-49-7 CAPLUS

CN 1,3-Benzenedisulfonamide, N-(8-chloro-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-50-0 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-methyl-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-51-1 CAPLUS

CN Benzenesulfonamide, 4-cyano-N-(8-methyl-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-52-2 CAPLUS

CN 3-Pyridinesulfonamide, N-(6-chloro-8-cyano-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-53-3 CAPLUS

CN Benzenesulfonamide, N-(8-chloro-3-quinolinyl)-4-cyano- (9CI) (CA INDEX NAME)

RN 347145-54-4 CAPLUS

CN 1,4-Benzenedisulfonamide, N-(8-chloro-3-quinolinyl)-N'-ethyl- (9CI) (CA INDEX NAME)

RN 347145-55-5 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-chloro-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-56-6 CAPLUS

CN 2,5-Pyridinedisulfonamide, N-(8-chloro-3-quinolinyl)-N'-ethyl- (9CI) (CA INDEX NAME)

RN 347145-57-7 CAPLUS

CN Benzenesulfonamide, 4-cyano-N-[8-(trifluoromethyl)-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 347145-58-8 CAPLUS

CN 1,4-Benzenedisulfonamide, N-ethyl-N'-[8-(trifluoromethyl)-3-quinolinyl]-(9CI) (CA INDEX NAME)

RN 347145-59-9 CAPLUS

CN 3-Pyridinesulfonamide, N-[8-(trifluoromethyl)-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 347145-60-2 CAPLUS

CN 2-Naphthalenesulfonamide, N-(8-chloro-3-quinolinyl)-5,6,7,8-tetrahydro-(9CI) (CA INDEX NAME)

RN 347145-61-3 CAPLUS

CN 5-Benzofuransulfonamide, N-(8-chloro-3-quinoliny1)-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 347145-62-4 CAPLUS

CN Benzenesulfonamide, N-(8-chloro-4-ethenyl-3-quinolinyl)-4-cyano- (9CI) (CA INDEX NAME)

RN 347145-63-5 CAPLUS

CN 1H-Indole-5-sulfonamide, 1-acetyl-2,3-dihydro-N-[8-(trifluoromethyl)-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 347145-64-6 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-bromo-3-quinolinyl)-6-(methylthio)- (9CI) (CA INDEX NAME)

RN 347145-65-7 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-4-[2-(methylsulfonyl)ethyl]-(9CI) (CA INDEX NAME)

RN 347145-66-8 CAPLUS

CN 1,4-Benzoxathiin-6-sulfonamide, N-(8-bromo-3-quinolinyl)-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 347145-67-9 CAPLUS

CN Acetamide, N-[2-[4-[[(8-bromo-3-quinolinyl)amino]sulfonyl]phenyl]ethyl]-(9CI) (CA INDEX NAME)

RN 347145-68-0 CAPLUS

CN 7-Isoquinolinesulfonamide, 2-acetyl-N-(8-bromo-3-quinolinyl)-1,2,3,4-tetrahydro-(9CI) (CA INDEX NAME)

RN 347145-69-1 CAPLUS

CN 2H-1-Benzothiopyran-6-sulfonamide, N-(8-bromo-3-quinolinyl)-3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 347145-70-4 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-4-[3-(methylsulfonyl)propyl]-(9CI) (CA INDEX NAME)

RN 347145-71-5 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-4-fluoro- (9CI) (CA INDEX NAME)

RN 347145-72-6 CAPLUS

CN 3-Pyridazinesulfonamide, N-(8-bromo-3-quinolinyl)-6-methoxy- (9CI) (CA INDEX NAME)

RN 347145-73-7 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-74-8 CAPLUS

CN 4-Pyridinecarboxamide, 2-[[(8-bromo-3-quinolinyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)

RN 347145-75-9 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-3-methoxy- (9CI) (CA INDEX NAME)

RN 347145-76-0 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinoliny1)-3-hydroxy- (9CI) (CA INDEX NAME)

RN 347146-10-5 CAPLUS

CN 3-Pyridinesulfonamide, N-(5-ethenyl-2-quinolinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
N & O \\
NH - S \\
O & O
\end{array}$$

$$H_2C = CH$$

## IT 347146-86-5P 347146-89-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of heterocyclic compds. having sulfonamide groups as inhibitors of angiogenesis)  $\frac{1}{2} \left( \frac{1}{2} \right) = \frac{1}{2} \left( \frac{1}{2} \right) \left( \frac$ 

RN 347146-86-5 CAPLUS

CN 7-Isoquinolinesulfonamide, N-(8-bromo-3-quinolinyl)-1,2,3,4-tetrahydro-2-(trifluoroacetyl)- (9CI) (CA INDEX NAME)

RN 347146-89-8 CAPLUS

CN 2H-1-Benzothiopyran-6-sulfonamide, N-(8-bromo-3-quinolinyl)-3,4-dihydro-(9CI) (CA INDEX NAME)

GΙ

Heterocyclic compds. having sulfonamide or sulfonylurea groups, AB specifically heterocyclic compds. of general formula (I), pharmacol. acceptable salts of the same, or hydrates of both [wherein A is hydrogen, halogeno, optionally halogenated C1-4 alkyl, hydroxy, cyano, (CO)kNR2R3, or optionally substituted C2-4 alkenyl or alkynyl (wherein R2 and R3 are each independently hydrogen or optionally halogenated C1-4 alkyl; k is 0 or 1); B is optionally substituted aryl, monocyclic heteroaryl, or Q1 (wherein the ring Q is an optionally substituted arom. ring contg. 1 or 2 N atoms; the ring M is optionally substituted and unsatd. C5-12 monocyclic or polycyclic ring sharing a double bond with the ring Q and optionally contg. 1-4 heteroatom selected from N, O, and S; the ring Q and M may share a N atom); K is a single bond or (CR4R5)m (wherein R4 and R5 are each independently hydrogen or C1-4 alkyl; m is 1 or 2); T, W, X and Y are each independently =C(D)- (wherein D is hydrogen, halogeno, hydroxy, C1-4 alkyl, halo-C1-4 alkyl, or the like) or nitrogen; U and V are each

independently =C(D)-, nitrogen, oxygen, or CO; Z is a single bond or -CONH-; and R1 is hydrogen or C1-4 alkyl] are prepd. These compds. includes N-quinolinylpyridinesulfonamides, N-quinolinylbenzenesulfonamides , N-quinolinylquinolinesulfonamides, N-quinolinylindolinesulfonamides, N-quinolinylisoquinolinesulfonamides, N-quinolinylbenzofuransulfonamides, N-quinolinyltetrahydronaphthalanesulfonamides, Nquinolinylbenzoxathiansulfonamide, N-quinolinylbenzothiopyransulfonamide, N-isoquinolinylpyridinesulfonamides, N-isoquinolinylbenzenesulfonamides, N-naphthyridinylpyridinesulfonamides, N-naphthyridinylbenzenesulfonamides, N-quinolinylpyridazinesulfonamides, etc. They are useful as therapeutics based on angiogenesis inhibition such as antitumor agents, cancer metastasis inhibitors, and therapeutics for diabetic retinopathy, rheumatic arthritis, and hemangioma. Thus, 5-indansulfonyl chloride was added to a soln. of 3-amino-8-bromoquinoline in pyridine and stirred at room temp. for 30 min to give N-(8-bromoquinolin-3-yl)-5-indansulfonamide II and N-(8-bromoquinolin-3-yl)-6-methoxypyridazine-3-sulfonamide in vitro showed IC50 of 0.04 and 0.53 .mu.g/mL, resp., against angiogenesis in rat aorta.

RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2000:592718 CAPLUS

DN 133:193164

TI Preparation of 2-amino-6-anilinopurines as inhibitors of p34cdc2/cyclin Bcdc13 kinase and protein tyrosine kinase pp60c-src.

IN Imbach, Patricia; Capraro, Hans-Georg; Zimmermann, Jurg; Caravatti, Giorgio; Furet, Pascal; Brill, Wolfgang Karl-Diether

PA Novartis A.-G., Switz.; Novartis-Erfindungen

SO PCT Int. Appl., 100 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PATENT NO.
                     KIND DATE
                                          APPLICATION NO.
                                                            DATE
                            20000824
                                          WO 2000-EP1271
                                                            20000216
    WO 2000049018
                     A1
PI
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            SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
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                                           GB 1999-3762
                                                         A 19990218
                                           CA 2000-2360353 20000216
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                                                        A 19990218
                                           WO 2000-EP1271 W 20000216
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                                           BR 2000-8365
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                                                          A 19990218
                                           WO 2000-EP1271 W 20000216
                            20011114
                                           EP 2000-916840
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                                           GB 1999-3762
                                                         A 19990218
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				WO	2000-EP1271	W	20000216
J	P 2002537300	Т2	20021105	JP	2000-599757		20000216
				GB	1999-3762	Α	19990218
				WO	2000-EP1271	W	20000216
U	S 2002016329	<b>A</b> 1	20020207	US	2001-927322		20010810
				GB	1999-3762	Α	19990218
				WO	2000-EP1271	W	20000216

OS MARPAT 133:193164

## IT 289479-41-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2-amino-6-anilinopurines as inhibitors of p34cdc2/cyclin Bcdc13 kinase and protein tyrosine kinase pp60c-src)

RN 289479-41-0 CAPLUS

CN Benzenesulfonamide, 4-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]-N-3-quinolinyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

GΙ

AB Title compds. [I; q = 1-5; R1 = SONR6R7, SO2NR6R7, aralkylcarbamoyl, etc.; R2 = H, carbamoyl, alkylcarbamoyl; R3 = (substituted) aliphatyl; R5 amino, OH, PhO, alkoxy, acyl, substituted aliphatyl, carbocyclyl, heterocyclyl,

etc.; R4 = H, R5; R4R5, R6R7 = (substituted) alkylene, alkenylene optionally interrupted by O, S, N; R6, R7 = H, aliphatyl, carbocyclyl, heterocyclyl, etc.; with provisos], were prepd. Thus, 6-(4-butylaminosulfonylphenylamino)-2-chloro-9-ethyl-9H-purine, diglyme and cis-2-aminocyclohexanecarboxamide were heated at 160.degree. in a sealed tube to give 32% cis-2-[6-(4-butylaminosulfonylphenylamino)-9-ethyl-9H-purin-2-yl-amino]cyclohexanecarboxylic acid amide. I at 0.001-10 .mu.M inhibited protein tyrosine kinase pp60c-src.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4
     ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     1999:48704 CAPLUS
     130:125071
DN
     Preparation of imidazole-containing quinoline and benzazepine derivatives
ΤI
     as inhibitors of farnesyl protein transferase
IN
     Bhide, Rajeev S.; Ding, Charles Z.; Hunt, John T.; Kim, Soong-Hoon;
     Leftheris, Katerina
     Bristol-Myers Squibb Company, USA
PA
SO
     PCT Int. Appl., 64 pp.
     CODEN: PIXXD2
DT
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LΑ
     English
FAN.CNT 1
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                       KIND DATE
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                                                                DATE
                              19990114
                                              WO 1998-US12549 19980616
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              CM, GA, GN, ML, MR, NE, SN, TD, TG
                                               US 1997-51594P P 19970702
                              20020514
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                                               US 1998-87179
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     EP 994856
                        A1
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                                               EP 1998-930299
                                                                 19980616
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                                               WO 1998-US12549W 19980616
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                                               US 1997-51594P P 19970702
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WO 1998-US12549W 19980616

NO 9906571	Α	20000223	NO 1999-6571 19991230
			US 1997-51594P P 19970702
			WO 1998-US12549W 19980616
US 6602883	B1	20030805	US 2000-566396 · 20000505
			US 1997-51594P P 19970702
			US 1998-87179 A319980529

OS MARPAT 130:125071

IT 53472-21-2P, N-(3-Quinolinyl)benzenesulfonamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of imidazole-contg. quinoline and benzazepine derivs. as inhibitors of farnesyl protein transferase)

RN 53472-21-2 CAPLUS

CN Benzenesulfonamide, N-3-quinolinyl- (9CI) (CA INDEX NAME)

GΙ

Disclosed are quinoline and benzazepine derivs. with an imidazole-contg. sidechain (2 highly general Markush structures given) that inhibit farnesyl protein transferase and the farnesylation of the oncogenic protein Ras. Thus, the compds. are useful as anti-cancer agents, as well as for treatment of other diseases. Thirty synthetic examples are given. For instance, title compd. I was prepd. in 6 steps, namely: (1) lithiation and N-BOC protection of 3-aminoquinoline (100%); (2) partial hydrogenation of 3-(N-BOC-amino)quinoline to give the 1,2,3,4-tetrahydro deriv. (46%); (3) ring-bromination of the latter in the 6-position (75%); (4) reductive alkylation at the 1-position using 4-formylimidazole and NaBH(OAc)3 (93%); (5) acidic removal of the BOC group (93%); and (6) sulfonamidation with 1-naphthalenesulfonyl chloride (47%). Thirteen selected compds. inhibited FPTase with IC50 values from 1 nM to 100 .mu.M.

CN

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RE.CNT 15
              THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
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L4
              4 S L3 AND CANCER
L5
              O S L3 AND CANCER AND DIABETES AND ARTHRITIS AND HEMATOMA
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     ANSWER 1 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
L3
ΑN
     2003:796538 CAPLUS
TΤ
     Preparation of radiolabeled quinolines and quinolinones as metabotropic
     glutamate receptor mGluRl antagonists for use in positron emission
     tomography.
IN
     Lesage, Anne Simone Josephine; Bischoff, Francois Paul; Janssen, Cornelus
     Gerardus Maria; Lavreysen, Hilde
     Janssen Pharmaceutica N.V., Belg.
PA
SO
     PCT Int. Appl., 148 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                   KIND DATE
                                         APPLICATION NO. DATE
                                          -----
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    WO 2003082350
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
            TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ,
            MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
            NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
            GW, ML, MR, NE, SN, TD, TG
                                          EP 2002-76254 A 20020329
IT
     409344-19-0P 409344-20-3P 409344-23-6P
     409344-24-7P 409344-25-8P 409344-26-9P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (prepn. of radiolabeled quinolines and quinolinones as metabotropic
        glutamate receptor mGluR1 antagonists for use in positron emission
        tomoq.)
RN
     409344-19-0 CAPLUS
```

Benzenesulfonamide, 4-chloro-N-[3-ethyl-6-[(4-methoxycyclohexyl)carbonyl]-

2-quinolinyl]- (9CI) (CA INDEX NAME)

RN 409344-20-3 CAPLUS

CN Benzenemethanesulfonamide, N-[3-ethyl-6-[(4-methoxycyclohexyl)carbonyl]-2-quinolinyl]- (9CI) (CA INDEX NAME)

RN 409344-23-6 CAPLUS

CN 2-Naphthalenesulfonamide, N-[3-ethyl-6-[(4-methoxycyclohexyl)carbonyl]-2-quinolinyl]- (9CI) (CA INDEX NAME)

RN 409344-24-7 CAPLUS

CN 4-Isoxazolesulfonamide, N-[3-ethyl-6-[(4-methoxycyclohexyl)carbonyl]-2-quinolinyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 409344-25-8 CAPLUS

CN Benzenesulfonamide, 4-acetyl-N-[3-ethyl-6-[(4-methoxycyclohexyl)carbonyl]-2-quinolinyl]- (9CI) (CA INDEX NAME)

RN 409344-26-9 CAPLUS

CN Benzenesulfonamide, N-[3-ethyl-6-[(4-methoxycyclohexyl)carbonyl]-2-quinolinyl]-4-methyl- (9CI) (CA INDEX NAME)

GΙ

$$R^4$$
 $R^3$ 
 $R^4$ 
 $R^3$ 
 $R^4$ 
 $R^3$ 
 $R^4$ 
 $R^3$ 
 $R^4$ 
 $R^3$ 
 $R^4$ 
 $R^3$ 
 $R^5$ 
 $R^7$ 
 $R^7$ 

AB Radiolabeled title compds. [I, II; X = O, S, C(R6)2, NR7; Y = O, S; R1 = (substituted) alkyl, cycloalkyl, cycloalkylalkyl, thienyl, quinolinyl, etc.; R2 = H, halo, cyano, alkyl, amino, heterocyclyl, etc.; R3, R4 = H, halo, OH, cyano, alkyl, alkoxy, etc.; R2R3 = (CH2)3-6, Z4CH2CH2CH2, Z4CH2CH2, etc.; Z4 = O, S, SO2, NR11; R11 = H, alkyl, PhCH2, alkoxycarbonyl; R3R4 = (CH2)4, CH:CHCH:CH; R5 = H, cycloalkyl, piperidinyl, oxothienyl, tetrahydrothienyl, aralkyl, alkoxyalkyl, etc.; R6 = H, aryl, alkyl, aminoalkyl; R7 = amino, OH], were prepd. Most preferred are radiolabeled compds. in which the radioactive isotope is selected from 3H, 11C and 18F. The invention also relates to their use in a diagnostic method, in particular for marking and identifying a mGluRl receptor in biol. material, as well as to their use for imaging an organ, in particular using positron emission tomog. (PET). Thus, title compd. (III) was prepd. by tritiation of the corresponding bromide in THF using tritium gas and Pd/C catalyst. The purified product showed specific activity of

25 Ci/mmol.

```
L3
     ANSWER 2 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
ΑN
     2003:97401 CAPLUS
DN
     138:153554
     Preparation of quinoline and quinoxaline derivatives as inhibitors of
TI
     factor Xa with therapeutic uses
IN
     Schmitt, Martine; Klotz, Evelyne; Macher, Jean-Paul; Bourguignon,
     Jean-Jacques
PA
     NEURO3D, Fr.
     PCT Int. Appl., 283 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     French
LΑ
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
                     ____
                                           ______
                                          WO 2002-FR2594 20020719
PΙ
     WO 2003010146
                      A1 20030206
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                                            FR 2001-9730
                                                           A 20010720
                            20030124
     FR 2827599
                       A1
                                            FR 2001-9730
                                                             20010720
     MARPAT 138:153554
OS
IT
     495407-29-9P, Methyl 8-Hydroxy-4-(toluene-4-
     sulfonylamino)quinoline-2-carboxylate 495407-30-2P, Methyl
     8-benzyloxy-4-(toluene-4-sulfonylamino)quinoline-2-carboxylate
     495408-51-0P, Methyl 8-Amino-4-(toluene-4-sulfonylamino)quinoline-
     2-carboxylate 495408-53-2P, Methyl 8-nitro-4-(toluene-4-
     sulfonylamino)quinoline-2-carboxylate
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (drug candidate; prepn. of quinoline and quinoxaline derivs. as
        inhibitors of factor Xa with therapeutic uses)
RN
     495407-29-9 CAPLUS
     2-Quinolinecarboxylic acid, 8-hydroxy-4-[[(4-methylphenyl)sulfonyl]amino]-
     , methyl ester (9CI) (CA INDEX NAME)
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RN 495407-30-2 CAPLUS

CN 2-Quinolinecarboxylic acid, 4-[[(4-methylphenyl)sulfonyl]amino]-8-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Ph-CH_2-O & O \\ \hline & N & C-OMe \\ \hline & NH & \\ \hline & O & S & O \\ \hline & Me & \\ \end{array}$$

RN 495408-51-0 CAPLUS

CN 2-Quinolinecarboxylic acid, 8-amino-4-[[(4-methylphenyl)sulfonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 495408-53-2 CAPLUS

CN 2-Quinolinecarboxylic acid, 4-[[(4-methylphenyl)sulfonyl]amino]-8-nitro-, methyl ester (9CI) (CA INDEX NAME)

IT 495409-51-3P, 8-Hydroxy-4-(toluene-4-sulfonylamino)quinoline-2-carboxylic acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of quinoline and quinoxaline derivs. as inhibitors of factor Xa with therapeutic uses)

RN 495409-51-3 CAPLUS

CN 2-Quinolinecarboxylic acid, 8-hydroxy-4-[[(4-methylphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

GI

Ι

AB The invention concerns compds. quinoline and quinoxaline derivs. (shown as I; variables defined below; e.g. 4,8-dihydroxy-5,7-dichloroquinoline-2carboxylic acid), their prepn. and their uses, in particular in therapeutic treatments and vaccines or for developing active compds. I: E = COOH, COOR1, CH2OH, CH0, CH2COOH, CH2COOR1, C(O)NHR2, or 1H-tetrazol-5-yl; R1 = (C1-C12)alkyl or (C6-C18)aryl(C1-C12)alkyl; R2 = H,(C1-C12) alkyl, (C6-C18) aryl, (C6-C18) aryl(C1-C12) alkyl, hydroxy; R3 = H, halo, hydroxy, (C1-C12)alkyl, (C6-C18)aryl, (C6-C18)aryl(C1-C12)alkyl or (C3-C17) heteroaryl. Z = N or CR4; R4 = H, (C1-C12) alkyl, (C2-C12)alkyn-1-yl, (C6-C18)aryl, (C6-C18)aryl(C1-C12)alkyl, OR8, NR9R9, (C1-C17) heteroaryl or (C2-C12) alken-1-yl; R5, R6 and R7 = H, halo, (C1-C12)alkyl, (C6-C18)aryl, (C6-C18)aryl(C1-C12)alkyl, NR9R9', COR10, (C2-C12) alken-1-yl, (C2-C12) alkyn-1-yl, (C1-C17) heteroaryl, (C3-C17) heteroaryl (C1-C12) alkyl, cyano or nitro; -R8 = H, (C1-C12) alkyl, (C6-C18) aryl (C1-C12) alkyl. R9 = H, (C1-C12) alkyl, (C6-C18) aryl, (C6-C18)aryl(C1-C12)alkyl, acyl, tert-butoxycarbonyl, (C1-C17)heteroaryl or (C6-C18)arylsulfonyl or (C1-C12)alkylsulfonyl; R9', which may be same or different than R9 = H, (C1-C12) alkyl, (C6-C18) aryl, (C6-C18)aryl(C1-C12)alkyl, acyl, tert-butoxycarbonyl, (C1-C17)heteroaryl or (C6-C18)arylsulfonyl or (C1-C12)alkylsulfonyl; NR9R9' = cycloheteroalkyl: N(CH2)m(CH2)nY (n = 2 or 3, m = 2 or 3 and Y = CH2, SO2, or NR11, O, S); R10 = H, (C1-C12) alkyl or (C6-C18) aryl or NHR2. R11 = H, (C1-C12) alkyl, (C6-C18) aryl, (C6-C18) aryl (C1-C12) alkyl,

(C1-C17)heteroaryl, (C1-C17)heteroaryl(C1-C12)alkyl or COR10; X = halo, OR8, NR9R9', (C6-C18)aryl, (C6-C18)aryl(C1-C12)alkyl, (C3-C12)alkyl, (C2-C12)alken-1-yl, (C2-C12)alkyn-1-yl, (C1-C17)heteroaryl, COR10, cyano or nitro; addnl. details are given in the claims. Test results for inhibition of factor Xa by .apprx.50 examples of I are included; for example, 4,8-dihydroxy-5,7-dichloroquinoline-2-carboxylic acid exhibits IC50 = 4.6 .mu.M and 163 % of the inhibitory activity of xanthurenic acid at 10 .mu.M. More than 100 example prepns. of I are included. For example, Me 4-hydroxy-6-bromo-8-methoxyquinoline-2-carboxylate was prepd. in 64% yield from Me 2-[(4-bromo-2-methoxyphenyl)amino]but-2-enedicate in Ph2O at 250.degree. for 5-15 min; the reactant was prepd. in 93% yield from 2-methoxy-4-bromoaniline and Me acetylenedicarboxylate in MeOH at reflux for 1 h.

RE.CNT 87 THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L3 ANSWER 3 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2002:275968 CAPLUS

DN 136:309857

TI Preparation of quinolines and quinolinones as metabotropic glutamate receptor antagonists

IN Mabire, Dominique Jean-Pierre; Venet, Marc Gaston; Coupa, Sophie; Poncelet, Alain Philippe; Lesage, Anne Simone Josephine

PA Janssen Pharmaceutica N.V., Belg.

SO PCT Int. Appl., 114 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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KIND DATE
     PATENT NO.
                                         APPLICATION NO. DATE
     WO 2002028837
PΙ
                     A1 20020411
                                         WO 2001-EP11135 20010925
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                           EP 2000-203419 A 20001002
     AU 2001093847
                      Α5
                            20020415
                                          AU 2001-93847
                                                            20010925
                                           EP 2000-203419 A 20001002
                                          WO 2001-EP11135W 20010925
     BR 2001014253
                                           BR 2001-14253
                      Α
                            20030701
                                                            20010925
                                           EP 2000-203419 A 20001002
                                           WO 2001-EP11135W 20010925
     EP 1332133
                            20030806
                                           EP 2001-974298
                      A1
                                                            20010925
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                           EP 2000-203419 A 20001002
                                          WO 2001-EP11135W 20010925
     NO 2003001474
                      Α
                            20030505
                                          NO 2003-1474
                                                            20030401
                                          EP 2000-203419 A 20001002
                                          WO 2001-EP11135W 20010925
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MARPAT 136:309857

OS

## IT 409344-19-0P 409344-20-3P 409344-23-6P 409344-24-7P 409344-25-8P 409344-26-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinolines and quinolinones as metabotropic glutamate receptor antagonists)

RN 409344-19-0 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-[3-ethyl-6-[(4-methoxycyclohexyl)carbonyl]-2-quinolinyl]- (9CI) (CA INDEX NAME)

RN 409344-20-3 CAPLUS

CN Benzenemethanesulfonamide, N-[3-ethyl-6-[(4-methoxycyclohexyl)carbonyl]-2-quinolinyl]- (9CI) (CA INDEX NAME)

MeO 
$$\bigcap_{C}$$
  $\bigcap_{N+-S-CH_2-Ph}$   $\bigcap_{O}$   $\bigcap_{N+-S-CH_2-Ph}$   $\bigcap_{O}$ 

RN 409344-23-6 CAPLUS

CN 2-Naphthalenesulfonamide, N-[3-ethyl-6-[(4-methoxycyclohexyl)carbonyl]-2-quinolinyl]- (9CI) (CA INDEX NAME)

RN 409344-24-7 CAPLUS

CN 4-Isoxazolesulfonamide, N-[3-ethyl-6-[(4-methoxycyclohexyl)carbonyl]-2-quinolinyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 409344-25-8 CAPLUS

CN Benzenesulfonamide, 4-acetyl-N-[3-ethyl-6-[(4-methoxycyclohexyl)carbonyl]-2-quinolinyl]- (9CI) (CA INDEX NAME)

RN 409344-26-9 CAPLUS

CN Benzenesulfonamide, N-[3-ethyl-6-[(4-methoxycyclohexyl)carbonyl]-2-quinolinyl]-4-methyl- (9CI) (CA INDEX NAME)

GI

The title compds. [I or II; X = O, C(R6)2; (wherein R6 = H, aryl, alkyl, etc.); R1 = alkyl, aryl, thienyl, etc.; R2 = H, halo, CN, etc.; R3, R4 = H, alkyl; or R2 and R3 may be taken together to form (CH2)3, (CH2)4, CH:CHCH:CH, etc.; or R3 and R4 may be taken together to form CH:CHCH:CH, (CH2)4; R5 = H, cycloalkyl, piperidinyl, etc.; Y = O, S; or Y and R5 may be taken together to form CH:NN, N:NN, NCH:CH], useful for treating or preventing glutamate-induced diseases of the central nervous system, were prepd. Thus, reacting cis-III [R = Cl] with SnMe4 in the presence of Pg(PPh3)4 in PhMe afforded 17% cis-III [R = Me] which showed antagonism at a dose of 2.5 mg/kg bodyweight in cold allodynia test in rats with a Bennett ligation.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:767594 CAPLUS

DN 135:332604

TI Coloration composition, ink for ink-jet printing, and ink-jet recording method

IN Ogiyama, Katsushi

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 42 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 2001294772	A2	20011023	JP 2000-108211	20000410
	•			JP 2000-108211	20000410

OS MARPAT 135:332604

IT 369597-39-7

RL: PRP (Properties); TEM (Technical or engineered material use); USES (Uses)

(oil-sol. dyes; coloration compn., ink for ink-jet printing, and ink-jet recording method)

RN 369597-39-7 CAPLUS

CN Benzenesulfonamide, N-[3-[[[7-chloro-2-[3-(1,1-dimethylethyl)-5-hydroxy-4-isoxazolyl]-4-quinolinyl]amino]sulfonyl]-4-(octyloxy)phenyl]-2-(octyloxy)-5-(1,1,3,3-tetramethylbutyl)- (9CI) (CA INDEX NAME)

$$t-Bu$$
 $OH$ 
 $OH$ 

GI

AB The compn. for prepn. of ball pen, aq. printing and recording inks comprises an oily dye having .gtoreq.1 group of I (R = substituent; Z = atom group formed from N-contg. arom ring; Y = C; X = (substituted) hetero atom; R, X, and/or Y may form a ring). Thus, a colored dispersion for

Patel

II

prepn. of an aq. ink was made by adding 2 mol/L NaOH in a compn. of iso-Pr alc. 4, tert-butanol 6, a copolymer of 85:15 sec-Bu acrylate and acrylic acid 1.2, and II 0.8 part, heating, adding 30 parts H2O, and condensing to solids content 15%.

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ANSWER 5 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
T.3
     2001:581738 CAPLUS
AN
     135:175421
DN
ΤI
    Integrin expression inhibitors
IN
    Wakabayashi, Toshiaki; Funahashi, Yasuhiro; Hata, Naoko; Semba, Taro;
     Yamamoto, Yuji; Haneda, Toru; Owa, Takashi; Tsuruoka, Akihiko; Kamata,
     Junichi; Okabe, Tadashi; Takahashi, Keiko; Nara, Kazumasa; Hamaoka,
     Shinichi; Ueda, Norihiro
     Eisai Co., Ltd., Japan
SO
     PCT Int. Appl., 153 pp.
    CODEN: PIXXD2
DT
     Patent
     Japanese
LA
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
                     ____
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                                           -----
                                                             _____
ΡI
    WO 2001056607
                      A1
                            20010809
                                           WO 2001-JP713
                                                             20010201
      W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, TR
                                            JP 2000-26080 A 20000203
                                            JP 2000-402084 A 20001228
    AU 2001028867
                       Α5
                            20010814
                                           AU 2001-28867
                                                             20010201
                                            JP 2000-26080 A 20000203
                                            JP 2000-402084 A 20001228
                                           WO 2001-JP713 W 20010201
    EP 1258252
                       Α1
                            20021120
                                           EP 2001-948941 20010201
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI, CY, TR
                                            JP 2000-26080 A 20000203
                                            JP 2000-402084 A 20001228
                                           WO 2001-JP713 W 20010201
    NO 2002003688
                       Α
                            20021003
                                           NO 2002-3688
                                            JP 2000-26080 A 20000203
                                            JP 2000-402084 A 20001228
                                           WO 2001-JP713 W 20010201
OS
    MARPAT 135:175421
IT
    347145-20-4P 347145-21-5P 347145-22-6P
    347145-23-7P 347145-24-8P 347145-25-9P
     347145-26-0P 347145-27-1P 347145-28-2P
     347145-29-3P 347145-30-6P 347145-31-7P
     347145-32-8P 347145-33-9P 347145-34-0P
     347145-35-1P 347145-36-2P 347145-37-3P
     347145-38-4P 347145-39-5P 347145-40-8P
     347145-41-9P 347145-42-0P 347145-43-1P
     347145-44-2P 347145-45-3P 347145-46-4P
    347145-47-5P 347145-48-6P 347145-49-7P
    347145-50-0P 347145-51-1P 347145-52-2P
    347145-53-3P 347145-54-4P 347145-55-5P
    347145-56-6P 347145-57-7P 347145-58-8P
    347145-59-9P 347145-60-2P 347145-61-3P
    347145-62-4P 347145-63-5P 347145-64-6P
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347145-65-7P 347145-66-8P 347145-67-9P 347145-68-0P 347145-69-1P 347145-70-4P 347145-71-5P 347145-72-6P 347145-73-7P 347145-74-8P 347145-75-9P 347145-76-0P 347146-10-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(integrin expression inhibitors for medical uses)

RN 347145-20-4 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-bromo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-21-5 CAPLUS

CN 3-Pyridinesulfonamide, N-(5-bromo-3-quinolinyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 347145-22-6 CAPLUS

CN 3-Pyridinesulfonamide, 6-amino-N-(8-bromo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-23-7 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-4-cyano- (9CI) (CA INDEX NAME)

RN 347145-24-8 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-bromo-3-quinolinyl)-6-chloro- (9CI) (CA INDEX NAME)

RN 347145-25-9 CAPLUS

CN 1,4-Benzenedisulfonamide, N-(8-bromo-3-quinolinyl)-N'-ethyl- (9CI) (CA INDEX NAME)

RN 347145-26-0 CAPLUS

CN 2-Pyridinesulfonamide, N-(8-bromo-3-quinolinyl)-5-cyano- (9CI) (CA INDEX NAME)

RN 347145-27-1 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-cyano-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-28-2 CAPLUS

CN Benzenesulfonamide, 4-cyano-N-(8-cyano-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-29-3 CAPLUS

CN 3-Pyridinesulfonamide, N-(5-bromo-2-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-30-6 CAPLUS

CN 1H-Indene-5-sulfonamide, N-(8-bromo-3-quinolinyl)-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 347145-31-7 CAPLUS

CN 1H-Indole-5-sulfonamide, 1-acetyl-2,3-dihydro-N-(8-iodo-3-quinolinyl)-(9CI) (CA INDEX NAME)

RN 347145-32-8 CAPLUS

CN 3-Quinolinesulfonamide, N-(8-bromo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-33-9 CAPLUS

CN 6-Quinolinesulfonamide, 1-acetyl-N-(8-bromo-3-quinolinyl)-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

RN 347145-34-0 CAPLUS

CN 4-Isoquinolinesulfonamide, N-(8-iodo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-35-1 CAPLUS

CN Benzenesulfonamide, 4-cyano-N-(8-iodo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-36-2 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-iodo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-37-3 CAPLUS

CN Benzenesulfonamide, N-(5-bromo-2-quinolinyl)-4-cyano- (9CI) (CA INDEX NAME)

RN 347145-38-4 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-bromo-3-quinolinyl)-6-ethyl- (9CI) (CA INDEX NAME)

RN 347145-39-5 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-quinolinyl)- (9CI) (CA INDEX NAME)

Patel

<11/9/2003>

RN 347145-40-8 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-chloro-3-quinolinyl)-6-ethyl- (9CI) (CA INDEX NAME)

RN 347145-41-9 CAPLUS

CN 3-Pyridinesulfonamide, N-(5-chloro-2-quinolinyl)-6-ethyl- (9CI) (CA INDEX NAME)

RN 347145-42-0 CAPLUS

CN Benzenesulfonamide, N-(8-chloro-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-43-1 CAPLUS

CN Benzenesulfonamide, N-(5-chloro-2-quinolinyl)-4-cyano- (9CI) (CA INDEX NAME)

Patel

<11/9/2003>

RN 347145-44-2 CAPLUS

CN Benzenesulfonamide, N-(5-chloro-2-quinolinyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 347145-45-3 CAPLUS

CN 1,4-Benzenedisulfonamide, N-(5-chloro-2-quinolinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 347145-46-4 CAPLUS

CN 1,4-Benzenedisulfonamide, N-(5-bromo-2-quinolinyl)-N'-ethyl- (9CI) (CA INDEX NAME)

RN 347145-47-5 CAPLUS

CN Benzenesulfonamide, N-(8-chloro-3-quinolinyl)-3-cyano- (9CI) (CA INDEX NAME)

RN 347145-48-6 CAPLUS

CN Benzenesulfonamide, N-(8-chloro-3-quinolinyl)-3-methyl- (9CI) (CA INDEX NAME)

RN 347145-49-7 CAPLUS

CN 1,3-Benzenedisulfonamide, N-(8-chloro-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-50-0 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-methyl-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-51-1 CAPLUS

CN Benzenesulfonamide, 4-cyano-N-(8-methyl-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-52-2 CAPLUS

CN 3-Pyridinesulfonamide, N-(6-chloro-8-cyano-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-53-3 CAPLUS

CN Benzenesulfonamide, N-(8-chloro-3-quinolinyl)-4-cyano- (9CI) (CA INDEX NAME)

RN 347145-54-4 CAPLUS

CN 1,4-Benzenedisulfonamide, N-(8-chloro-3-quinolinyl)-N'-ethyl- (9CI) (CA INDEX NAME)

RN 347145-55-5 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-chloro-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-56-6 CAPLUS

CN 2,5-Pyridinedisulfonamide, N-(8-chloro-3-quinolinyl)-N'-ethyl- (9CI) (CA INDEX NAME)

RN 347145-57-7 CAPLUS

CN Benzenesulfonamide, 4-cyano-N-[8-(trifluoromethyl)-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 347145-58-8 CAPLUS

CN 1,4-Benzenedisulfonamide, N-ethyl-N'-[8-(trifluoromethyl)-3-quinolinyl]-(9CI) (CA INDEX NAME)

RN 347145-59-9 CAPLUS

CN 3-Pyridinesulfonamide, N-[8-(trifluoromethyl)-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 347145-60-2 CAPLUS

CN 2-Naphthalenesulfonamide, N-(8-chloro-3-quinolinyl)-5,6,7,8-tetrahydro-(9CI) (CA INDEX NAME)

RN 347145-61-3 CAPLUS

CN 5-Benzofuransulfonamide, N-(8-chloro-3-quinolinyl)-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 347145-62-4 CAPLUS

CN Benzenesulfonamide, N-(8-chloro-4-ethenyl-3-quinolinyl)-4-cyano- (9CI) (CA INDEX NAME)

RN 347145-63-5 CAPLUS

CN 1H-Indole-5-sulfonamide, 1-acetyl-2,3-dihydro-N-[8-(trifluoromethyl)-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 347145-64-6 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-bromo-3-quinolinyl)-6-(methylthio)- (9CI) (CA INDEX NAME)

RN 347145-65-7 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-4-[2-(methylsulfonyl)ethyl]-(9CI) (CA INDEX NAME)

RN 347145-66-8 CAPLUS

CN 1,4-Benzoxathiin-6-sulfonamide, N-(8-bromo-3-quinolinyl)-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 347145-67-9 CAPLUS

CN Acetamide, N-[2-[4-[[(8-bromo-3-quinolinyl)amino]sulfonyl]phenyl]ethyl]- (9CI) (CA INDEX NAME)

RN 347145-68-0 CAPLUS

CN 7-Isoquinolinesulfonamide, 2-acetyl-N-(8-bromo-3-quinolinyl)-1,2,3,4-tetrahydro-(9CI) (CA INDEX NAME)

RN 347145-69-1 CAPLUS

CN 2H-1-Benzothiopyran-6-sulfonamide, N-(8-bromo-3-quinolinyl)-3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 347145-70-4 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-4-[3-(methylsulfonyl)propyl]- (9CI) (CA INDEX NAME)

RN 347145-71-5 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-4-fluoro- (9CI) (CA INDEX NAME)

RN 347145-72-6 CAPLUS

CN 3-Pyridazinesulfonamide, N-(8-bromo-3-quinolinyl)-6-methoxy- (9CI) (CA INDEX NAME)

RN 347145-73-7 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-74-8 CAPLUS

CN 4-Pyridinecarboxamide, 2-[[(8-bromo-3-quinolinyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)

RN 347145-75-9 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-3-methoxy- (9CI) (CA INDEX NAME)

RN 347145-76-0 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-3-hydroxy- (9CI) (CA INDEX NAME)

RN 347146-10-5 CAPLUS

CN 3-Pyridinesulfonamide, N-(5-ethenyl-2-quinolinyl)- (9CI) (CA INDEX NAME)

 $H_2C = CH$ 

AB Integrin expression inhibitors and remedies for arteriosclerosis, psoriasis, cancer, retinal angiogenesis, diabetic retinitis or inflammatory diseases, anticoagulant agents and cancerous metastasis inhibitors based on the integrin inhibitory effect. Namely, integrin expression inhibitors contg. as the active ingredient sulfonamide compds. represented by the following general formula BKSO2N(R1)ZR, pharmacol.

acceptable salts thereof or hydrates of the same wherein B represents optionally substituted C6-10 aryl or 6- to 10-membered heteroaryl wherein the ring may be partly satd.; K represents a single bond, -CH=CH- or -(CR4bR5b)mb- (wherein R4b and R5b may be the same or different and each represents hydrogen or C1-4 alkyl; and mb represents an integer of 1 or 2); R1 represents hydrogen or C1-6 alkyl; Z represents a single bond or CO-NH-; and R represents optionally substituted C6-10 aryl or 6- to 10-membered heteroaryl wherein the ring may be partly satd.

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L3
    ANSWER 6 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
ΑN
     2001:489373 CAPLUS
DN
     135:76882
    Preparation of heterocyclic compounds having sulfonamide groups as
ΤI
     inhibitors of angiogenesis
    Haneda, Toru; Tsuruoka, Akihiko; Kamata, Junichi; Okabe, Tadashi;
IN
    Takahashi, Keiko; Nara, Kazumasa; Hamaoka, Shinichi; Ueda, Norihiro;
    Wakabayashi, Toshiaki; Funahashi, Yasuhiro; Semba, Taro; Hata, Naoko;
     Yamamoto, Yuji; Ozawa, Yoichi; Tsukahara, Naoko; Owa, Takashi
PΑ
    Eisai Co., Ltd., Japan
SO
     PCT Int. Appl., 94 pp.
    CODEN: PIXXD2
DT
    Patent
    Japanese
LΑ
FAN.CNT 1
    PATENT NO.
                      KIND DATE
                                           APPLICATION NO.
                                                            DATE
PΙ
    WO 2001047891
                     A1
                            20010705
                                           WO 2000-JP9326
                                                            20001227
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         RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, TR
                                           JP 1999-375489 A 19991228
    AU 2001022283
                       Α5
                            20010709
                                           AU 2001-22283
                                                            20001227
                                           JP 1999-375489 A 19991228
                                           WO 2000-JP9326 W 20001227
    EP 1243583
                            20020925
                      A1
                                           EP 2000-985953
                                                            20001227
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI, CY, TR
                                           JP 1999-375489 A 19991228
                                           WO 2000-JP9326 W 20001227
    US 2003144507
                      A1
                            20030731
                                           US 2002-149253
                                                            20020610
```

OS CASREACT 135:76882; MARPAT 135:76882

Α

20020828

## IT 347145-24-8P

NO 2002003097

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of heterocyclic compds. having sulfonamide groups as inhibitors of angiogenesis)

WO 2000-JP9326 W 20001227

JP 1999-375489 A 19991228 WO 2000-JP9326 W 20001227

20020626

NO 2002-3097

RN 347145-24-8 CAPLUS.

CN 3-Pyridinesulfonamide, N-(8-bromo-3-quinolinyl)-6-chloro- (9CI) (CA INDEX NAME)

347145-20-4P 347145-21-5P 347145-22-6P 347145-23-7P 347145-25-9P 347145-26-0P 347145-27-1P 347145-28-2P 347145-29-3P 347145-30-6P 347145-31-7P 347145-32-8P 347145-33-9P 347145-34-0P 347145-35-1P 347145-36-2P 347145-37-3P 347145-38-4P 347145-39-5P 347145-40-8P 347145-41-9P 347145-42-0P 347145-43-1P 347145-44-2P 347145-45-3P 347145-46-4P 347145-47-5P 347145-48-6P 347145-49-7P 347145-50-0P 347145-51-1P 347145-52-2P 347145-53-3P 347145-54-4P 347145-55-5P 347145-56-6P 347145-57-7P 347145-58-8P 347145-59-9P 347145-60-2P 347145-61-3P 347145-62-4P 347145-63-5P 347145-64-6P 347145-65-7P 347145-66-8P 347145-67-9P 347145-68-0P 347145-69-1P 347145-70-4P 347145-71-5P 347145-72-6P 347145-73-7P 347145-74-8P 347145-75-9P 347145-76-0P 347146-10-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclic compds. having sulfonamide groups as inhibitors of angiogenesis)

RN 347145-20-4 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-bromo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-21-5 CAPLUS

CN 3-Pyridinesulfonamide, N-(5-bromo-3-quinolinyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 347145-22-6 CAPLUS

CN 3-Pyridinesulfonamide, 6-amino-N-(8-bromo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-23-7 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-4-cyano- (9CI) (CA INDEX NAME)

RN 347145-25-9 CAPLUS

CN 1,4-Benzenedisulfonamide, N-(8-bromo-3-quinolinyl)-N'-ethyl- (9CI) (CA INDEX NAME)

RN 347145-26-0 CAPLUS

CN 2-Pyridinesulfonamide, N-(8-bromo-3-quinolinyl)-5-cyano- (9CI) (CA INDEX NAME)

RN 347145-27-1 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-cyano-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-28-2 CAPLUS

CN Benzenesulfonamide, 4-cyano-N-(8-cyano-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-29-3 CAPLUS

CN 3-Pyridinesulfonamide, N-(5-bromo-2-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-30-6 CAPLUS

CN 1H-Indene-5-sulfonamide, N-(8-bromo-3-quinoliny1)-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 347145-31-7 CAPLUS

CN 1H-Indole-5-sulfonamide, 1-acetyl-2,3-dihydro-N-(8-iodo-3-quinolinyl)-(9CI) (CA INDEX NAME)

RN 347145-32-8 CAPLUS

CN 3-Quinolinesulfonamide, N-(8-bromo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-33-9 CAPLUS

CN 6-Quinolinesulfonamide, 1-acetyl-N-(8-bromo-3-quinolinyl)-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

RN 347145-34-0 CAPLUS

CN 4-Isoquinolinesulfonamide, N-(8-iodo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-35-1 CAPLUS

CN Benzenesulfonamide, 4-cyano-N-(8-iodo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-36-2 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-iodo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-37-3 CAPLUS

CN Benzenesulfonamide, N-(5-bromo-2-quinolinyl)-4-cyano- (9CI) (CA INDEX NAME)

RN 347145-38-4 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-bromo-3-quinolinyl)-6-ethyl- (9CI) (CA INDEX NAME)

RN 347145-39-5 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-40-8 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-chloro-3-quinolinyl)-6-ethyl- (9CI) (CA INDEX NAME)

RN 347145-41-9 CAPLUS

CN 3-Pyridinesulfonamide, N-(5-chloro-2-quinolinyl)-6-ethyl- (9CI) (CA INDEX NAME)

RN 347145-42-0 CAPLUS

CN Benzenesulfonamide, N-(8-chloro-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-43-1 CAPLUS

CN Benzenesulfonamide, N-(5-chloro-2-quinolinyl)-4-cyano- (9CI) (CA INDEX NAME)

RN 347145-44-2 CAPLUS

CN Benzenesulfonamide, N-(5-chloro-2-quinolinyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 347145-45-3 CAPLUS

CN 1,4-Benzenedisulfonamide, N-(5-chloro-2-quinolinyl)- (9CI) (CA INDEX NAME)

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<11/9/2003>

$$\begin{array}{c|c} O & O & O \\ S - NH_2 & O & O \\ O & O & O \\ \end{array}$$

RN 347145-46-4 CAPLUS

CN 1,4-Benzenedisulfonamide, N-(5-bromo-2-quinolinyl)-N'-ethyl- (9CI) (CA INDEX NAME)

RN 347145-47-5 CAPLUS

CN Benzenesulfonamide, N-(8-chloro-3-quinolinyl)-3-cyano- (9CI) (CA INDEX NAME)

RN 347145-48-6 CAPLUS

CN Benzenesulfonamide, N-(8-chloro-3-quinolinyl)-3-methyl- (9CI) (CA INDEX NAME)

RN 347145-49-7 CAPLUS

CN 1,3-Benzenedisulfonamide, N-(8-chloro-3-quinolinyl)- (9CI) (CA INDEX

NAME)

RN 347145-50-0 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-methyl-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-51-1 CAPLUS

CN Benzenesulfonamide, 4-cyano-N-(8-methyl-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-52-2 CAPLUS

CN 3-Pyridinesulfonamide, N-(6-chloro-8-cyano-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-53-3 CAPLUS

CN Benzenesulfonamide, N-(8-chloro-3-quinolinyl)-4-cyano- (9CI) (CA INDEX NAME)

RN 347145-54-4 CAPLUS

CN 1,4-Benzenedisulfonamide, N-(8-chloro-3-quinolinyl)-N'-ethyl- (9CI) (CA INDEX NAME)

RN 347145-55-5 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-chloro-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-56-6 CAPLUS

CN 2,5-Pyridinedisulfonamide, N-(8-chloro-3-quinolinyl)-N'-ethyl- (9CI) (CA INDEX NAME)

RN 347145-57-7 CAPLUS

CN Benzenesulfonamide, 4-cyano-N-[8-(trifluoromethyl)-3-quinolinyl]- (9CI) (CA INDEX NAME)

Patel

<11/9/2003>

RN 347145-58-8 CAPLUS

CN 1,4-Benzenedisulfonamide, N-ethyl-N'-[8-(trifluoromethyl)-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 347145-59-9 CAPLUS

CN 3-Pyridinesulfonamide, N-[8-(trifluoromethyl)-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 347145-60-2 CAPLUS

CN 2-Naphthalenesulfonamide, N-(8-chloro-3-quinolinyl)-5,6,7,8-tetrahydro-(9CI) (CA INDEX NAME)

RN 347145-61-3 CAPLUS

CN 5-Benzofuransulfonamide, N-(8-chloro-3-quinolinyl)-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 347145-62-4 CAPLUS

CN Benzenesulfonamide, N-(8-chloro-4-ethenyl-3-quinolinyl)-4-cyano- (9CI) (CA INDEX NAME)

RN 347145-63-5 CAPLUS

CN 1H-Indole-5-sulfonamide, 1-acetyl-2,3-dihydro-N-[8-(trifluoromethyl)-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 347145-64-6 CAPLUS

CN 3-Pyridinesulfonamide, N-(8-bromo-3-quinolinyl)-6-(methylthio)- (9CI) (CA INDEX NAME)

RN 347145-65-7 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-4-[2-(methylsulfonyl)ethyl]-

(9CI) (CA INDEX NAME)

RN 347145-66-8 CAPLUS

CN 1,4-Benzoxathiin-6-sulfonamide, N-(8-bromo-3-quinolinyl)-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 347145-67-9 CAPLUS

CN Acetamide, N-[2-[4-[[(8-bromo-3-quinolinyl)amino]sulfonyl]phenyl]ethyl]- (9CI) (CA INDEX NAME)

RN 347145-68-0 CAPLUS

CN 7-Isoquinolinesulfonamide, 2-acetyl-N-(8-bromo-3-quinolinyl)-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

RN 347145-69-1 CAPLUS

CN 2H-1-Benzothiopyran-6-sulfonamide, N-(8-bromo-3-quinolinyl)-3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 347145-70-4 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-4-[3-(methylsulfonyl)propyl]-(9CI) (CA INDEX NAME)

RN 347145-71-5 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-4-fluoro- (9CI) (CA INDEX NAME)

RN 347145-72-6 CAPLUS

CN 3-Pyridazinesulfonamide, N-(8-bromo-3-quinolinyl)-6-methoxy- (9CI) (CA INDEX NAME)

RN 347145-73-7 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 347145-74-8 CAPLUS

CN 4-Pyridinecarboxamide, 2-[[(8-bromo-3-quinolinyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)

RN 347145-75-9 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinoliny1)-3-methoxy- (9CI) (CA INDEX NAME)

RN 347145-76-0 CAPLUS

CN Benzenesulfonamide, N-(8-bromo-3-quinolinyl)-3-hydroxy- (9CI) (CA INDEX NAME)

RN 347146-10-5 CAPLUS

CN 3-Pyridinesulfonamide, N-(5-ethenyl-2-quinolinyl)- (9CI) (CA INDEX NAME)

IT 347146-86-5P 347146-89-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of heterocyclic compds. having sulfonamide groups as inhibitors of angiogenesis)

RN 347146-86-5 CAPLUS

CN 7-Isoquinolinesulfonamide, N-(8-bromo-3-quinolinyl)-1,2,3,4-tetrahydro-2-(trifluoroacetyl)- (9CI) (CA INDEX NAME)

RN 347146-89-8 CAPLUS

CN 2H-1-Benzothiopyran-6-sulfonamide, N-(8-bromo-3-quinolinyl)-3,4-dihydro-(9CI) (CA INDEX NAME)

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L3

Heterocyclic compds. having sulfonamide or sulfonylurea groups, AΒ specifically heterocyclic compds. of general formula (I), pharmacol. acceptable salts of the same, or hydrates of both [wherein A is hydrogen, halogeno, optionally halogenated C1-4 alkyl, hydroxy, cyano, (CO)kNR2R3, or optionally substituted C2-4 alkenyl or alkynyl (wherein R2 and R3 are each independently hydrogen or optionally halogenated C1-4 alkyl; k is 0 or 1); B is optionally substituted aryl, monocyclic heteroaryl, or Q1 (wherein the ring Q is an optionally substituted arom. ring contg. 1 or 2 N atoms; the ring M is optionally substituted and unsatd. C5-12 monocyclic or polycyclic ring sharing a double bond with the ring Q and optionally contg. 1-4 heteroatom selected from N, O, and S; the ring Q and M may share a N atom); K is a single bond or (CR4R5)m (wherein R4 and R5 are each independently hydrogen or C1-4 alkyl; m is 1 or 2); T, W, X and Y are each independently =C(D)- (wherein D is hydrogen, halogeno, hydroxy, C1-4 alkyl, halo-C1-4 alkyl, or the like) or nitrogen; U and V are each independently =C(D)-, nitrogen, oxygen, or CO; Z is a single bond or -CONH-; and R1 is hydrogen or C1-4 alkyl] are prepd. These compds. includes N-quinolinylpyridinesulfonamides, N-quinolinylbenzenesulfonamides , N-quinolinylquinolinesulfonamides, N-quinolinylindolinesulfonamides, N-quinolinylisoquinolinesulfonamides, N-quinolinylbenzofuransulfonamides, N-quinolinyltetrahydronaphthalanesulfonamides, Nquinolinylbenzoxathiansulfonamide, N-quinolinylbenzothiopyransulfonamide, N-isoquinolinylpyridinesulfonamides, N-isoquinolinylbenzenesulfonamides, N-naphthyridinylpyridinesulfonamides, N-naphthyridinylbenzenesulfonamides, N-quinolinylpyridazinesulfonamides, etc. They are useful as therapeutics based on angiogenesis inhibition such as antitumor agents, cancer metastasis inhibitors, and therapeutics for diabetic retinopathy, rheumatic arthritis, and hemangioma. Thus, 5-indansulfonyl chloride was added to a soln. of 3-amino-8-bromoquinoline in pyridine and stirred at room temp. for 30 min to give N-(8-bromoquinolin-3-yl)-5-indansulfonamide (II). II and N-(8-bromoquinolin-3-yl)-6-methoxypyridazine-3-sulfonamide in vitro showed IC50 of 0.04 and 0.53 .mu.g/mL, resp., against angiogenesis in rat aorta.

RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

```
AN
    2001:453092 CAPLUS
DN
    135:61555
ΤI
    Preparation of lipopeptides as antibacterial agents
ΙN
    Hill, Jason; Parr, Ian; Morytko, Michael; Siedlecki, Jim; Yu, Xiang Yang;
    Silverman, Jared; Keith, Dennis; Finn, John; Christensen, Dale; Lazarova,
    Tsvetelina; Watson, Alan D.; Zhang, Yan
PA
    Cubist Pharmaceuticals, Inc., USA; et al.
SO
    PCT Int. Appl., 202 pp.
    CODEN: PIXXD2
DT
    Patent
LA
    English
FAN.CNT 1
    PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
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                    A1 20010621 WO 2000-US34205 20001215
    WO 2001044274
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RN CN

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                                        US 2000-208222PP 20000530
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                   Α
                        20020827
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                                                          20001215
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                                        WO 2000-US34205W 20001215
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                        20021009
                                        EP 2000-991867 20001215
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                                        WO 2000-US34205W 20001215
JP 2003517480
                   T2, 20030527
                                        JP 2001-544763
                                                          20001215
                                        US 1999-170946PP 19991215
                                        US 2000-208222PP 20000530
                                        WO 2000-US34205W 20001215
NO 2002002887
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                                                          20020617
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                                        US 2000-208222PP 20000530
                                        WO 2000-US34205W 20001215
MARPAT 135:61555
345646-65-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
   (prepn. of lipopeptides as antibacterial agents)
345646-65-3 CAPLUS
Daptomycin, 6-[N5-[[4-[(4-methylphenyl)sulfonyl]amino]-2-
```

quinolinyl]methyl]-L-ornithine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 2-A

H
N
O
O
(CH2) 8
Me

GΙ

#### \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Lipopeptides I [R is -N(B)(X)n-A; B is X''RY, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; RY is hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl; X, X'' are C:O, C:S, C:NH, C:NRX, S:O or SO2; n is 0 or 1; RX is alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy; A is H, NH2, NHRA, NRARB, heteroaryl, cycloalkyl, heterocyclyl (RA, RB are alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy) or when n is 0, then A is P(0)(OR50)OR51, P(0)R52R53, or P(0)(OR50)R53, where R50-R53 are alkyl; alternatively B and A may form a 5-7 membered heterocyclic or heteroaryl ring; R1 is defined similarly to R (with provisos); R2 is CH2CR17R18-ring, where R17 and R18 are hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl, etc. or CR17R18 are CO, C(:S), oxime or hydrazone group] were prepd. for use as antibacterials. Thus, treating daptomycin with 4-fluorobenzaldehyde and sodium triacetoxyborohydride in dry DMF for 24 h afforded I [R = NHCO(CH2)8Me, R1 = NHCH2C6H4F-4, R2 = CH2COC6H4NH2-o], which showed MIC (S. Aureus) .ltoreq. 1 .mu.g/mL.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L3 ANSWER 8 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2000:592718 CAPLUS

DN 133:193164

TI Preparation of 2-amino-6-anilinopurines as inhibitors of p34cdc2/cyclin Bcdc13 kinase and protein tyrosine kinase pp60c-src.

IN Imbach, Patricia; Capraro, Hans-Georg; Zimmermann, Jurg; Caravatti, Giorgio; Furet, Pascal; Brill, Wolfgang Karl-Diether

PA Novartis A.-G., Switz.; Novartis-Erfindungen

SO PCT Int. Appl., 100 pp. CODEN: PIXXD2

DE Detect

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2000049018 A1 20000824 WO 2000-EP1271 20000216

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,

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                                      WO 2000-EP1271 W 20000216
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OS MARPAT 133:193164

#### IT 289479-41-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2-amino-6-anilinopurines as inhibitors of p34cdc2/cyclin Bcdc13 kinase and protein tyrosine kinase pp60c-src)

RN 289479-41-0 CAPLUS

CN Benzenesulfonamide, 4-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]-N-3-quinolinyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

GΙ

AB Title compds. [I; q = 1-5; R1 = SONR6R7, SO2NR6R7, aralkylcarbamoyl, etc.; R2 = H, carbamoyl, alkylcarbamoyl; R3 = (substituted) aliphatyl; R5 amino, OH, PhO, alkoxy, acyl, substituted aliphatyl, carbocyclyl, heterocyclyl, etc.; R4 = H, R5; R4R5, R6R7 = (substituted) alkylene, alkenylene optionally interrupted by O, S, N; R6, R7 = H, aliphatyl, carbocyclyl, heterocyclyl, etc.; with provisos], were prepd. Thus, 6-(4-butylaminosulfonylphenylamino)-2-chloro-9-ethyl-9H-purine, diglyme and cis-2-aminocyclohexanecarboxamide were heated at 160.degree. in a sealed tube to give 32% cis-2-[6-(4-butylaminosulfonylphenylamino)-9-ethyl-9H-purin-2-yl-amino]cyclohexanecarboxylic acid amide. I at 0.001-10 .mu.M inhibited protein tyrosine kinase pp60c-src.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:166124 CAPLUS

DN 132:214726

TI Silver halide photographic material containing hydrazine derivative developer and image formation

IN Honda, Mari; Kita, Hiroshi

PA Konica Co., Japan

SO Jpn. Kokai Tokkyo Koho, 68 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡĮ	JP 2000075452	A2	20000314	JP 1998-245147	19980831
				TP 1998-245147	19980831

IT 260799-75-5

RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)

(photog. film contg. hydrazine deriv. developer)

RN 260799-75-5 CAPLUS

CN Benzenesulfonic acid, 2,3,4-trihydroxy-, 2-[5-(ethylsulfonyl)-8-methoxy-3-methyl-2-quinolinyl]hydrazide (9CI) (CA INDEX NAME)

AB The title photog. material possesses, on a support, .gtoreq.1 photog. constitutive layers .gtoreq.1 of which contains a compd. R11NHNHXR12, R11NHNHXR13, R1NHNHXR14, R11NHNHXR15, R11NHNHXR16 or R11NHNHXR17 [R11 = aryl, heterocyclic group; X = SO2, CO, COCO, CO2, CONR1, COCO2, COCONR2, SO2NR3; R1-3 = alkyl, alkenyl, alkynyl, aryl, heterocyclic group (these groups may be substituted); R12 = photog. useful group, R13 = image stabilizer residue; R14 = UV absorbent residue; R15 = color stain inhibitor residue; R16 = formalin-capturing agent residue; R17 = brightening agent residue]. An imaging method is also claimed, in which the dye images formed by using the material are chelation-treated. The material contg. a novel hydrazine developing agent is applicable to rapid processing and provides high quality images with improved storage stability by dipping in a metal chelating bath after development.

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L3 ANSWER 10 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1999:303241 CAPLUS

DN 130:325094

TI Preparation of ureidoquinolines as H+-ATPase and bone resorption inhibitors

IN Oku, Teruo; Satoh, Shigeki; Inoue, Takayuki; Urano, Yasuharu; Zenkoh, Tatsuya; Yoshida, Noriko

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 87 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

OS MARPAT 130:325094

IT 216257-68-0P 216257-69-1P 216258-47-8P 223781-45-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of ureidoquinolines as H+-ATPase and bone resorption inhibitors)

RN 216257-68-0 CAPLUS

CN Benzenesulfonamide, 4-methyl-N-(3-methyl-8-nitro-4-quinolinyl)- (9CI) (CA INDEX NAME)

RN 216257-69-1 CAPLUS

CN Benzenesulfonamide, N-(8-amino-3-methyl-4-quinolinyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 216258-47-8 CAPLUS

CN 3-Pyridinecarboxamide, 2,4-dichloro-N-[3-methyl-4-[[(4-methylphenyl)sulfonyl]amino]-8-quinolinyl]- (9CI) (CA INDEX NAME)

Patel

<11/9/2003>

PAGE 1-A

PAGE 2-A

М́е

RN 223781-45-1 CAPLUS

CN Benzenesulfonamide, N-(3-chloro-8-nitro-4-quinolinyl)-4-methyl- (9CI) (CA INDEX NAME)

NO2
N
NH
O
S
O
Me

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R7YZNR3Z1NR1R2 [I; R1 = H, (alkyl)amino, alkyl, aryl, etc.; R2 = H,
AΒ
      (alkoxy)alkyl, hydroxyalkyl; NR1R2 = heterocyclyl; R3 = H or alkyl; R7 =
      (un) substituted heterocyclyl or -aryl; Y = NHCO, CONH, NHCONH, etc.; Z =
      (un) substituted quinoline-8,4-diyl; Z1 = CO or CS] were prepd. Thus,
     4-amino-3-methyl-8-nitroquinoline was amidated by PhNCO and the reduced
     product amidated by 2,6-dichloropyridine-3-carboxylic acid to give
     R7CONHZNHCONHR1 (R1 = Ph, R7 = 2,6-dichloro-3-pyridinyl, Z =
     3-methylquinoline-8,4-diyl). Data for biol. activity of I were given.
RE.CNT 1
               THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
L3
     ANSWER 11 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     1999:172594 CAPLUS
DN
     130:223174
     Preparation of 4-aryl-3-aminoquinoline-2-ones as potassium channel
TΙ
IN
     Hewawasam, Piyasena; Starrett, John E., Jr.; Swartz, Stephen G.
     Bristol-Myers Squibb Company, USA
SO
     PCT Int. Appl., 85 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
                                                APPLICATION NO. DATE
     PATENT NO.
                        KIND DATE
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                        A1
                                               WO 1998-US17508 19980824
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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                US 1997-58014P P 19970828
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     AU 9891169
                         A1
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                                                AU 1998-91169
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     AU 742452
                         B2
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     US 5972961
                                                US 1998-138638 19980824
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OS
IT
     221112-47-6P 221112-70-5P 221112-96-5P
     221113-08-2P 221113-09-3P 221113-10-6P
     221113-11-7P
```

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

(prepn. of 4-aryl-3-aminoquinoline-2-ones as potassium channel modulators)

RN 221112-47-6 CAPLUS

CN Benzenesulfonamide, N-[4-(5-chloro-2-hydroxyphenyl)-1,2-dihydro-2-oxo-6-(trifluoromethyl)-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 221112-70-5 CAPLUS

CN Benzenesulfonamide, N-[4-(5-chloro-2-hydroxyphenyl)-1,2-dihydro-2-oxo-6-(trifluoromethyl)-3-quinolinyl]-4-hydroxy- (9CI) (CA INDEX NAME)

RN 221112-96-5 CAPLUS

CN Benzenesulfonamide, N-[4-(5-chloro-2-hydroxyphenyl)-1,2-dihydro-2-oxo-6-(trifluoromethyl)-3-quinolinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 221113-08-2 CAPLUS

CN Benzenesulfonamide, N-[4-(5-chloro-2-hydroxyphenyl)-1,2-dihydro-2-oxo-6-(trifluoromethyl)-3-quinolinyl]-4-nitro-(9CI) (CA INDEX NAME)

$$F_3C$$

$$OH$$

$$OH$$

$$OH$$

$$OH$$

$$OH$$

RN 221113-09-3 CAPLUS

CN Benzenesulfonamide, N-[4-(5-chloro-2-methoxyphenyl)-1,2-dihydro-2-oxo-6-(trifluoromethyl)-3-quinolinyl]-4-fluoro- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & O & O \\ \hline NH & S \\ \hline OMe & O \\ \hline \end{array}$$

RN 221113-10-6 CAPLUS

CN Benzenesulfonamide, N-[4-(5-chloro-2-hydroxyphenyl)-1,2-dihydro-2-oxo-6-(trifluoromethyl)-3-quinolinyl]-4-fluoro- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ F_3C & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 221113-11-7 CAPLUS

CN 2-Naphthalenesulfonamide, N-[4-(5-chloro-2-hydroxyphenyl)-1,2-dihydro-2-oxo-6-(trifluoromethyl)-3-quinolinyl]- (9CI) (CA INDEX NAME)

GI

The title compds. [I; R, Rl = H, Me; R2-R4 = H, halo, NO2, CF3; R5 = H, alkyl, alkylsulfonyl, etc.; R6 = H, Br, Cl, NO2] which are modulators of the large conductance calcium-activated K+ channels and are useful in the treatment of disorders which are responsive to the opening of the potassium channels such as ischemia, stroke, convulsions, epilepsy, asthma, irritable bowel syndrome, migraine, traumatic brain injury, spinal cord injury, male erectile dysfunction, and urinary incontinence, were prepd. Thus, demethylation of 3-amino-4-(5-chloro-2-methoxyphenyl)-6-(trifluoromethyl)quinolin-2(1H)-one (prepn. given) with BBr3 in CH2Cl2 afforded 97% I [Rl = H; R2 = R4 = H; R3 = CF3; R5 = H; R6 = Cl; RO = 2-OH] which showed > 150% increase over BK current in controls at 20 .mu.M.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L3 ANSWER 12 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1999:157190 CAPLUS
- DN 130:296875
- TI Iminophosphorane-mediated synthesis of the alkaloid cryptotackieine
- AU Molina, P.; Fresneda, P. M.; Delgado, S.

Ι

- CS Dep. Quimica Organica, Fac. Quimica, Univ. Murcia, Murcia, E-30071, Spain
- SO Synthesis (1999), (2), 326-329 CODEN: SYNTBF; ISSN: 0039-7881
- PB Georg Thieme Verlag
- DT Journal
- LA English
- OS CASREACT 130:296875
- IT 223379-71-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

AB A synthesis of cryptotackieine is described based on the stepwise formation of the pyridine and indole ring. The key step, formation of the appropriate 3-arylquinoline, involves a Staudinger/aza-Wittig/electrocyclic ring-closure process.

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:48704 CAPLUS

DN 130:125071

TI Preparation of imidazole-containing quinoline and benzazepine derivatives as inhibitors of farnesyl protein transferase

IN Bhide, Rajeev S.; Ding, Charles Z.; Hunt, John T.; Kim, Soong-Hoon; Leftheris, Katerina

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 64 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE								70.1	DDTT	~ አመፕ/	ONE NE	^	השתבי					
	FAIL				KIND DATE			APPLICATION NO.					J.	DATE				
ΡI	WO 9	9014	34				1999	0114		W	0 19	98-U:	s125	49	1998	0616		
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RU	2211838	C2	20030910	RU	2000-102355	19980616
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MX	9911408	A	20000430	MΧ	1999-11408	19991208
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				WO	1998-US12549W	19980616
ИО	9906571	Α	20000223	NO	1999-6571	19991230
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				WO	1998-US12549W	19980616
US	6602883	B1	20030805	US	2000-566396	20000505
				US	1997-51594P P	19970702
				US	1998-87179 A3	319980529

OS MARPAT 130:125071

IT 53472-21-2P, N-(3-Quinolinyl)benzenesulfonamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of imidazole-contg. quinoline and benzazepine derivs. as inhibitors of farnesyl protein transferase)

RN 53472-21-2 CAPLUS

CN Benzenesulfonamide, N-3-quinolinyl- (9CI) (CA INDEX NAME)

GΙ

AB Disclosed are quinoline and benzazepine derivs. with an imidazole-contg.

sidechain (2 highly general Markush structures given) that inhibit farnesyl protein transferase and the farnesylation of the oncogenic protein Ras. Thus, the compds. are useful as anti-cancer agents, as well as for treatment of other diseases. Thirty synthetic examples are given. For instance, title compd. I was prepd. in 6 steps, namely: (1) lithiation and N-BOC protection of 3-aminoquinoline (100%); (2) partial hydrogenation of 3-(N-BOC-amino)quinoline to give the 1,2,3,4-tetrahydro deriv. (46%); (3) ring-bromination of the latter in the 6-position (75%); (4) reductive alkylation at the 1-position using 4-formylimidazole and NaBH(OAc)3 (93%); (5) acidic removal of the BOC group (93%); and (6) sulfonamidation with 1-naphthalenesulfonyl chloride (47%). Thirteen selected compds. inhibited FPTase with IC50 values from 1 nM to 100 .mu.M.

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L3 ANSWER 14 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1998:712648 CAPLUS
- DN 130:24979
- TI Preparation of quinoline derivatives and drugs containing them for treatment of bone metabolic disorders
- IN Oku, Teruo; Sato, Shigeki; Inoue, Takayuki; Urano, Yasuji; Yoshimitsu, Tatsuya; Yoshida, Noriko
- PA Fujisawa Pharmaceutical Co., Ltd., Japan
- SO Jpn. Kokai Tokkyo Koho, 60 pp. CODEN: JKXXAF
- DT Patent
- LA Japanese
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 10291988	A2	19981104	JP 1998-104370	19980415
				AU 1997-6225	19970415

- OS MARPAT 130:24979
- IT 216257-96-4P 216258-47-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinoline derivs. and drugs contg. them for treatment of bone metabolic disorders)

<11/9/2003>

- RN 216257-96-4 CAPLUS
- CN Benzamide, 2,6-dichloro-N-[3-methyl-4-[[(4-methylphenyl)sulfonyl]amino]-8-quinolinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

Ме

RN 216258-47-8 CAPLUS

CN 3-Pyridinecarboxamide, 2,4-dichloro-N-[3-methyl-4-[[(4-methylphenyl)sulfonyl]amino]-8-quinolinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

Мe

IT 216257-68-0P 216257-69-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of quinoline derivs. and drugs contg. them for treatment of bone metabolic disorders)

RN 216257-68-0 CAPLUS

CN Benzenesulfonamide, 4-methyl-N-(3-methyl-8-nitro-4-quinolinyl)- (9CI) (CA INDEX NAME)

Patel

<11/9/2003>

RN 216257-69-1 CAPLUS

CN Benzenesulfonamide, N-(8-amino-3-methyl-4-quinolinyl)-4-methyl- (9CI) (CA INDEX NAME)

GI

I

L3

The derivs. I [R = AR1; R1 = heterocyclyl, aryl, which may be substituted AB with halo, NO2, lower alkyl, lower alkoxy, OH, aralkoxy, lower haloalkyl, acyl, aryl, heterocyclyl, lower alkenyl, lower alkylthio; R2 = H, lower alkyl; R3 = H, halo, cyano, lower alkyl, lower hydroxyalkyl, lower alkoxyalkyl; R4 = H, (un) substituted amino, (un) substituted hydrazino, (un) substituted OH, (un) substituted SH, aralkylsulfinyl, aralkylsulfonyl, (un) substituted heterocyclyl, lower alkyl which may be substituted with acyl or cyano; R3 and R4 may be bonded to each other forming NR8N:CH (R8 = H, lower alkyl); R5-R7 = H, halo, lower alkyl; A = CONH, NHCO, NHSO2, NHCONH; if R4 = H, then R3 .noteq. H] (II) and their pharmaceutically acceptable salts are prepd. II are prepd. by (a) treatment of I (R = NH2) (III), their reactive derivs., or their salts with R1CO2H, their reactive derivs., or their salts, (b) treatment of I (R = CO2H), their reactive derivs., or their salts with R1NH2, their reactive derivs., or their salts, (c) treatment of III or their salts with R1SO3H, their reactive derivs., or their salts, (d) treatment of III with R1NCO or their salts, etc. The drugs contg. II or their salts are useful for prevention and/or treatment of osteoporosis, hypercalcemia, hyperparathyroidism, rheumatoid arthritis, etc. A N-methylpyrrolidone soln. of 4-(2-amino-2methylpropylamino) -8-(2,6-dichlorobenzoylamino) -3-methylquinoline (prepd. from 3-chloromethyl-1,4-dihydro-8-nitro-4-oxoquinoline with 6 steps) was treated with 1,1'-carbonyldiimidazole at 60.degree. for 1 h and the reaction mixt. was further treated with 1,8-diazabicyclo[5.4.0]undec-7-ene at 140.degree. to give 8-(2,6-dichlorobenzoylamino)-4-(4,4-dimethyl-2oxoimidazolidin-1-yl)-3-methylquinoline. Some of II showed 100% inhibition on proton transport by vacuolar H+-ATPase of microsome derived from mouse peritoneal macrophage. Suppression of PTH-induced bone resorption by II was also shown.

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AN
    1998:268348 CAPLUS
DN
    128:321662
ΤI
    Compositions and methods for treating bone deficit conditions
IN
    Orme, Mark W.; Baindur, Nand; Robbins, Kirk G.; et al.
PA
    Zymogenetics, Inc., USA; Osteoscreen, Inc.
SO
    PCT Int. Appl., 215 pp.
    CODEN: PIXXD2
DT
    Patent
    English
LΑ
FAN.CNT 2
    PATENT NO.
                   KIND DATE
                                       APPLICATION NO. DATE
PΙ
                   A1 19980430
                                       WO 1997-US18864 19971023
        W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, FI, GE, HU, IL, IS, JP,
            KG, KP, KR, LK, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO,
            US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
            GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
            GN, ML, MR, NE, SN, TD, TG
                                        US 1996-735870 A219961023
                                        US 1996-735873 A219961023
                                        US 1996-735874 A219961023
                                        US 1996-735876 A219961023
                                        US 1996-735881 A219961023
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                                        US 1996-736221 A219961023
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Patel <11/9/2003>

ANSWER 15 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

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				US 1996-736319 A219961023
פוז	5990169	Α	19991123	US 1997-806771 19970226
0.5	3990109	A	19991123	US 1996-736228 B119961023
115	6153631	Α	20001128	US 1997-806768 19970226
0.5	0133031	А	20001120	US 1996-736221 B119961023
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0.5	0231901	DI	20010020	US 1996-736220 B119961023
IIC	5919808	А	19990706	US 1997-808743 19970228
0.5	3919000	A	19990700	US 1996-735876 B119961023
IIG	5922753	А	19990713	US 1997-808742 19970228
0.5	3322133	A	19990/13	US 1996-735881 B119961023
110	5948776	A	19990907	US 1997-808739 19970228
0.5	3340770	A	13330301	US 1996-736318 B119961023
ΠC	5994358	A	19991130	US 1997-808744 19970228
0.5	3334330	A	19991130	US 1996-736319 B119961023
IIG	6342514	В1	20020129	US 1997-808741 19970228
0.5	0542514	DI	20020123	US 1996-735870 B119961023
211	5965573	А	19991012	US 1997-812141 19970306
0.5	3303313	A	10001012	US 1996-735874 B119961023
ΔII	9749889	A1	19980515	AU 1997-49889 19971023
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				US 1996-735873 A 19961023
				US 1996-735874 A 19961023
				US 1996-735876 A 19961023
				US 1996-735881 A 19961023
				US 1996-736220 A 19961023
				US 1996-736221 A 19961023
				US 1996-736222 A 19961023
				US 1996-736228 A 19961023
				US 1996-736318 A 19961023
				US 1996-736319 A 19961023
				WO 1997-US18864W 19971023
EP	973513	<b>A</b> 1	20000126	EP 1997-912787 19971023
				FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
	IE, FI			
	•			US 1996-735870 A 19961023
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				US 1996-736222 A 19961023
				US 1996-736228 A 19961023
				US 1996-736318 A 19961023
				US 1996-736319 A 19961023
				WO 1997-US18864W 19971023
JP	2001510450	Т2	20010731	JP 1998-519529 19971023
				US 1996-735870 A 19961023
				US 1996-735873 A 19961023
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				US 1996-735876 A 19961023
				US 1996-735881 A 19961023
				US 1996-736220 A 19961023

US 1996-736221 A 19961023 US 1996-736222 A 19961023 US 1996-736228 A 19961023 US 1996-736318 A 19961023 US 1996-736319 A 19961023 WO 1997-US18864W 19971023

PATENT FAMILY INFORMATION:

FAN 2000:67497

OS MARPAT 128:321662

IT 33757-75-4 190437-64-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. of (hetero) arom. compds. for treating bone deficit conditions)

RN 33757-75-4 CAPLUS

CN Benzenesulfonamide, N-2-quinolinyl- (9CI) (CA INDEX NAME)

RN 190437-64-0 CAPLUS

CN 1-Naphthalenesulfonamide, 5-(dimethylamino)-N-2-quinolinyl- (9CI) (CA INDEX NAME)

GI

AB Compds. contg. 2 covalently linked arom. systems, i.e. ArlLAr2 [I; Arl, Ar2 = (un)substituted Ph, naphthyl, or 5- or 6-membered arom. heterocyclyl; L = linker (atoms or covalent bond per se) so as to space the arom. systems at a distance of 1.5-15 .ANG.] are effective in treating conditions assocd. with bone deficits. The compds. can be administered to vertebrate subjects alone or in combination with addnl. agents that promote bone growth or that inhibit bone resorption. They can be screened for activity prior to administration by assessing their ability to effect the transcription of a reporter gene coupled to a promoter assocd. with a bone morphogenetic protein and/or their ability to stimulate calvarial growth in model animal systems. A variety of compds. were prepd. and/or tested by high-throughput screening. For instance, title compd. II was prepd. by condensation of 2-chloro-5-(trifluoromethyl)pyridine with ethylenediamine in the presence of EtN(Pr-iso)2 at reflux. At 5-50 .mu.g/kg/day in ovariectomized rats, II stimulated bone growth with vol. increases of 21-71% obsd. In a calvarial bone growth assay, another compd. I induced a 4-fold increase in width of new calvarial bone vs. controls.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L3 ANSWER 16 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1997:686837 CAPLUS
- DN 128:3594
- TI A series of quinoline-2-carboxylic acid derivatives: new potent glycine site NMDA receptor antagonists
- AU Kim, Ran Hee; Choi, Jin Li; Choi, Seung Won; Lee, Kwang Sook; Jung, Young Sik; Park, Woo Kyu; Seong, Churl Min; Park, No Sang
- CS Korea Research Institute of Chemical Technology, Taejeon, 305-606, S. Korea
- SO Bulletin of the Korean Chemical Society (1997), 18(9), 939-945 CODEN: BKCSDE; ISSN: 0253-2964
- PB Korean Chemical Society
- DT Journal
- LA English
- IT 198696-78-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (prepn. and NMDA receptor antagonist activity of quinolinecarboxylic acid derivs.)

- RN 198696-78-5 CAPLUS
- CN 2-Quinolinecarboxylic acid, 5,7-dichloro-4-[[(4-methylphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

# IT 198696-94-5P 198696-95-6P 198696-96-7P 198696-97-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and NMDA receptor antagonist activity of quinolinecarboxylic acid derivs.)

RN 198696-94-5 CAPLUS

CN Glycine, N-[[5,7-dichloro-4-[[(4-methylphenyl)sulfonyl]amino]-2-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 198696-95-6 CAPLUS

CN 2-Quinolinecarboxamide, 5,7-dichloro-4-[[(4-methylphenyl)sulfonyl]amino]-N-2-thiazolyl- (9CI) (CA INDEX NAME)

RN 198696-96-7 CAPLUS

CN 2-Quinolinecarboxamide, 5,7-dichloro-4-[[(4-methylphenyl)sulfonyl]amino]-N-1H-1,2,4-triazol-3-yl- (9CI) (CA INDEX NAME)

RN 198696-97-8 CAPLUS

CN 2-Quinolinecarboxamide, 5,7-dichloro-4-[[(4-methylphenyl)sulfonyl]amino]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)

IT 130613-21-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and NMDA receptor antagonist activity of quinolinecarboxylic acid derivs.)

RN 130613-21-7 CAPLUS

CN 2-Quinolinecarboxylic acid, 5,7-dichloro-4-[[(4-methylphenyl)sulfonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

GΙ

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- AB Several types of 4-substituted-quinoline-2-carboxylic acid derivs. possessing different substituents at C4-position such as sulfonyl, phosphonyl, carbonyl groups, or a flexible alkyl chain have been synthesized and evaluated for their in vitro antagonistic activity at the

glycine site on the N-methyl-D-aspartate (NMDA) receptor. Of them, 5,7-dichloro-4-(tolylsulfonylamino)-quinoline-2-carboxylic acid was found to have the best in vitro binding affinity with IC50 of 0.57 .mu.M. On the other hand, in quinolinecarboxylic acids I and II (n = 1, 2) the introduction of flexible alkyl chains on C4 of the quinoline mother nuclei caused a significant decrease of the in vitro binding affinity. In addn., replacement of polar carboxylic acid group on C2 by neutral bioisosteres in quinolinic amides III (R = NHCH2CH2CO2H, Q, Q1, Q2) also seems to be disadvantageous to in vitro activity. In the structure-activity relationship (SAR) study of the 4-substituted quinoline-2-carboxylic acid acid derivs., it was realized that the substitution pattern on C4 significantly influences on the binding affinity for the glycine site of NMDA receptor and the binding affinity might be increased by the introduction of a suitable electron rich substituent at C4 which has the ability of H-bonding donor.

RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L3 ANSWER 17 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1997:397336 CAPLUS

DN 127:17703

- TI Preparation of (hetero)aromatic compounds for treating bone deficit conditions.
- IN Petrie, Charles; Orme, Mark W.; Baindur, Nand; Robbins, Kirk G.; Harris, Scott M.; Kontoyianni, Maria; Hurley, Laurence H.; Kerwin, Sean M.; Mundy, Gregory R.
- PA Zymogenetics, Inc., USA; Osteoscreen, Inc.; University of Texas At Austin
- SO PCT Int. Appl., 99 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PAT	ENT	NO.		KII	ND	DATE			A.	PPLI	CATI	ON NO	ο.	DATE				
PI	WO	9715	308		 A:	 1	1997	0501		W	0 19:	96-U	s170	 19	1996	1023			
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															AZ,				
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	·.		•	US	1995-5830P P 19951023
				WO	1996-US17019W 19961023
US	6008208	A	19991228	US	1997-878868 19970619
				US	1995-5830P P 19951023
				US	1996-735875 B119961023
NO	9801810	Α	19980622	ИО	1998-1810 19980422
				US	1995-5830P P 19951023
				WO	1996-US17019W 19961023
US	6413998	B1	20020702	US	1999-453828 19991202
				US	1995-5830P P 19951023
				US	1996-735875 B119961023
				US	1997-878868 A319970619

OS MARPAT 127:17703

### IT 33757-75-4 190437-64-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. of (hetero)arom. compds. for treating bone deficit conditions)  $^{\circ}$  33757-75-4 CAPLUS

CN Benzenesulfonamide, N-2-quinolinyl- (9CI) (CA INDEX NAME)

RN 190437-64-0 CAPLUS

CN 1-Naphthalenesulfonamide, 5-(dimethylamino)-N-2-quinolinyl- (9CI) (CA INDEX NAME)

GΙ

RN

AB A method for treating deficient bone growth and/or undesirable bone resorption comprises administration of compds. comprising 2 (substituted) arom. systems spaced apart by a linker of 1.5-15 .ANG., is claimed. Thus, dithizone was refluxed in EtOH/HOAc for 18 h to give 25% title compd. (I). In a calvarial bone growth assay, I induced a 4-fold increase in width of new calvarial bone vs. controls.

L3 ANSWER 18 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:385652 CAPLUS

DN 127:5020

TI Preparation of quinolines as H+-ATPases inhibitors

IN Oku, Teruo; Kawai, Yoshio; Satoh, Shigeki; Yamazaki, Hitoshi; Kayakiri, Natsuko; Urano, Yasuharu; Yoshihara, Kousei; Yoshida, Noriko

PA Fujisawa Pharmaceutical Co., Ltd., Japan; Oku, Teruo; Kawai, Yoshio; Satoh, Shigeki; Yamazaki, Hitoshi; Kayakiri, Natsuko; Urano, Yasuharu; Yoshihara, Kousei; Yoshida, Noriko

SO PCT Int. Appl., 308 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.CNT PA	1 TENT NO.	KIND DATE	APPLICATION NO.	DATE
PI WO		A1 199704 CN, JP, KR, M	24 WO 1996-JP2981 X, US	19961015
	·		S, FI, FR, GB, GR, IE, IT GB 1995-21102 AU 1996-1811	19951016
AU	9672288	A1 199705	07 AU 1996-72288 GB 1995-21102 AU 1996-1811	19961015 19951016 19960821
EP			WO 1996-JP2981 11 EP 1996-933647 S, FR, GB, GR, IT, LI, LU GB 1995-21102	19961015 , NL, SE, PT, IE, FI
σŤ.	11514361	<b>ጥ</b> 2 199912	AU 1996-1811 WO 1996-JP2981 07 JP 1996-515680	19960821 19961015
ÜI.	113143,01	. 12 133312	GB 1995-21102 AU 1996-1811 WO 1996-JP2981	19951016 19960821
us	6008230	A 199912		19980414 19951016 19960821

OS MARPAT 127:5020

IT 190133-69-8P 190135-79-6P 190135-80-9P 190135-82-1P 190135-83-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

RN

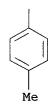
CN

RN 190135-79-6 CAPLUS
CN Benzenemethanesulfonic acid, 2-[8-[(2,6-dichlorobenzoyl)amino]-4-quinolinyl]hydrazide (9CI) (CA INDEX NAME)

RN 190135-80-9 CAPLUS
CN Benzenesulfonic acid, 4-methyl-, 2-[8-[(2,6-dichlorobenzoyl)amino]-4quinolinyl]hydrazide (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A



RN 190135-82-1 CAPLUS

CN 2-Thiophenesulfonic acid, 2-[8-[(2,6-dichlorobenzoyl)amino]-4-quinolinyl]hydrazide (9CI) (CA INDEX NAME)

RN 190135-83-2 CAPLUS

CN 5-Thiazolesulfonic acid, 2-(acetylamino)-4-methyl-, 2-[8-[(2,6-dichlorobenzoyl)amino]-4-quinolinyl]hydrazide (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

GΙ

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- The title compds. [I; R1 = (un)substituted heterocyclic or aryl group; A = CONH, NHCO; n = 0-1; Y = II, III (wherein R2- R4 = H, halo, lower alkyl, etc.; X1 = O, S, NH); Z together with N = IV, V, VI, etc. (wherein R5 = H, lower alkyl; R6 = H, halo, lower alkyl, etc.; R7 = H, lower alkyl, a heterocyclic group, etc.)] and their pharmaceutically acceptable salts, useful for the prevention and/or the treatment of bone diseases caused by abnormal bone metab. in human beings or animals, were prepd. Thus, treatment of 8-(2,6-dichlorobenzoylamino)-3-cyano-4-methylquinoline with NBS in the presence of 2,2'-azobis(isobutyronitrile) in C1(CH2)2Cl and CCl4 followed by reaction of the resulting 4-bromomethyl-8-(2,6-dichlorobenzoylamino)-3-cyanoquinoline with imidazole in C1(CH2)2Cl, and treatment of the free base with 10% HCl/MeOH afforded VII.HCl which showed 100% inhibition of PTH-induced bone resorption.
- L3 ANSWER 19 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1997:353855 CAPLUS
- DN 127:95218
- TI Synthesis of tricyclic azakynurenic acids as a new class of NMDA-glycine antagonists using novel Stille coupling reaction
- AU Hume, W. Ewan; Nagata, Ryu
- CS Sumitomo Pharmaceuticals Research Center, Osaka, 554, Japan
- SO Synlett (1997), (5, Spec. Issue), 473-474 CODEN: SYNLES; ISSN: 0936-5214
- PB Thieme
- DT Journal
- LA English
- OS CASREACT 127:95218
- IT 130613-21-7
  - RL: RCT (Reactant); RACT (Reactant or reagent)
    (prepn. of tricyclic azakynurenic acids as NMDA-glycine antagonists by
    Stille coupling)
- RN 130613-21-7 CAPLUS
- CN 2-Quinolinecarboxylic acid, 5,7-dichloro-4-[[(4methylphenyl)sulfonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

# IT 191995-95-6P 191995-96-7P 191995-97-8P 191995-98-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of tricyclic azakynurenic acids as NMDA-glycine antagonists by Stille coupling)

RN 191995-95-6 CAPLUS

CN 2-Quinolinecarboxylic acid, 7-chloro-4-[[(4-methylphenyl)sulfonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 191995-96-7 CAPLUS

CN 2-Quinolinecarboxylic acid, 7-chloro-5-(1-methylethenyl)-4-[[(4-methylphenyl)sulfonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 191995-97-8 CAPLUS

CN 2-Quinolinecarboxylic acid, 7-chloro-5-(3-methoxy-1-propenyl)-4-[[(4-methylphenyl)sulfonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 191995-98-9 CAPLUS

CN 2-Quinolinecarboxylic acid, 5-acetyl-7-chloro-4-[[(4-methylphenyl)sulfonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Patel

<11/9/2003>

GI

- AB Stille coupling reaction of tosylamide I (R = Cl, R1 = H), readily available from 3,5-Cl2C6H3NH2 in 2 steps, with CH2:CHSnBu3 gave directly tricyclic compd. I [RR1 = (CH2)2] in moderate yield. Deprotection of the latter led to novel azakynurenic acid II which showed affinity to the glycine binding site of the NMDA receptor.
- L3 ANSWER 20 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1997:113045 CAPLUS
- DN 126:103988
- TI 4-Substituted-3-phenylquinolin-2(1H)-ones: Acidic and Nonacidic Glycine Site N-Methyl-D-aspartate Antagonists with in Vivo Activity
- AU Carling, Robert W.; Leeson, Paul D.; Moore, Kevin W.; Moyes, Christopher R.; Duncton, Matthew; Hudson, Martin L.; Baker, Raymond; Foster, Alan C.; Grimwood, Sarah; Kemp, John A.; Marshall, George R.; Tricklebank, Mark D.; Saywell, Kay L.
- CS Departments of Medicinal Chemistry Biochemistry and Pharmacology, Merck Sharp and Dohme Research Laboratories Neuroscience Research Centre, Harlow/Essex, CM20 2QR, UK
- SO Journal of Medicinal Chemistry (1997), 40(5), 754-765 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DT Journal

LA English

IT 150097-34-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of phenylquinolinones as glycine site NMDA antagonists)

RN 150097-34-0 CAPLUS

CN Benzenesulfonamide, N-(7-chloro-1,2-dihydro-2-oxo-3-phenyl-4-quinolinyl)-(9CI) (CA INDEX NAME)

AB 4-Substituted-3-phenylquinolin-2(1H)-ones have been synthesized and evaluated in vitro for antagonist activity at the glycine site on the NMDA (N-methyl-D-aspartate) receptor and in vivo for anticonvulsant activity in the DBA/2 strain of mouse in an audiogenic seizure model. 4-Amino-3-phenyl-7-chloroquinolin-2(1H)-one (3) is 40-fold lower in binding affinity but only 4-fold weaker as an anticonvulsant than the corresponding acidic 4-hydroxy compd. (1). Methylsulfonylation at the 4-position of 3 gives an acidic compd., where affinity is fully restored but in vivo potency is significantly reduced. Methylation at the 4-position of 1 results in the abolition of measurable affinity, but the attachment of neutral hydrogen bond-accepting groups to the Me group produces compds. with comparable in vitro and in vivo activity to 1. Replacement of the 4-hydroxy group of 1 with an Et group abolishes activity (42), but again, incorporation of neutral hydrogen bond acceptors to the terminal carbon atom restores affinity (e.g., 36, 39, and 40, Table 3). The results in this paper indicate that anionic functionality is not an abs. requirement for good affinity at the glycine/NMDA site and provide compelling evidence for the existence of a ligand/receptor hydrogen bond interaction between an acceptor attached to the 4-position of the ligand and a hydrogen bond donor attached to the receptor.

L3 ANSWER 21 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1995:476630 CAPLUS

DN 122:314437

TI Structure-activity relationships in a series of 3-sulfonylamino-2-(1H)-quinolones, as new AMPA/kainate and glycine antagonists

AU Cordi, Alex A.; Desos, Patrice; Randle, John C. R.; Lepagnol, Jean

CS Inst. Recherches Servier, Suresnes, F-92150, Fr.

SO Bioorganic & Medicinal Chemistry (1995), 3(2), 129-41 CODEN: BMECEP; ISSN: 0968-0896

PB Elsevier

DT Journal

LA English

IT 150009-67-9P 150009-68-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(structure-activity relationships in a series of 3-sulfonylamino-2-(1H)-quinolones, as new AMPA/kainate and glycine antagonists)

RN 150009-67-9 CAPLUS

CN Benzenesulfonamide, N-(1,2-dihydro-2-oxo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 150009-68-0 CAPLUS

CN 2-Thiophenesulfonamide, N-(1,2-dihydro-2-oxo-3-quinolinyl)- (9CI) (CA INDEX NAME)

### IT 163458-83-1P 163458-84-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(structure-activity relationships in a series of 3-sulfonylamino-2-(1H)-quinolones, as new AMPA/kainate and glycine antagonists)

RN 163458-83-1 CAPLUS

CN Benzenesulfonamide, N-(2-methoxy-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 163458-84-2 CAPLUS

CN 2-Thiophenesulfonamide, N-(2-methoxy-3-quinolinyl)- (9CI) (CA INDEX NAME)

- AB This paper describes the design and synthesis of a new class of mols., the 3-sulfonylamino-2(1H)-quinolones, which are potent and selective antagonists at both the AMPA/kainate site as well as at the NMDA-assocd. glycine site. The mols. were characterized by their binding affinities to rat cortical membranes and by electrophysiol. on Xenopus oocytes injected with mRNA isolated from rat cerebral cortex. The most potent compd., 6,7-dinitro-3-trifluoromethanesulfonylamino-2(1H)-quinolone, has an IC50 of 0.09 .mu.M for binding at the AMPA/kainate site, and 0.16 .mu.M in oocyte electrophysiol.
- L3 ANSWER 22 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1995:292058 CAPLUS
- DN 122:160438
- TI Reactions and biological activity of substituted quinoline
- AU Donia, S. G.
- CS Faculty Science, Benha University, Benha, Egypt
- SO Egyptian Journal of Pharmaceutical Sciences (1994), Volume Date 1993, 34(4-6), 529-38
  CODEN: EJPSBZ; ISSN: 0301-5068
- PB National Information and Documentation Centre
- DT Journal
- LA English
- IT 25770-52-9P
  - RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn., reactions and antimicrobial activity of substituted quinoline)

- RN 25770-52-9 CAPLUS
- CN Benzenesulfonamide, 4-methyl-N-2-quinolinyl- (9CI) (CA INDEX NAME)

- AB Substituted 2-aminoquinolines reacted with halo compds., amino acids, urea, amides, anilides and hydroazines. The synthesized derivs. were screened for antimicrobial activity.
- L3 ANSWER 23 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1994:508762 CAPLUS
- DN 121:108762
- TI Preparation of benzonaphthyridines as glutamic acid receptor antagonists
- IN Nagata, Tatsu; Tanno, Norihiko
- PA Sumitomo Pharma, Japan
- SO Jpn. Kokai Tokkyo Koho, 8 pp. CODEN: JKXXAF
- DT Patent

LΑ	Jap	anese
FAN.	CNT	1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	JP 05331169	A2	19931214	JP 1992-160260	19920526		
			,	JP 1992-160260	19920526		

os MARPAT 121:108762

ΙT 153758-83-9

RL: RCT (Reactant); RACT (Reactant or reagent) (cyclocondensation of, with alkenyltributyltins)

RN153758-83-9 CAPLUS

CN 2-Quinolinecarboxylic acid, 5,7-dichloro-4-[[(4-

(CA INDEX NAME) methylphenyl)sulfonyl]amino]-, ethyl ester (9CI)

GΙ

$$W^2$$
 $W^1$ 
 $W^2$ 
 $W^1$ 
 $W^2$ 
 $W^1$ 
 $W^2$ 
 $W^2$ 

AΒ The title compds. I [R1 = CO2H or its derivs.; W1, W2 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl(alkyl), (substituted) aralkyl, (hetero)aryl, phthalimido, (substituted) NH2 or OH; W1W2 may be (substituted) alkylene; X = H, alkyl, halo, cyano, CF3, NO2, OH, CO2H, alkoxy, alkanoyl, alkoxycarbonyl, alkylsulfonyl, (substituted) amino, sulfamoyl, or carbamoyl] or their salts, useful as glutamic acid receptor antagonists (no data), are prepd. Chloroquinoline II, vinyltri-n-butyltin, and Pd(PPh3)4 in toluene-DMF were heated at

100.degree. for 10 h to give 49% 8-chloro-2-ethoxycarbonyl-4-p-toluenesulfonyl-5,6-dihydro-4H-benzo[de][1,6]naphthyridine, which was hydrolyzed with H2SO4 at 0.degree. for 1 h to give 100% I (R1 = CO2Et, W1 = W2 = H, X = 8-C1).

L3 ANSWER 24 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1994:409131 CAPLUS

DN 121:9131

TI 4-(Arylsulfonylhydrazino) quinaldines and their antibacterial activity

AU Desai, A. V.; Mehta, A. G.; Desai, P. B.

CS Chem. Dep., P. T. S. Coll. Sci., Surat, 395 001, India

SO Journal of the Institution of Chemists (India) (1993), 65(2), 65-6 CODEN: JOICA7; ISSN: 0020-3254

DT Journal

LA English

IT 155393-18-3P 155393-19-4P 155393-20-7P

155393-21-8P 155393-22-9P 155393-23-0P

155393-24-1P 155393-25-2P 155393-26-3P

155393-27-4P 155393-28-5P 155393-29-6P

RL: SPN (Synthetic preparation); FORM (Formation, nonpreparative); PREP (Preparation)

(formation of, in prepn. of antibacterial agents)

RN 155393-18-3 CAPLUS

CN Benzenesulfonic acid, 2-(6-chloro-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 155393-19-4 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(6-chloro-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 155393-20-7 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(6-chloro-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 155393-21-8 CAPLUS

CN Benzenesulfonic acid, 2-(7-chloro-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 155393-22-9 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(7-chloro-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 155393-23-0 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(7-chloro-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 155393-24-1 CAPLUS

CN Benzenesulfonic acid, 2-(8-chloro-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 155393-25-2 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(8-chloro-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 155393-26-3 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(8-chloro-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 155393-27-4 CAPLUS

CN Benzenesulfonic acid, 2-(6-ethoxy-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 155393-28-5 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(6-ethoxy-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 155393-29-6 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(6-ethoxy-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

IT 155393-15-0P 155393-16-1P 155393-17-2P 155393-30-9P 155393-31-0P 155393-32-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antibacterial activity of)

RN 155393-15-0 CAPLUS

CN Benzenesulfonic acid, 2-(5-chloro-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 155393-16-1 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(5-chloro-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 155393-17-2 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(5-chloro-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 155393-30-9 CAPLUS

CN Benzenesulfonic acid, 2-(8-ethoxy-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 155393-31-0 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(8-ethoxy-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 155393-32-1 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(8-ethoxy-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

GI

AB Title compds. I (R = 5-, 6-, 7-, 8-Cl, 6-, 8-EtO, R1 = H, Me, NHAc) were prepd. and tested for their antibacterial activity. I were prepd. from 4-chloroquinaldines and 4-R1C6H4SO2NHNH2.

L3 ANSWER 25 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

Ι

AN 1994:106812 CAPLUS

DN 120:106812

TI Synthesis of 2,3-fused quinolines from 3-substituted quinoline 1-oxides.

Part III. Intramolecular cyclization of quinoline 1-oxides bearing active methylene groups at the 3-position in the presence of acetic anhydride

AU Miura, Yutaka; Fujimura, Yasuo; Takaku, Sakae; Hamana, Masatomo

CS Explor. Lab., Chugai Pharm. Co., Ltd., Gotemba, 412, Japan

SO Heterocycles (1993), 35(2), 693-9 CODEN: HTCYAM; ISSN: 0385-5414

DT Journal

LA English

RN 7101-92-0 CAPLUS

CN Benzenesulfonamide, 4-methyl-N-3-quinolinyl- (9CI) (CA INDEX NAME)

GΙ

AB 3-N-alkylcyanoacetamidoquinoline 1-ox react with Ac2O at room temp. in chlopyrrolo[3,2-b]quinolin-2-ones (II; R: 3-N-alkylethoxycarbonylacetamidoquinol CO2Et) occurs upon heating with Ac2O a Dicyanopropoxy)quinoline 1-oxide (III) pyranoquinoline IV when treated with A

L3 ANSWER 26 OF 62 CAPLUS COPYRIGHT 20(

AN 1993:580679 CAPLUS

DN 119:180679

TI 3-Phenylquinolone NMDA and/or AMPA receptor antagonists

IN Carling, William Robert; Leeson, Paul David; Moore, Kevin William; Rowley, Michael

PA Merck Sharp and Dohme Ltd., UK

SO PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
PI	WO 9311115	A2	19930610	WO 1992-GB2183	19921125			
	WO 9311115	<b>A</b> 3	19930722					
	W: CA, JP,	US						
	RW: AT, BE,	CH, DE	, DK, ES, FR,	GB, GR, IE, IT, LU	, MC, NL, PT, SE			
				GB 1991-25515	19911125			
	EP 620812	<b>A</b> 1	19941026	EP 1992-923917	19921125			

	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE
									GB	199	1-2	5515		1991	1129
									WO	199	2-G	B2183	3	19923	1125
JP	0750	1337		T2	2	1995	0209		JP	199	2-5	09935	5	19923	1125
									GB	199	1-2	5515		1991	1129
									WO	199	2-G	B2183	3	1992	1125
US	5614	532		Α		1997	0325		US	199	4-2	44342	2	19940	0525
									GB	199	1-2	5515		1991	1129
									WO	199	2-G1	B2183	3	1992	1125

OS MARPAT 119:180679

IT 150097-34-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and NMDA and/or AMPA receptor antagonist activity of)

RN 150097-34-0 CAPLUS

CN Benzenesulfonamide, N-(7-chloro-1,2-dihydro-2-oxo-3-phenyl-4-quinolinyl)(9CI) (CA INDEX NAME)

GΙ

$$R^4$$
 $R^5$ 
 $R^6$ 
 $R^2$ 
 $R^2$ 
 $R^2$ 
 $R^1$ 

AB The title compds. I [R = H, NH2, CO2H, C2-6 alkoxycarbonyl, etc.; R1, R2 = H, hydrocarbon, heterocyclic group, halogen, CN, CF3, NO2, etc.; and one of R3-R6 = hydrocarbon, heterocyclic group, halogen, CN, CF3, NO2, etc., while the other three of R3-R6 = H, hydrocarbon, heterocyclic group, halogen, CN, CF3, NO2, (un)substituted amino, etc.; R1,R2 might represent the residue of carbocyclic or heterocyclic rings], useful for the treatment of diseases which require the administration of a selective noncompetitive antagonist of NMDA receptors (no data), are prepd. and I-contg. pharmaceutical formulations are presented. Thus, Me 5-Chloroanthranilate was condensed with NH3, reacted with trifluoroacetic anhydride to produce 5-chloroanthrinilonitrile, reacted with

O-methoxyphenylacetyl chloride, and reacted with NaH, producing

4-amino-7-chloro-3-(2-methoxyphenyl)-2(1H)-quinolone.

Ι

ANSWER 27 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN L3

1993:560139 CAPLUS AN

119:160139 DN

Preparation of 7-aza-3-sulfonylamino-2-(1H)-quinolinones as excitatory TIamino acid antagonists

Cordi, Alex; Desos, Patrice; Lepagnol, Jean; Randle, John IN

PΑ Adir et Cie., Fr.

Eur. Pat. Appl., 29 pp. SO CODEN: EPXXDW

DTPatent

LΑ French

FAN.	CNT	1																
	PATENT NO.			KIN	1D	DATE			AP	APPLICATION NO.					DATE			
PI	EP 542609			A1			19930519			EP	EP 1992-40301			.4	19921109			
	EΡ	542609		B1		L	19940907											
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,						LU, 1991:		PT,	SE
	FR	26838	818		A1	L	1993	0521		FR	199	1-1	3977		1991	1114		
	FR	26838	818		В1	L	1993	1231										
	ES	2064	156		Т3	3	1995	0116		ES	199	92-4	0301	4	1992	1109		
										FR	199	91-1	3977		1991:	1114		
	ZA	9208	727		Α		1993	0510				_	727		1992			
													3977		1991			
	CA	20828	856		ΑÆ	A.	1993	0515							1992			
										FR	199	91-1	3977		1991	1114		
	ΑU	92283	351		A1	L	1993	0520		AU	199	2-2	8351		1992	1113		
	AU	65125	55		В2	2	1994	0714										
										FR	199	1-1	3977		1991	1114		
	JP	0522	1997		Αź	2	1993	0831		JP	199	2-3	0555	0	1992	1116		
	JP	06102	2650		В4	l	1994	1214										
										FR	199	91-1	3977		1991	1114		

OS MARPAT 119:160139

IT 150009-67-9P 150009-68-0P

> RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as excitatory amino acid antagonist)

RN 150009-67-9 CAPLUS

CN Benzenesulfonamide, N-(1,2-dihydro-2-oxo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN150009-68-0 CAPLUS

2-Thiophenesulfonamide, N-(1,2-dihydro-2-oxo-3-quinolinyl)- (9CI) (CA CN INDEX NAME)

Patel <11/9/2003>

GI

ΑB Title compds. (I; A = CH, N; R = alkyl, trihalomethyl, Ph, 2-thienyl, etc.; X, Y, Z = H, halo, NO2, cyano, alkyl, alkoxy, etc.) were prepd. Thus, 3-nitro-6-formylaniline (prepn. given) was cyclocondensed with CH2(CO2Me)2 and the quinolinone product refluxed with POCl3 followed by NaOMe treatment to give, after sapon., methoxyquinoline II (R1 = CO2H) which underwent Curtius rearrangement followed by Me3COH treatment to give, after deprotection, II (R1 = NH2). The latter was acylated by (CF3SO2)2O to give II (R1 = NHSO2CF3) which had Ki of 0.6 .mu.M for binding at AMPA glutamatergic receptors in vitro.

L3 ANSWER 28 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

ΑN 1993:495290 CAPLUS

DN 119:95290

ΤI Reactions and biological activity of substituted quinoline

ΑU Donia, Shafey Galal

CS Fac. Sci., Benha Univ., Benha, Egypt

SO Pakistan Journal of Scientific and Industrial Research (1992), 35(10), CODEN: PSIRAA; ISSN: 0030-9885

DT Journal

English LΑ

ΙT 25770-52-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 25770-52-9 CAPLUS

Benzenesulfonamide, 4-methyl-N-2-quinolinyl- (9CI) (CA INDEX NAME) CN

GI

AB Substituted quinolines reacted with halo compds., amino acids, urea, amides, anilides, and hydrazines. Thus, 2-aminoquinoline reacted with Me iodide, AcCl, 2,4-(O2N)2C6H3Cl, and 4-MeC6H4SO2Cl to give the N-substituted derivs. I (R = Me, Ac, C6H4(NO2)2-2,4, SO2C6H4Me-4, resp.). The prepd. compds. were tested for antimicrobial activity.

L3 ANSWER 29 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1993:38875 CAPLUS

DN 118:38875

TI Synthesis of 2,3-fused quinolines from 3-substituted quinoline 1-oxides. Part 1

AU Miura, Yutaka; Takaku, Sakae; Fujimura, Yasuo; Hamana, Masatomo

CS Expl. Lab., Chugai Pharm. Co., Ltd., Shizuoka, 412, Japan

(prepn. and alkylation of, with dibromoethane)

SO Heterocycles (1992), 34(5), 1055-63 CODEN: HTCYAM; ISSN: 0385-5414

DT Journal

LA English

OS CASREACT 118:38875

TT 7101-92-0P, 3-Tosylaminoquinoline
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

RN 7101-92-0 CAPLUS

CN Benzenesulfonamide, 4-methyl-N-3-quinolinyl- (9CI) (CA INDEX NAME)

GI

- AB 3-(2-Bromoethyltosylamino) quinoline 1-oxide reacted with TsCl-NH4OH and TsCl-K2CO3 in CHCl3 to afford 2-aminoquinoline I and 2-quinolinone II, resp. Cyclization of I and II under basic conditions gave the piperazinoquinoline III and the morpholinoquinoline IV, resp. Similar reactions of 3-(2-bromoethoxy) quinoline 1-oxide in the presence of TsCl gave also the corresponding 2-aminoquinoline and 2-hydroxyquinoline derivs., as well as a fair amt. of byproducts. Cyclization of the quinoline derivs. gave the corresponding morpholinoquinoline and 1,4-dioxanoquinoline in somewhat lower yields.
- L3 ANSWER 30 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1991:23783 CAPLUS
- DN 114:23783
- TI 4-[(Carboxymethyl)oxy]- and 4-[(carboxymethyl)amino]-5,7-dichloroquinoline-2-carboxylic acid: new antagonists of the strychnine-insensitive glycine binding site on the N-methyl-D-aspartate (NMDA) receptor complex
- AU Harrison, Boyd L.; Baron, Bruce M.; Cousino, Diane M.; McDonald, Ian A.
- CS Merrell Dow Res. Inst., Cincinnati, OH, 45215, USA
- SO Journal of Medicinal Chemistry (1990), 33(12), 3130-2 CODEN: JMCMAR; ISSN: 0022-2623
- DT Journal
- LA English
- OS CASREACT 114:23783
- IT 130613-21-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and N-alkylation of, with Me bromoacetate)

- RN 130613-21-7 CAPLUS
- CN 2-Quinolinecarboxylic acid, 5,7-dichloro-4-[[(4-methylphenyl)sulfonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

GI

AB Quinoline-2-carboxylic acid derivs. I [X = O (II); X = NH (III)] were prepd. as potent antagonists of the glycine site on the N-methyl-D-aspartate (NMDA) receptor complex. II was somewhat more potent than kynurenic acid in binding to the glycine site (inhibiting concn.50 = 9.mu.M vs. 16.mu.M, resp.), whereas III was essentially as potent as 5,7-dichlorokynurenic acid (inhibiting concn.50 = 0.10.mu.M vs. 0.08.mu.M, resp.). A comparison of the binding affinities of II and III for the glycine and L-glutamate sites demonstrated their selectivity for the former (II, 99-fold selective; III, 1400-fold selective). II and III were shown to be antagonists by their ability to block NMDA-induced increases in c-GMP content in rat cerebellar slices (II, inhibiting concn.50 = 225.mu.M; III, inhibiting concn.50 = 3.6.mu.M). The exceptional potency of III (relative to II) was explained by the ability of III to tautomerize to a 4(1H)-quinolone-imine form.

L3 ANSWER 31 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1991:23780 CAPLUS

DN 114:23780

TI Synthesis of quinoline derivatives using ketene dithioacetals

AU Tominaga, Yoshinori; Michioka, Takeharu; Moriyama, Kohu; Hosomi, Akira

CS Fac. Pharm. Sci., Nagasaki Univ., Nagasaki, 852, Japan

SO Journal of Heterocyclic Chemistry (1990), 27(5), 1217-25 CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LA English

OS CASREACT 114:23780

IT 131170-52-0P 131170-98-4P 131170-99-5P 131171-00-1P

RN 131170-52-0 CAPLUS

CN 3-Quinolinecarboxamide, 6-chloro-N-(4-chlorophenyl)-4-hydroxy-2-[[(4-methylphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

RN 131170-98-4 CAPLUS

CN 3-Quinolinecarboxamide, 4-hydroxy-7-methoxy-N-(3-methoxyphenyl)-2-[[(4-methylphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

RN 131170-99-5 CAPLUS

CN 3-Quinolinecarboxamide, 4-hydroxy-6-methoxy-N-(4-methoxyphenyl)-2-[[(4-methylphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

RN 131171-00-1 CAPLUS

CN 3-Quinolinecarboxamide, 8-chloro-N-(2-chlorophenyl)-4-hydroxy-2-[[(4-methylphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

GΙ

- AB RC6H4NHC(SMe):C(CN)CO2Me (R = H, 4-Me, 2-MeO, 3-MeO, 4-MeO, 2-Cl, 4-Cl, 4-Br), which are readily prepd. by the reaction of (MeS)2C:C(CN)CO2Me (I) with RC6H4NH2, was heated at reflux in Ph2O to give the corresponding methylthiohydroxyquinolinecarbonitriles II in 14-77% yields. The reaction of I with excess RC6H4NH2 in Ph2O at reflux gave the 2-(arylamino)-4-hydroxyquinolinecarbonitriles III.
- L3 ANSWER 32 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1990:178625 CAPLUS
- DN 112:178625
- TI Synthesis of some potential antimicrobial 4-(arylsulfonylhydrazine)quinoli nes
- AU Naik, V. A.; Mehta, A. G.; Desai, B. M.
- CS Chem. Dep., P. T. Sarvajanik Coll. Sci., Surat, India
- SO Journal of the Institution of Chemists (India) (1989), 61(2), 67-8 CODEN: JOICA7; ISSN: 0020-3254
- DT Journal
- LA English
- OS CASREACT 112:178625
- IT 126530-47-0P 126530-48-1P 126530-49-2P 126530-50-5P 126530-51-6P 126530-52-7P 126530-53-8P 126530-54-9P 126530-55-0P 126530-56-1P 126530-57-2P 126530-58-3P
  - 126530-59-4P 126530-60-7P 126530-61-8P

Patel <11/9/2003>

## 126530-62-9P 126530-63-0P 126530-64-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and bactericidal activity of)

RN 126530-47-0 CAPLUS

CN Benzenesulfonic acid, 2-(5-chloro-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 126530-48-1 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(5-chloro-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 126530-49-2 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(5-chloro-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

Patel <11/9/2003>

RN 126530-50-5 CAPLUS

CN Benzenesulfonic acid, 2-(6-chloro-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 126530-51-6 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(6-chloro-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 126530-52-7 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(6-chloro-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 126530-53-8 CAPLUS

CN Benzenesulfonic acid, 2-(7-chloro-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 126530-54-9 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(7-chloro-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 126530-55-0 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(7-chloro-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 126530-56-1 CAPLUS

CN Benzenesulfonic acid, 2-(8-chloro-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 126530-57-2 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(8-chloro-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 126530-58-3 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(8-chloro-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 126530-59-4 CAPLUS

CN Benzenesulfonic acid, 2-(6-methoxy-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 126530-60-7 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(6-methoxy-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 126530-61-8 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(6-methoxy-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 126530-62-9 CAPLUS

CN Benzenesulfonic acid, 2-(8-methoxy-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 126530-63-0 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(8-methoxy-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 126530-64-1 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(8-methoxy-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

GΙ

- AB 4-(Arylsulfonylhydrazine)quinolines I (R = 5-Cl, 6-Cl, 7-Cl, 8-Cl, 6-OMe, 8-OMe; Rl = H, Me, NHAc) were prepd. by a previously reported method (Naik, V. A. et al., 1987) from 4-chloro-2-phenylquinolines II and 4-R1C6H4SO2NHNH2 and tested for antimicrobial activity against Escherichia coli, Staphylococcus aureus, and Salmonella typhosa. Only I (R = 5-Cl, Rl = H, Me, NHAc) demonstrated antimicrobial activity.
- L3 ANSWER 33 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1988:549313 CAPLUS
- DN 109:149313
- TI Synthesis of some 4-(arylsulfonylhydrazino)quinolines and their antibacterial activity
- AU Naik, V. A.; Mehta, A. G.; Desai, B. M.
- CS Chem. Dep., P. T. Sarvajanik Coll. Sci., India
- SO Journal of the Institution of Chemists (India) (1987), 59(5), 209-10 CODEN: JOICA7; ISSN: 0020-3254
- DT Journal
- LA English
- OS CASREACT 109:149313
- IT 116689-95-3P 116689-96-4P 116689-97-5P 116689-99-7P 116690-00-7P 116690-02-9P 116690-03-0P 116690-04-1P 116690-05-2P

116690-06-3P 116690-07-4P 116690-08-5P 116775-30-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 116689-95-3 CAPLUS

CN Benzenesulfonic acid, 2-(2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 116689-96-4 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 116689-97-5 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 116689-99-7 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(5-methyl-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 116690-00-7 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(5-methyl-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 116690-02-9 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(7-methyl-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 116690-03-0 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(7-methyl-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 116690-04-1 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(6-methyl-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 116690-05-2 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(6-methyl-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 116690-06-3 CAPLUS

CN Benzenesulfonic acid, 2-(8-methyl-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 116690-07-4 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(8-methyl-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 116690-08-5 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(8-methyl-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 116775-30-5 CAPLUS

CN Benzenesulfonic acid, 2-(6-methyl-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

## IT 116689-98-6P 116690-01-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as bactericide)

RN 116689-98-6 CAPLUS

CN Benzenesulfonic acid, 2-(5-methyl-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 116690-01-8 CAPLUS

CN Benzenesulfonic acid, 2-(7-methyl-2-phenyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

Ι

GI

4-Chloroquinolines were treated with benzenesulfonic acid hydrazides in AΒ HOAc to give 4-(benzenesulfonylhydrazino)quinolines I (R1 = H, Me; R2 = H, Me, NHCOMe). Some I showed bactericidal activity. ANSWER 34 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN L3 1982:615950 CAPLUS AN DN 97:215950 Synthesis and amebicidal activity of some 2-methyl-6(8)-alkyl-4-(arylsulfonylhydrazino) quinolines Misra, V. S.; Saxena, V. K.; Srivastava, Rashmi AU CS Dep. Chem., Lucknow Univ., Lucknow, 226 007, India Journal of the Indian Chemical Society (1982), 59(6), 781-2 SO CODEN: JICSAH; ISSN: 0019-4522 DT Journal LA English OS CASREACT 97:215950 IT 83640-08-8P 83640-09-9P 83640-10-2P 83640-11-3P 83640-12-4P 83640-13-5P 83640-14-6P 83640-15-7P 83640-16-8P 83640-17-9P 83640-18-0P 83640-19-1P 83640-20-4P 83640-21-5P 83640-22-6P 83640-23-7P 83640-24-8P 83640-25-9P 83640-26-0P 83651-29-0P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and amebicidal activity of) 83640-08-8 CAPLUS RN

Benzenesulfonic acid, 2-(2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX

NAME)

CN

RN 83640-09-9 CAPLUS
CN Benzenesulfonic acid, 4-methyl-, 2-(2-methyl-4-quinolinyl)hydrazide (9CI)
(CA INDEX NAME)

RN 83640-10-2 CAPLUS

CN Benzenesulfonic acid, 4-methoxy-, 2-(2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 83640-11-3 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 83640-12-4 CAPLUS

CN Benzenesulfonic acid, 2-(2,8-dimethyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 83640-13-5 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(2,8-dimethyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 83640-14-6 CAPLUS

CN Benzenesulfonic acid, 4-methoxy-, 2-(2,8-dimethyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 83640-15-7 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(2,8-dimethyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 83640-16-8 CAPLUS

CN Benzenesulfonic acid, 2-(2,6-dimethyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & Me \\ \hline \\ NH-NH-S-Ph \\ \\ O \\ \end{array}$$

RN 83640-17-9 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(2,6-dimethyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 83640-18-0 CAPLUS

CN Benzenesulfonic acid, 4-methoxy-, 2-(2,6-dimethyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 83640-19-1 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(2,6-dimethyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 83640-20-4 CAPLUS

CN Benzenesulfonic acid, 2-(8-methoxy-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 83640-21-5 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(8-methoxy-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 83640-22-6 CAPLUS

CN Benzenesulfonic acid, 4-methoxy-, 2-(8-methoxy-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 83640-23-7 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(8-methoxy-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 83640-24-8 CAPLUS

CN Benzenesulfonic acid, 2-(6-methoxy-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 83640-25-9 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(6-methoxy-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 83640-26-0 CAPLUS

CN Benzenesulfonic acid, 4-methoxy-, 2-(6-methoxy-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

RN 83651-29-0 CAPLUS

CN Benzenesulfonic acid, 4-(acetylamino)-, 2-(6-methoxy-2-methyl-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

AB A series of substituted 4-[(arylsulfonyl)hydrazino]quinolines were prepd. and examd. for their amebicidal activity. Contrary to expectations, none of the compds. showed significant amebicidal activity against the axenic culture of E. histolytica at a concn. of 125 .mu.g/mL.

L3 ANSWER 35 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1982:85393 CAPLUS

DN 96:85393

TI Synthesis of 3-amino-4-aryl-2(1H)-quinolinones

AU Bahr, F.; Usbeck, H.

CS Direktionsber. Forsch. Entwicklung, VEB Pharm. Kombinat GERMED, Dresden, DDR-8122, Ger. Dem. Rep.

SO Pharmazie (1981), 36(10), 668-71 CODEN: PHARAT; ISSN: 0031-7144

DT Journal

LA German

IT 80837-65-6P

RN 80837-65-6 CAPLUS

CN Benzenesulfonamide, N-(6-chloro-1,2-dihydro-2-oxo-4-phenyl-3-quinolinyl)-4-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & H & O & O \\ \hline & NH - S & \\ & Dh & O & \\ \end{array}$$

GΙ

AB Isoquinolinones I (R = R3 = H, R1 = C1, R2 = H, Me; R = R2 = H, R1 = NO2, R3 = H, C1) were prepd. Thus, 2-R3C6H4COC6H3(NHR2)R1-2,5 were treated with R4SO2NHCH2COC1 (R4 = Me, 4-MeC6H4) to give 2-R3C6H4COC6H3(NR2COCH2NHSO2R4)R1-2,5 which were cyclized with NaOEt to I (R = SO2R4). Acid hydrolysis of the latter compds. gave I (R = H). I (R = R2 = R3 = H, R1 = NO2) was obtained by cyclizing 2,4-Bz(O2N)C6H3NHCOCH2NH2. I (R = R2 = H, R1 = NO2, R3 = H, C1) were also obtained by treating 2-R3C6H4COC6H3(NHCOCH2NH2)NO2-2,5 with Me2CO or PhCHO and treating the condensation products with AcOH-MeOH.

L3 ANSWER 36 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1981:462000 CAPLUS

DN 95:62000

TI Sulfonylhydrazines, metal complexes thereof, and solutions containing such compounds for use in extraction of metal values

IN Spitzner, Ernest

PA Henkel Corp., USA

SO U.S., 7 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
ΡI	US 4252959	Α	19810224	US 1979-53116	19790628			
				US 1979-53116	19790628			

IT 78121-73-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and extn. of metals from aq. solns. by)

RN 78121-73-0 CAPLUS

CN Benzenesulfonic acid, 4-dodecyl-, 2-(2-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & O \\ N - NH - S \\ O & (CH2)11 - Me \end{array}$$

AB RSO2NHNHR1 (I; R = alkyl, aryl, alkaryl, aralkyl; R1 = pyridyl, quinolinyl, benzothiazolyl) were prepd. Thus, Me(CH2)11C6H4SO2Cl was treated with 2-hydrazinopyridine to give I [R = Me(CH2)11C6H4, R1 =

2-pyridyl]. I dissolved in hydrocarbon solvents were used for extn. of Cu+2, Ni+2, Zn+2, Co+2, Co+3 from aq. solns.

- L3 ANSWER 37 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1975:111730 CAPLUS
- DN 82:111730
- TI Addition reactions of derivatives of iso- and isothiocyanic acid to Schiff bases. I
- AU Zankowska-Jasinska, Wanda; Borowiec, Halina; Kucab, Magdalena
- CS Inst. Chem., Uniw. Jagiellonski, Krakow, Pol.
- SO Zeszyty Naukowe Uniwersytetu Jagiellonskiego, Prace Chemiczne (1974), 19, 51-8

CODEN: ZUJCAQ; ISSN: 0083-4319

- DT Journal
- LA Polish
- IT 54440-76-5P 54440-77-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

- RN 54440-76-5 CAPLUS
- CN Benzenesulfonamide, N-(2-phenyl-4-quinolinyl)- (9CI) (CA INDEX NAME)

- RN 54440-77-6 CAPLUS
- CN Benzenesulfonamide, 4-methyl-N-(2-phenyl-4-quinolinyl)- (9CI) (CA INDEX NAME)

AB Addn. of PhSO2NCO and p-MeC6H4SO2NCO to PhC(:NPh)Me gave PhC(:NPh)CH2CONHSO2Ph (I) and p-MeC6H4SO2NHCOCH2C(:NPh)Ph (II), resp. Acid hydrolysis of I and II gave PhCOCH2CONHSO2Ph and p-

MeC6H4SO2NHCOCH2COPh, resp. On heating, I and II cyclized to 2-phenyl-4-benzenesulfonamidoquinoline and 2-phenyl-4-(p-toluenesulfonamido)quinoline, resp.

L3 ANSWER 38 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1974:491322 CAPLUS

DN 81:91322

TI Benzenesulfonamides of primary aminopyridines and primary aminoquinolines

AU Chittum, John W.; Tindall, Charles G.; Howells, Richard D.; Coates, Virginia; Shie, Marvin D., III

CS Dep. Chem., Coll. Wooster, Wooster, OH, USA

SO Journal of Chemical and Engineering Data (1974), 19(3), 294-5 CODEN: JCEAAX; ISSN: 0021-9568

DT Journal

LA English

IT 33757-75-4P 53472-21-2P 53472-23-4P

RN 33757-75-4 CAPLUS

CN Benzenesulfonamide, N-2-quinolinyl- (9CI) (CA INDEX NAME)

RN 53472-21-2 CAPLUS

CN Benzenesulfonamide, N-3-quinolinyl- (9CI) (CA INDEX NAME)

RN 53472-23-4 CAPLUS

CN Benzenesulfonamide, N-(2-methyl-4-quinolinyl)- (9CI) (CA INDEX NAME)

AB Benzenesulfonamides of 12 amino-, aminochloropyridines, amino-,

aminomethyl-, and aminomethoxyquinolines are prepd. For the prepn. of these sulfonamides, pyridine is a more suitable solvent than AcOH.

L3 ANSWER 39 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1974:104879 CAPLUS

DN 80:104879

TI Herbicidal quinolines

IN Cartwright, David; Collins, David John; Lewis, Terence; Slater, John W.

PA Imperial Chemical Industries Ltd.

SO Ger. Offen., 25 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

IAN CHI I						
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	DE 2322143	A1	19731213	DE 1973-2322143	19730502	
				GB 1972-20849	19720504	
	GB 1424359	Α	19760211	GB 1972-20849	19730410	
	JP 49047530	A2	19740508	JP 1973-48567	19730502	
				GB 1972-20849	19720504	
	IT 986993	A	19750130	IT 1973-23671	19730503	
				GB 1972-20849	19720504	
	FR 2183265	<b>A</b> 1	19731214	FR 1973-16143	19730504	
				GB 1972-20849	19720504	

## IT 51708-19-1

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)

(herbicide)

RN 51708-19-1 CAPLUS

CN Benzenesulfonic acid, 4-methyl-, 2-(7-chloro-4-quinolinyl)hydrazide (9CI) (CA INDEX NAME)

AB Ten quinolines (I, R = Cl, Br, F, or iodo; R1 = H, NHNH2, NMeNH2, NHNHCHO, NHNHCOCF3, NHNHCOC6H4NO2-4, or NHNHSO2C6H4Me-4) and 8 salts (e.g. hydrochloride or benzenesulfonyl chloride) and 7-chloroquinoline N-oxide

[22614-94-4] were used as herbicides, esp. against broad-leaved weeds in cereal cultures. The salts were prepd. from the components, the N-oxide by oxidn. of 7-chloroquinoline [612-61-3] with H2O2. Thus, 7-chloroquinoline, applied pre-emergence in pot expts., in doses corresponding to 5 kg/ha, completely controlled Amaranthus retroflexus, Portulaca oleracea, and other weeds, with no phytotoxicity to cotton and wheat.

L3 ANSWER 40 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1973:97454 CAPLUS

DN 78:97454

TI Aminoquinolines. VII. Alkylation of 4-acylaminoquinoline in basic media. Influence of steric and electronic effects

AU Feller, Cristian; Renault, Jean

CS Fac. Sci. Pharm. Biol., Univ. Rene-Descartes, Paris, Fr.

SO Bulletin de la Societe Chimique de France (1972), (12), 4757-62 CODEN: BSCFAS; ISSN: 0037-8968

DT Journal

LA French

IT 32433-30-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and alkylation by Me iodide, steric and electronic effects)

RN 32433-30-0 CAPLUS

CN Benzenesulfonamide, 4-methyl-N-4-quinolinyl- (9CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

AB Steric hindrance of exocyclic N in (acylamino) quinolines (I; R = Me, Me2CH, Me3C, Ph, C6H4OMe-p, p-C6H4NO2-p) causes N1-alkylation, rather than the normal amide alkylation, which is also decreased by electron-withdrawing substituents. Thus I (R = Me) gives 100% amide alkylation, whereas I (R = Me3C) gives 100% N1-alkylation. I (R = Ph, p-O2NC6H4), equiv. sterically to I (R = CMe3), give 100% N1-alkylation for R = p-O2NC6H4 vs. 80:20 N1-amide alkylation for R = Ph. The bulk of the alkyl halide is much less important. Groups which stabilize the amide anion cause increased N1-alkylation.

L3 ANSWER 41 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1972:54939 CAPLUS

DN 76:54939

TI Pathogenesis of experimental diabetes caused by 8-(p-

toluenesulfonylamino) chinoline Lazaris, Ya. A.; Krasavin, I. A.; Dziomko, V. M.; Bavel'skii, Z. E. ΑU Karagand. Med. Inst., Karaganda, USSR CS Patologicheskaya Fiziologiya i Eksperimental'naya Terapiya (1971), 15(5), SO CODEN: PAFEAY; ISSN: 0031-2991 DΤ Journal Russian LΑ IT 32433-30-0 RL: BIOL (Biological study) (diabetes mellitus from, zinc chelation in relation to) RN 32433-30-0 CAPLUS Benzenesulfonamide, 4-methyl-N-4-quinolinyl- (9CI) (CA INDEX NAME) CN

INDEX NAME)

AB 8-(P-tolylsulfonylamino)quinoline (I) [10304-39-9], 8(phenylsulfonylamino)quinoline [16082-59-0], and 8(methylsulfonylamino)quinoline [10374-76-2] administered i.v. to rabbits selectively damaged the .beta. cells in the islets of Langerhans, elevated the blood sugar levels, and formed luminescent chelates with zinc [7440-66-6]. I isomers, N-methyl derivs., or acylic analogs did not form chelates with Zn or exert diabetogenic action.

L3 ANSWER 42 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN 1972:14245 CAPLUS ΑN DN 76:14245 TIReactions of arenesulfonyl azides with some di- and trisubstituted indoles Bailey, A. S.; Scattergood, R.; Warr, Mrs. W. A. Dyson Perrins Lab., Univ. Oxf., Oxford, UK CS Journal of the Chemical Society [Section] C: Organic (1971), (22), SO 3769-78 CODEN: JSOOAX; ISSN: 0022-4952 DTJournal LА English IT 34592-72-8P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) RN34592-72-8 CAPLUS Benzenesulfonamide, N-(3,4-dimethyl-2-quinolinyl)-4-methyl- (9CI) (CA CN

AB 3-Ethyl-2-methyl-, 3-methyl-2-phenyl-, and 2-ethyl-3-methylindole reacted with p-MeC6H4SO2N3 to give 2,3-substituted 3-(p-tolylsulfonylamino)-3H-indoles in 78, 26, and 4% yields. Other ptoducts were identified by mass spectroscopy. 3-Ethyl-1,2-dimethylindole gave 60% 3-ethyl-1-methyl-3-(p-tolylsulfonylamino)-2-(p-tolylsulfonylimino)indoline. 2-Ethyl-1,3-dimethylindole gave 39% 1,3-dimethyl-3-[1-(p-tolylsulfonylamino)ethyl]-2-(p-tolysulfonylimino)indoline. 1,2,3,4-Tetrahydrocyclopent[b]indole gave 1,2,3,8b-tetrahydro-8b-(p-tolylsulfonylamino)cyclopent[b]indole, and its N-Me deriv. in EtOH gave 3a-ethoxy-1,2,3,3a,4,8b-hexahydro-4-methyl-8b-(p-tolylsulfonylamino)-cyclopent[b]indole. Reactions of indoles with p-ClC6H4SO2N3 were also studied.

L3 ANSWER 43 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1971:460353 CAPLUS

DN 75:60353

TI Antimicrobial activity of 8-aminoquinoline bidentate chelates

AU Pagani, Giuseppe; Baruffini, Agostino; Caccialanza, G.

CS Ist. Chim. Farm. Tossicol., Univ. Pavia, Pavia, Italy

SO Farmaco, Edizione Scientifica (1971), 26(2), 118-31 CODEN: FRPSAX; ISSN: 0430-0920

DT Journal

LA Italian

IT 33757-75-4 33757-76-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(bactericidal activity of)

RN 33757-75-4 CAPLUS

CN Benzenesulfonamide, N-2-quinolinyl- (9CI) (CA INDEX NAME)

RN 33757-76-5 CAPLUS

CN Benzenesulfonamide, p-methoxy-N-2-quinolyl- (8CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

AB Forty-one N-(acyl)-and N-(sulfonyl)-8-aminoquinolines (I) were prepd. by reacting 8-aminoquinoline in anhydrous pyridine soln. with the appropriate acid chloride. 8-Aminoquinoline and its acyl derivs. showed only limited antimicrobial activity against organisms such as Escherichia coli, Pseudomonas aeruginosa, Proteus vulgaris, Bacillus subtilis, Staphylococcus aureus, Streptococcus pyogenes, Salmonella typhosa [Salmonella typhi], Candida albicans, and Trichophyton mentagrophytes. Of the test organisms, Mycobacterium tuberculosis was most sensitive to the 8-aminoquinolines. N-(8-Quinolyl)propanesulfonamide showed protective activity in mice infected with M. tuberculosis.

- L3 ANSWER 44 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1971:420155 CAPLUS
- DN 75:20155
- TI Amino quinolines. VI. Reaction of amide and sulfonamide derivatives of 4-aminoquinoline with methyl iodide
- AU Renault, Jean; Cartron, Jean C.
- CS Chim. Org., Fac. Pharm., Paris, Fr.
- SO Bulletin de la Societe Chimique de France (1971), (3), 888-90 CODEN: BSCFAS; ISSN: 0037-8968
- DT Journal
- LA French
- IT 32433-30-0P

RN 32433-30-0 CAPLUS

CN Benzenesulfonamide, 4-methyl-N-4-quinolinyl- (9CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

AB Alkylation of the aminoquinoline (I) in neutral media occurs on the nuclear N. This reaction is not specific in basic media. I (R = Ac) (II) was heated with MeI in MeOH to give 82% III (R = Ac). Similarly, I (R = Ac)

p-MeC6H4SO2) gave 50% III (R = p-MeC6H4SO2). Methylation of II with MeI in hexamethylphosphotriamide contg. NaNH2 gave 54% IV (R = Me, R1 = Ac). Similar methylation of I (R = Bz) gave 16% IV (R = Me, R1 = Bz) and 62% III (R = Bz).

L3 ANSWER 45 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1970:90231 CAPLUS

DN 72:90231

TI Reaction of N-sulfinyl-p-toluenesulfonamide with aromatic amine oxides

AU Onaka, Tadamasa

CS ITSUU Lab., Japan

SO Itsuu Kenkyusho Nempo (1968), No. 15, 29-39

CODEN: ITKNA6; ISSN: 0075-2010

DT Journal

LA English

IT 25770-52-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 25770-52-9 CAPLUS

CN Benzenesulfonamide, 4-methyl-N-2-quinolinyl- (9CI) (CA INDEX NAME)

AB The reaction of N-sulfinyl-p-toluenesulfonamide with quinoline 1-oxide and isoquinoline 2-oxide, which are considered to be more reactive1,3-dipoles than pyridine 1-oxide, was studied. The aromatic amine oxides readily formed 2-(tosylamino)quinoline (I) and 1-(tosylamino)isoquinoline (II) as normal 1,3-dipolar cycloaddn. products in HCONMe2 at room temp. I and II were also prepd. from 2-aminoquinoline (III) and 1-aminoisoquinoline (IV) and III and IV were obtained by hydrolyzingI and II. From the point of view, aminoazanaphthalenes with amineimine tautomerism such as III, IV and aminoquinolines (V), were tosylated with TsCl-NaHCO3 and acetylated with Ac20-pyridine. Amino type products were formed from tosylation and acetylation of III and IV, but ditosylates of imino type and diacetates of amino type products were obtained from V.

L3 ANSWER 46 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1967:508543 CAPLUS

DN 67:108543

TI Reactions with imido acid esters. VIII. Quinolines from isatin and aliphatic imino compounds

AU Ried, Walter; Kohlhaas, Folker

CS Univ. Frankfurt/M., Frankfurt/M., Fed. Rep. Ger.

SO Justus Liebigs Annalen der Chemie (1967), 707, 242-9 CODEN: JLACBF; ISSN: 0075-4617

DT Journal

LA German

IT 16334-97-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 16334-97-7 CAPLUS

CN Cinchoninamide, 2-methoxy-3-p-toluenesulfonamido- (8CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

ab cf. CA 66: 104863n. Several .alpha.-substituted acetamidic acid esters reacted with isatin to give 3-substituted 2-methoxycinchoninic acid amides (I) (R = Me, Ph, Cl, CH2Cl, etc.) which hydrolyzed giving 3-substituted 2-hydroxycinchoninic acid amides. Thus, MeCH2C(:NH)OMe reacted with isatin to give 2-methoxy-3-methylcinchoninic acid amide which hydrolyzed to 2-hydroxy-3-methylcinchoninic acid amide. Acetimidic acid esters with strong electroneg. substituents failed to react. RCH2C(:NH)NH2 (R = H, Me, Et, or Ph) reacted with isatin derivs. to give 2-aminocinchoninic acid amide derivs. The reaction of isatin with phenylalkylketimines yielded thermally stable 2-oxo-3-hydroxy-2,3-dihydroindol-3-ylacetimidic acid esters which at high temps. gave 2-phenylcinchoninic acid amide derivs.

L3 ANSWER 47 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1967:30013 CAPLUS

DN 66:30013

TI Azo dyes by oxidative coupling. XXVI. Quaternary heterocyclic azosulfones

AU Huenig, Siegfried; Geiger, Helmut; Kaupp, Gerd; Kniese, Wilhelm

CS Univ. Marburg, Marburg, Germany

SO Ann. Chem., Justus Liebigs (1966), 697, 116-39 CODEN: ACJLAQ

DT Journal

LA German

IT 10083-30-4P 14976-45-5P

RN 10083-30-4 CAPLUS

CN Quinolinium, 1-ethyl-4-[2-(phenylsulfonyl)hydrazino]-,
 tetrafluoroborate(1-) (8CI) (CA INDEX NAME)

CM 1

CRN 47283-19-2 CMF C17 H18 N3 O2 S

Patel

<11/9/2003>

CM 2

CRN 14874-70-5

CMF B F4

RN 14976-45-5 CAPLUS

CN p-Toluenesulfonic acid, 2-(2-quinolyl)hydrazide (7CI, 8CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

AΒ Quaternary heterocyclic azosulfones of type I were prepd. by various methods. They were smoothly reduced to sulfonylhydrazones (II), and coupled with phenols and aromatic amines to give dyes. The azo group of I added sulfinate ions to give bis-(sulfonylhydrazones) (e.g. III) whose thermally accelerated retrograde decompn. was demonstrated by a new type of coupling reaction. The reactions of I with NaN3 and carbenes were clarified. The various reaction mechanisms are depicted and discussed. To 36 cc. concd. H2SO4 was added 4.7 g. finely powd. NaNO2 in small portions with stirring, and the mixt. cooled, treated dropwise with a soln. of 9.0 g. 2-aminobenzothiazole in 100 cc. AcOH at 5-10.degree., kept 10 min., treated with 200 g. ice and then at -5.degree. with 80 g. NaOAc in 100 cc. H2O and with 13 g. p-MeC6H4SO2Na in 100 cc. H2O, and let stand .apprx.15-20 hrs. to give 15.2 g. 2-(p-tolysulfonylazo)benzothiazole, a yellow powder m. 174-175.degree. (decompn.) (HCO2H-Et2O). 2-Hydrazinoquinoline dissolved in 100 cc. N-methylpyrrolidinone (NMP) under N, 9.8 cc. Et3N added, then treated dropwise with 0.7 mole

p-MeC6H4SO2Cl gave 20-2 g. 1-(2-quinolyl)-2(p-tolylsulfonyl)hydrazine (IV), m. 170.degree. (MeOCH2CH2OH-H2O). To 6.27 g. IV in 60 cc. NMP and 40 cc. N NaOH was added dropwise during 30 min. 13.2 g. K3Fe(CN)6 in 100 cc. H2O with stirring and the mixt. dild. with 150 cc. H2O to give 5.25 g. 2-(p-tolylsulfonylazo)quinoline (V), a light yellow cryst. powder m. 103-5.degree. (decompn.), (CCl4 or CCl4-Me2CO). V (3.10 g.) in 40 cc. dry Cl-CH2CH2Cl treated with 4 g. (Et3O)+ BF4- (VI), the mixt. shaken to dissolve V, the soln. let stand .apprx.12 hrs. at room temp. and shaken, and excess VI destroyed with some iso-PrOH gave 6.20 g. 2-(p-tolylsulfonylazo)-1-ethylquinolinium tetrafluoroborate (VIa), orange plates, m. 152-4.degree. (decompn.) (Me2CO-Et2O), equiv. wt. 214. 1-Ethyl-4-chloroquinolinium tetrafluoroborate (14.0 g.) and 17.5 g. PhSO2NHNH2 (VII) dissolved in 100 cc. EtOH by heating and the soln. refluxed 2 hrs. and let stand several hrs. gave 18.3 g. 4-[2-(phenylsulfonyl)hydrazino]-1-ethylquinolinium tetrafluoroborate, colorless crystals, m. 196-207.degree. (decompn.) (MeOH contg. 10% of .apprx.30% aq. HBF4), which, covered with dil. aq. NH3 and the mixt. stirred, gave free sulfonylhydrazone (VIII), pale yellow rhombic crystals, m. 155-6.degree. (decompn.) (CH2CL)2, equiv. wt. 32.7. To a soln. of 5 g. Pb(OAc)4 in 100 cc. 1:1 AcOH-CHCl3 was added slowly 4.15 g. finely powd. VIII.HBF4 with stirring, the mixt. stirred 2.5 hrs. at room temp., and the ppt. filtered and washed with AcOH-CHCl3 and Et20 to give 3.9-4.1 g. 4-(phenylsulfonylazo)-1-ethylquinolinium tetrafluoroborate (VIIa), orange-red needless, m. 133-5.degree. (Me2CO-Et20), equiv. wt. 207. 1,3-Diethyl-2-chlorobenzimidazolium tetrafluoroborate (Balli and Kersting, CA 56, 10133e) (18.1 g.) and 21 g. VII in 100 cc. EtOH refluxed 2.5 hrs. gave 17.7 g. 2-[2-(phenylsulfonyl)hydrazino]-1,3-diethylbenzimidazolium tetrafluoroborate, colorless crystals, m. 180-4.degree. (decompn.) (MeOH contg. 10% of 30% aq. HBF4), which, treated slowly in little AcOH with 2N NH3 gave free sulfonylhydrazone (IX), colorless crystals, m. 159-61.degree. (decompn.), equiv. wt. 34.4 IX.HBF4 (0.86 g.) dissolved in 40 cc. AcOH, 1 g. Pb(OAc)4 added at 40.degree., and the soln. cooled to 10.degree. in an ice bath, gave 0.80 g. 2-(phenylsulfonylazo)-1,3diethylbenzimidazolium tetrafluoroborate (IXa), orange crystals, m. 152-4.degree. (decompn.) (Me2COEt2O), equiv. wt. 215. 1-Methyl-2-ethoxyquinolinium tetrafluoroborate (Meerwein, et al., CA 55, 18762i) (2.8 g.), 3.4 g. VII, and 2.2 g. Et3N dissolved in 30 cc. C5H5N, let stand several days at room temp., poured into .apprx.150 cc. dil. aq. NH3, and afterseveral hrs. the ppt. filtered, gave 2.25 g. crude 1-methyl-2(1H)-quinolone phenylsulfonylhydrazone (X), m. 177-83.degree., contg. a red by-product (azine), which let stand 10 min. with .apprx.10 cc. 2N HCl (the azine dissolved), the yellow insol. material dissolved in hot dil. ag. NaOH, and the soln. filtered and treated with AcOH gave 1.80 g. X, m. 183-5.degree. (PhCl or MeOCH2CH2OH); equiv. wt. 313. When the preceding soln. was kept at .apprx.50.degree. instead of room temp., crude X was obtained in 50-70% yield, and a larger fraction of azine was formed. To a soln. of 1 g. Pb(OAc)4 in 10 cc. 1:1 AcOH-CHCl3 was added 3 cc. 1:2 HBF4-AcOH, followed dropwise during 10 min. by a soln. of 0.626 g. X with stirring, and the soln. stirred 3 hrs. to give 0.654 g. 2-(phenylsulfonylazo)-1-methylquinolinium tetrafluoroborate (XI), orange-yellow crystals, m. 148-51.degree. (decompn.). Finely powd. X (3.13 g.) suspended in 30 cc. H2O, treated with 20 cc. 35% aq. HBF4, a trace of NaNO2 added, followed dropwise during 20 min. by 60-70 cc. of .apprx.67.degree. concd. HNO3 with stirring and ice water cooling, gave 3.18 g. XI, m. 150-1.degree. (decompn.) (Me2CO-H2O); equiv. wt. 197. VII (11.2 g.), and 8.2 g. 1-ethyl-2-bromopyridinium tetrafluoroborate, in 60 cc. EtOH refluxed 3 hrs. and cooled gave 4.6 g. crude 1-ethyl-2-(p-

tolylsulfonylhydrazono)pyridinium tetrafluoroborate, which (3.8 g.) in 500 cc. 2% aq. HBF4 underlayered with 200 cc. CH2Cl2 in a separatory funnel, 15 g. NaNO2 added portionwise with shaking, followed at the end of the reaction by 20 g. NaBF4, gave 2.0 g. 1-ethyl-2-(ptolylsulfonylazo)pyridinium tetrafluoroborate (XIa), yellow crystals, m. 142-3.degree. (decompn.) (8:11 Me2CO-Et2O), equiv. wt. 381. 3-Methyl-2-benzothiazolinone hydrazone (XII) (9.0 g.) in 80 cc. NMP treated with 2.0 g. ZnO and 20 drops C5H5N, followed dropwise during 45 min. by 11 g. p-O2NC6H4SO2Cl in 20 cc. NMP with stirring under N, the soln. stirred 1 hr. at 80.degree. under N and added to a mixt. of 200 cc. 2N HCl and 100 cc. H2O, and after 30 min. the ppt. filtered, gave 8.0 g. crude XIII (R = C6H4NO2-p) (XIV), m.229-30.degree. (decompn.), which dissolved in a mixt. of 30 cc. 2N NaOH, 70 cc. H2O, and 200 cc. MeOH in the cold and the red soln. filtered and neutralized slowly with concd. HCl with stirring, gave 6.3 g. XIV, a pale yellow power, m. 232-4.degree. (decompn.), equiv. wt. 364. Similar treatment of 4.5 g. XII in 40 cc. NMP with 2.0 g. ZnO and 6.2 g. p-EtO2CC6H4SO2Cl in 15 cc. NMP gave after 1.5 hrs. at 95.degree. 8.6 g. XIII (R = C6H4CO2Et-p) (XV), colorless crystals, m. 224-5.degree. (decompn.) (HCONMe2-H2O). A soln. of 1 g. Pb(OAc)4 in 40 cc. AcOH combined with 10 cc. aq. HBF4 (d. 1.22), 0.78 g. XV added, and the soln. stirred 20 min. at temp., treated with 25 cc. aq. HBF4, and stirred 5 min. gave 0.90 g. XVI (R = C6H4CO2Et-p), yellow crystals, m. 194-6.degree. (decompn.), equiv. wt. 237. The appropriate 3-methyl-2-benzothiazolinone sulfonylhydrazone (0.01 mole) suspended in 20 cc. H2O with stirring, 20 cc. .apprx.35% aq. HBF4 (d. 1.22) added, followed dropwise during 15-30 min. by 20 cc. .apprx.67% concd. HNO3 with stirring and ice water cooling (after addn. of 1/3 of the HNO3, .apprx.50 mg. NaNO2 was added), the mixt. stirred 10-15 min. at room temp., treated with 20-30 cc. H2O, and stirred 10 min., and the ppt. filtered, washed with dil. aq. HBF4, dried in vacuo, and repptd. from MeCN with Et2O gave the following yellow to orange-red cryst. XVI (R, % yield, m.p. (decompn.), and equiv. wt. given): NMe2, 91, 129-32.degree., 185; Me, 86, 182-5.degree., 171; Ph, 88, 182-5.degree., 203; C6H4Me-p, 91, 213-16.degree. 211; C6H4NO20, 93, 192/4.degree., 225; C6H4NO2-m, Redn. of I to II: aq. Na2S2O4 shaken with VIIIa and the mixt. heated slowly, boiled briefly, cooled, and treated with several drops 2N NaOH gave X, m. 183-5.degree. (decompn.). Prepn. of bis-(sulfonylhydrazones) (method A): 1.78 g. XIII (R = C6H4Cl-p) in 10 cc. 2N NaOH and 30 cc. MeOH treated dropwise during 90 min. with a soln. of 3.29 g. K3Fe(CN)6 in 10 cc. 2N NaOH and 10 cc. H2O at room temp. 20 cc. H2O added, and the mixt. stirred for a while gave 125 mg. 3-methyl-2-benzothiazolinone bis(pchlorophenylsulfonyl) hydrazone (XVIII), pale pink crystals, m. 233-5.degree. (decompn.) (HCONMe2). Method B: 220 mg. XVII in 20 cc. MeCN treated slowly with 99.3 mg. p-ClC6H4SO2Na in 3 cc. MeCN and 0.5 cc. AcOH (decolorization occurred, followed by pptn.) and the mixt. dild. with 10 cc. H2O gave 220 mg. XVIII, colorless needles, m. 233-5.degree. (decompn.) (HCONMe2). Method C: 137 mg. XVII suspended in 4 cc. H2O and 1 cc. 2N NaOH heated somewhat while stirring (gas evolution and decolorization occurred) and after the reaction ceased the ppt. filtered, gave 89.6 mg. XVIII, pale bluish needles, m. 233-4.degree. (decompn.) (HCONMe2). All XVIII obtained by methods A-C had identical in spectra. Prepn. of III (method A'): .apprx.50 mg.XIII (R = Ph) dissolved in 4 cc. hot dry dioxane, 1 drop of NaH in xylene added followed by .apprx.50 mg. p-ClC6H4SO2Cl, the mixt. heated 2 min., and the soln. treated with several drops H2O and cooled gave III, colorless crystals, m. 224-5.degree. (decompn.) (HCONMe2). Method B': from 100 mg. XVII and PhSO2H was obtained as described above (method B) 110 mg. III, colorless crystals, m.

223-5.degree. (decompn.). To 377 mg. XIa in 20 cc. Me2CO was added dropwise at once 20 cc. 10% aq. p-MeC6H4SO2Na, and after addn. of 20 cc. H2O the ppt. was filtered to give 405 mg. 1-methyl-2(1H)-pyridone bis(p-tolylsulfonyl)hydrazone (XIX) pink prisms, m. 181-5.degree. (decompn.) (EtOH). Thermal coupling of 3-methyl-2-benzothiazolinone bis (phenylsulfonyl) hydrazone (XX) and XIX with 1,2-HOC10H16CONHPh (XXI) and Ph2NH was also studied. The appropriate amts. of coupler and bissulfone (2-5 mg.) dissolved in 1 cc. PhNO2 (with Ph2NH, in the presence of 2 drops AcOH) and soln. heated several min. (oil bath), cooled, and dild. with MeOH to a measurable dye concn. (in the coupling with XXI, 5 cc. HCONMe2 must be added first to keep the dye in soln.) gave the results shown in the 1st table; the spectra of the dyes were identical with those of the resp. authentic dyes; only a homogeneous dye was formed in each case (thin-layer chromatography on alumina G with 75:25:20 EtOAc-C5H5N-H2O). [TABLE OMITTED] XVI (R = Me) (877 mg.) and 419 mg. PhSO2Na in 50 cc. MeCN stirred 24 hrs. in a closed vessel, filtered, and concd. in vacuo, gave 0.63 q. 3-methyl-2-benzothiazolinone methylsulfonyl(phenylsulfonyl)hydrazone, m. 189-90.degree. (decompn.) (BuOH). XVI (R = Ph) (810 mg.) in 40 cc. MeCN stirred 12 hrs. with 330 mg. PhSO2Na in a closed flask and the ppt. filtered, digested with H2O, and dried in vacuo gave 870 mg. 3-methyl-2-benzothiazolinone bis(phenylsulfonyl)hydrazone (XXV), colorless crystals, m. 220-2.degree. (decompn.) (MeOCH2CH2OH). XIII (R = Ph) (4.16 g.) dissolved in 70 cc. anhyd. dioxane by heating, a suspension of NaH in C6H6 added dropwise to the hot soln. until vigorous H evolution subsided, followed during 20 min. by 2.3 cc. PhSO2Cl with shaking, the mixt. refluxed 5 min., stirred 12 hrs. in a closed vessel, and evapd., and the residue digested with Et20 and then with H2O and dried in vacuo gave 5.87 g. XXV, colorless crystals, m. 219-21.degree. (decompn.) (MeOCH2CH2OH), identical (ir spectrum) with XXV prepd. above. Reactions of I: (1) To 652 mg. XVI, (R = Ph) in 40 cc. MeCN was added 105 mg. powd. NaN3 (the mixt. became violet first and brick red later), the mixt. stirred 70 hrs. under anhyd. conditions, and the ppt, filtered and washed with H2O to give 394 mg. XXV, m. 216-17.degree. identical with XLII obtained above; the mother liquor evapd., the residue (364 mg.) dissolved in MeCN, and the soln. spectroscopically analyzed showed a content of .apprx.40 mg. XXVI and 47 mg. XXVII. (2) XIII (R = Ph) (871 mg.) and 106 mg. NaCN in 40 cc. MeCN reacted similarly to give 394 mg. ppt. consisting (ir spectrum) of XXV. (3) Superheated steam introduced 10 min. on 586 mg. XVI (R = Ph) in a micro steam dist. app., 3 pellets NaOH added, and steam distn. continued gave 70-80 mg. 3-methyl-2benzothiazolinone. (4) (a) Quaternary azosulfone XVI (R = Ph) or XVI (R = C6H4Me-p) or 6-methoxy-3-methyl-2-(phenylsulfonylazo)benzothiazolium tetrafluoroborate (XXVIII) or the 2-(p-tolylsulfonylazo) analog (XXIX) (0.5 millimole) in 5 g. MeCN treated at 20.degree. with 5 millimoles iso-Pr2NEt and the mixt. kept several hrs. at 20.degree. and 2 days at room temp. gave 0.054 millimole azine XXX (R = R' = H) (XXXI) and 0.100millimoleXXX (R = R' = OMe) (XXXII), resp., yields being detd. spectroscopically in MeCN at 20.degree.. (b) XVI (R = Ph) or XVI (R = C6H4Me-P) or XXVIII or XXIX (0.5 millimole) in 5 g. MeCN treated with 1 millimole 3-methylbenzothiazolium salt at 20.degree., followed by 5.5 millimoles iso-Pr2NEt, gave 0.171 millimole XXI and a mixt. of 0.050 millimole XXX (R = H, R' = OMe) (XXXIII) and 0.065 millimole XXXII, resp., which was quant. sepd. by thin layer chromatography on deactivated silica gel with CHCl3 and elution with boiling (CH2Cl)2. (c) 2,2'-Bis(3-methylbenzothiazolylidene) (0.80 millimole) and 5.5 millimole iso-Pr2NEt gave 0.137 millimole XXXI and a mixt. of 0.009 millimole XXXIII and 0.059 XXXII, resp. (5) Solns. of 4 .times. 10-5 mole XXXI/1. MeCN

(soln. A) and 2 .times. 10-5 mole XVI (R = Ph)/1. MeCN (soln. B) were prepd. (a) One cc. of each soln. mixed gave a soln. contg. (uv spectroscopy) 35% radical XXXIV and 65% unchanged XXXI. (b) Soln. A (1 cc.) mixed with 2 cc. soln. B gave a soln. contg. 90% XXXIV. (c) Soln. A (10 cc.) treated with 1.6 mg. XVI (R = Ph) gave a soln. contg. .apprx.98% XXXIV. (6) 2-Imino-3-methylbenzothiazoline (1.64 g.) in 60 cc. MeCN treated during 5 min. with 4.50 g. powd. XXIX with stirring, the soln. stirred 15 min., treated dropwise during 1 hr. with 10 cc. 60% HClO4 and 40 cc. H2O, and let stand 12 hrs. at 0.degree., the resulting red ppt. (4.98 g.) extd. 6 hrs. with boiling dry PhMe, the ext. evapd. in vacuo, and the residue recrystd. from 25 cc. HCONMe2 gave 1.82 Prepn. of standards: 36.4 g. XXXV dehydrogenated with HNO3 by the general procedure gave 44 g. crude XXIX, m. 181-3.degree. which dissolved in 900 cc. MeCN and 20 cc. 34% aq. HBF4 at 35.degree. and the soln. cooled to -25.degree. gave 37.4 g. XXIX, red crystals, m. 185-8.degree. (decompn.), equiv. wt. 225. XIII (R = Ph) (4.92 q.) converted into the Na salt as described in the prepn. of XXV and reacted with 1 q. ClCN gave after extn. with H2O 4.82 g. 3-methyl-2-benzothiazolinone cyano-(phenylsulfonyl)hydrazone, pale yellow-green crystals, m. 205-7.degree. (decompn.) (MeOCH2CH2OH, PhCl). Similarly, 4.30 g. XXXV converted to the Na salt and reacted with .apprx.0.75 g. ClCN gave after extn. with H2O 4.43 g. 6-methoxy-3-methyl-2benzothiazolinone cyano(p-tolylsulfonyl)hydrazone, pale blue crystals, m. 174-8.degree. (decompn.) (MeOCH2CH2OH). 3-Methyl-2-chloro-benzothiazolium tetrafluoroborate (m. 199-201.degree.) (2.72 g.) in 7.5 g. MeCN treated with 2.00 g. 2-hydrazono-3-methyl-6-methoxybenzthiazoline [m. 160-3.degree. (decompn.)] in 25 g. MeCN contg. 3 g. Et3N and the mixt. stirred 4 hrs. gave 3.4 g. XXXIII, colorless crystals, m. 222-3.degree. (ClCH2CH2Cl). Coupling with phenols: 400 mg. XVI (R = Ph) and 150 mg. 2,6-Et2C6H3OH shaken in 30 cc. Me2CO, 1 cc. N NaOH added dropwise, and the soln. boiled 10 min., treated while hot with H2O until the dye just began to ppt., and cooled gave 260 mg. XXXVII, red needles, m. 178.degree.. XXXVIII (1.92 mg.) treated with a soln. of 105 mg. 2,6-Et2C6H3OH and 79 mg. C5H5N in 15 cc. MeCN (XXXVIII dissolved; dye formation was slow) and the soln. kept 48 hrs. at room temp. in the dark and dild. to 50 cc. with MeCN gave 39% XXXVII, detd. spectroscopically. Similar treatment of 2.58 mg. XXXVIII, 80 mg. 4,2,6-F(Et)2C6H2OH (XXXIX), and 79 mg. C5H5N gave 70% XXXVII. VIa (0.43 g.) 0.17 g. XXXIX in 15 cc. Me2CO treated with 1 cc. C5H5N and the soln. boiled, briefly cooled, and treated with 1 cc. 2N NaOH and then with 30 cc. H2O with stirring grave 290 mg. XL, purple-violet crystals, m. 113-14.degree. (MeOH). IXa (215 mg.) and 75 mg. 2,6-Et2C2H3OH in 15 cc. MeOH treated with 1 cc. 2N Na2CO3 and the soln. boiled briefly, treated while hot with H2O until crystn. commenced, and cooled, gave 170 mg. XLI, purple-violet crystals, m. 180-1.degree.. C5H5N (104 mg.) and 289 mg. 4,2,6-Me(tert-Bu)2C6H2OH in .apprx.20 cc. MeCN added to 529 mg. XVI (R = Ph) in 20 cc. MeCN and the soln. cooled 2 hrs. in ice gave 485 mg. XXXVIII, colorless needles, the main amt. m. 129-31.degree., then 158-63.degree., while a very slight residue m. 170-85.degree., becoming yellow brown on standing, giving XIII (R = Ph) on recrystn. from MeOCH2CH2OH or MeCN, forming a colorless HClO4 salt. Coupling with aromatic amines: 1-Methyl-2-(phenylsulfonylazo)quinolinium tetrafluoroborate (0.40 g.) and 0.14 g. PhNMe2 in 20 cc. Me2CO refluxed 15 min., dild. with 60 cc. hot H2O, treated with 3 g. NaClO4 in 20 cc. H2O, and cooled gave 380 mg. 1-methyl-2-(p-dimethylaminophenylazo)quinolinium perchlorate, crystals with greenish luster, m. 220-2.degree. (decompn.) (cyclohexanone). VIIIa (0.41 g.) and 0.24 g. PhNMe2 in 20 cc. Me2CO refluxed 30 min. and treated with 3 g. NaClO4 in 100 cc. hot H2O and the mixt. steam distd. (to remove excess PhNMe2 and cooled gave 360 mg.

1-methyl-4-(p-dimethylaminophenylazo)quinolinium perchlorate, green rods, m. 180-1.degree. (decompn.) (MeOCH2CH2OH). Pertinent uv and ir data are given.

L3 ANSWER 48 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1966:456729 CAPLUS

DN 65:56729

OREF 65:10561f-h,10562a-b

TI Search for antiserotonin substances among the quinoline derivatives. I. Aminoquinolines

AU Kotler-Brajtburg, Janina

CS Inst. Farm., Warsaw

SO Acta Polon. Pharm. (1966), 23(2), 97-103

DT Journal

LA Polish

RN 7101-92-0 CAPLUS

CN Benzenesulfonamide, 4-methyl-N-3-quinolinyl- (9CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

Certain derivs. of 3-amino- (I) and 6-aminoquinoline (II) were prepd. and AB tested for antiserotonin activity. To improve isolation of II from the mixt. obtained on hydrogenating 6-nitroquinoline (III), II tartrate, m. 170-1.degree., was pptd. and recrystd. I (3.6 g.) in 30 ml. 70% MeOH was treated with 5 g. NaOAc.3H2O and then 1 hr. with 6.3 g. p-MeC6H4SO2Cl, and the mixt. stirred 2 hrs. at 30-40.degree. to yield 36% IV (R = H, R1 = NHSO2C6H4Me-p), m. 172-4.degree. (MeOH). I (2.9 g.) in 40 ml. 40% AcOH diazotized at 5-8.degree. with 1.4 g. NaNO2 in 3 ml. H2O and 1 ml. HCl, the soln. stirred 30 min. and treated with 2.2 g. 2,6-diaminopyridine in 40 ml. 20% AcOH, the mixt. stirred 1 hr. at 10-12.degree. and alkalized with NH4OH yielded 3 g. V, m. 213-15.degree.. I (4.3 g.), 2.5 ml. ClCH2COCl, and 20 ml. Me2CO refluxed 45 min., the cold mixt. poured into 70 ml. H2O, the ppt. heated 3 hrs. at 60-70.degree. with 15 ml. 25% ag. Me2NH, and the soln. cooled and treated with 5 ml. satd. aq. NaOH yielded 2.5 g. IV (R = H, R1 = NHCOCH2NMe2), m. 100-1.degree. (H2O). III (17.4 g.) in 100 ml. CCl4 brominated under cooling with 16 g. Br in 25 ml. CCl4, the mixt. refluxed 1 hr., treated with boiling with 7.9 g. C5H5N in 15 ml. CCl4, and refluxed 18 hrs., and the ppt. filtered off and triturated with H2O gave 21 g. 3-bromo-6-nitroquinoline (VI), m. 170-1.degree. (AcOH). VI (10.1 g.) in 100 ml. 50% AcOH treated 30 min. at 55-60.degree. with 8 g. H-reduced Fe and the mixt. stirred 3 hrs. at 55-60.degree. and alkalized at 5-10.degree. with Na2CO3 yielded 7.5 g. IV (R = NH2, R1 = Br) (VIa), m. 154-5.degree. (MeOH). VI was also converted according to Bendz, et al. (CA 44, 10720i), into IV (R = NO2, R1 = NH2) (VII), m. 253-5.degree. and further into IV (R = NO2, R1 = NHAc) (VIII), m. 260-1.degree.. VII (5.7 g.) in 70 ml. 50% AcOH treated at 55-60.degree. with 12 g. H-reduced Fe and the mixt. heated 3 hrs. and alkalized at 5-10.degree. with Na2CO3

yielded 3.5 g. IV (R = R1 = NH2) (IX), m. 148-9.degree.. VIa (6.7 g.), 1 g. CuSO4, and 30 ml. concd. aq. NH4OH autoclaved 18 hrs. at 150-60.degree. and the mixt. alkalized with NaOH yielded 3.2 g. IX. IX was also prepd. by similarly autoclaving 3,6-dibromoquinoline. VIII (14.9 g.) reduced with Fe as described above with VI or VII yielded 4 g. IV (R = NH2, R1 = NHAc), m. 207-8.degree.. IX acetylated with Ac2O or AcCl yielded IV (R = R1 = NHAc), m. 145-7.degree., resolidifying about 150.degree., and remelting 256-8.degree.. Similarly, treatment with AcCl gave the Ac derivs. of I (HCl salt m. 280-2.degree.), II (HCl salt m. 250-3.degree.), and 8-aminoquinoline, m. 101.degree., HCl salt m. 204-5.degree.. In tests with isolated rat uterus, I was most effective (63% of the activity of lysergide). All the substituted derivs. of I and II showed poor antiserotonin activity.

L3 ANSWER 49 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN AN 1965:446122 CAPLUS

DN 63:46122

OREF 63:8312h,8313a-b

TI Synthesis of certain sulfanilamide derivatives of quinoline

AU Biniecki, Stanislaw; Moll, Maria

CS Akad. Med., Warsaw

SO Acta Polon. Pharm. (1965), 22(2), 97-101

DT Journal

LA Polish

RN 2756-23-2 CAPLUS

CN Carbanilic acid, p-[(2-methyl-4-quinolyl)sulfamoyl]-, ethyl ester (7CI, 8CI) (CA INDEX NAME)

RN 2756-24-3 CAPLUS

CN Carbanilic acid, p-[(6-ethoxy-2-methyl-4-quinolyl)sulfamoyl]-, ethyl ester (7CI, 8CI) (CA INDEX NAME)

RN 2800-45-5 CAPLUS

CN Benzenesulfonamide, 4-amino-N-(2-methyl-4-quinolinyl)- (9CI) (CA INDEXNAME)

RN 2800-46-6 CAPLUS

CN Benzenesulfonamide, 4-amino-N-(6-ethoxy-2-methyl-4-quinolinyl)- (9CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

AB Synthesis of certain I and II was reported in detail. Thus, 1 g. 4-aminoquinaldine in 5 ml. C5H5N and 5 ml. C6H6 was treated 30 min. with 1.22 g. p-ClSO2C6H4NHCO2Et, the mixt. heated 4 hrs. at 90.degree., the solvents distd., the residue evapd. twice in vacuo with some H2O added, and finally treated with 25 ml. H2O to yield 84.15% IIIa, m. 248-9.degree. (EtOH). IIIb, m. 253-4.degree., and IV, m. 199-200.degree., were prepd. analogously in 75.1 and 98.2%, resp. IIIa (1 g.) refluxed 30 min. with 0.25 g. KOH in 20 ml. Cello-solve, the solvent evapd. in vacuo, the residue dissolved in H2O, and acidified with AcOH to pH 4-5 yielded 62.5% Ia.3H2O, m. 328-30.degree. (EtOH). Ib.3H2O, m. 334-6.degree., and II, m. 191-2.degree., were prepd. in 56.25 and 63.9%, resp., by a similar method except that 0.5N KOH in 70% EtOH (16.5 ml./g. IIIb and 11 ml./g. IV) was used and the mixt. was heated 1 hr. at 95.degree. (with IIIb) or 30 min. under reflux (with IV).

L3 ANSWER 50 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1965:446121 CAPLUS

DN 63:46121

OREF 63:8312f-h

TI Incorporation of one or two R-C-C-fragments in the course of photolysis of Schiff bases in primary alcohols RCH2CH2OH

AU Collin, P. J.; Silberman, H.; Sternhell, S.; Sugowdz, Galina

CS Commonwealth Sci. Ind. Res. Organ., Chatswood, Australia

SO Tetrahedron Letters (1965), (25), 2063-5 CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

RN 2756-23-2 CAPLUS

CN Carbanilic acid, p-[(2-methyl-4-quinolyl)sulfamoyl]-, ethyl ester (7CI, 8CI) (CA INDEX NAME)

RN 2756-24-3 CAPLUS

CN Carbanilic acid, p-[(6-ethoxy-2-methyl-4-quinolyl)sulfamoyl]-, ethyl ester (7CI, 8CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

AB cf. CA 60, 14469f. Irradiation of benzol-.beta.-naphthylamine in isoamyl alc. yielded 25% substituted benzo[f]quinoline (I, R = Me2CH), m. 139.degree., N.M.R. signals at .delta. 8.96, 8.7, 8.2-7.4, 3.40, 1.35; together with 20% benzo[f]quinoline (II, R = Me2CH), m. 58-9.degree., N.M.R. signals at .delta. 8.75, 8.2-7.4, 3.42, 2.98, 2.37, 1.39, 1.04. Irradiation of the amine in C6H13OH similarly gave 25% I (R = Bu), m. 102-3.degree., .degree. 8.85, 8.7, 8.1-7.3, 2.92, 1.9-1.1, 0.9; and 37% II (R = Bu), m. 60-1.degree., .delta. 8.64, 8.6, 8.0-7.5, 2.9, 2.0-1.2, 1.0. By changing the Ar group from Ph to p-MeOC6H4, p-O2NC6H4, and o-ClC6H4, the corresponding derivs. were prepd. in yields similar to those reported.

L3 ANSWER 51 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN AN 1965:405836 CAPLUS

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DN
     63:5836
OREF 63:1076f-h
ΤI
     Inhibitors of penicillin binding to serum proteins
ΑU
     Kunin, Calvin M.
CS
     School of Med., Univ. of Virginia, Charlottesville
     Journal of Laboratory and Clinical Medicine (1965), 65(3), 416-31
SO
     CODEN: JLCMAK; ISSN: 0022-2143
DT
     Journal
LΑ
     English
     2751-85-1, Acetanilide, 4'-(3-quinolylsulfamoyl)-
IT
        (effect on antibiotic binding by blood serum)
RN
     2751-85-1 CAPLUS
CN
     Acetamide, N-[4-[(3-quinolinylamino)sulfonyl]phenyl]- (9CI) (CA INDEX
     NAME)
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AB The binding of 14C-labeled penicillin G, penicillin V, and ancillin by human and rabbit serums and human serum albumin was detd. in equil.-dialysis expts. Almost 250 compds., which consisted of various penicillins and their constituent groups, sulfonamides, salicylates, benzoic acid derivs., oxazoles, and other compds., were tested as serum-binding displacing agents. Penicillin G was more readily displaced than penicillin V or ancillin from serum protein. Displacing agents were active only at a concn. in excess of 1 .times. 10-4M. Combinations of agents appeared at least additive in effect. The carboxylic acid groups substituted on 6-amino-penicillanic acid, and which define the penicillin analogs, were the principal binding sites. Drugs related to these groups were effective binding inhibitors. The mode of action of probenecid and p-aminohippuric acid on the inhibition of renal excretion of penicillins was unrelated to serum protein binding.

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L3
     ANSWER 52 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
     1964:68128 CAPLUS
ΑN
DN
     60:68128
OREF 60:11978b-g
     Sulfonamide derivatives of quinoline. I
ΑU
     Pellerano, Cesare
CS
     Univ. Siena, Italy
SO
     Annali di Chimica (Rome, Italy) (1963), 53(12), 1850-9
     CODEN: ANCRAI; ISSN: 0003-4592
DT
     Journal
LA
     Unavailable
IT
     93873-32-6, Acetanilide, 4'-[(4-methyl-2-quinolyl)sulfamoyl]-
     93945-98-3, Sulfanilamide, N1-4-quinolyl- 94959-79-2,
     Sulfanilamide, N1-(4,6-dimethyl-2-quinolyl)- 94959-99-6,
     Sulfanilamide, N1-(6-methoxy-4-methyl-2-quinolyl)- 97740-01-7,
     Sulfanilamide, N1-(4-methyl-2-quinolyl)-
        (prepn. of)
RN
     93873-32-6 CAPLUS
```

CN Acetanilide, 4'-[(4-methyl-2-quinolyl)sulfamoyl]- (7CI) (CA INDEX NAME)

RN 93945-98-3 CAPLUS

CN Sulfanilamide, N1-4-quinolyl- (7CI) (CA INDEX NAME)

RN 94959-79-2 CAPLUS

CN Sulfanilamide, N1-(4,6-dimethyl-2-quinolyl)- (7CI) (CA INDEX NAME)

RN 94959-99-6 CAPLUS

CN Sulfanilamide, N1-(6-methoxy-4-methyl-2-quinolyl)- (7CI) (CA INDEX NAME)

AB

$$\begin{array}{c|c} N & O \\ NH-S \\ O \\ NH_2 \end{array}$$

RN 97740-01-7 CAPLUS

CN Sulfanilamide, N1-(4-methyl-2-quinolyl)- (7CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

When 3 g. 2-chloro-4-methylquinoline (I), 2.85 g. paminobenzenesulfonamide (II),  $2.4~\mathrm{g}$ . anhyd. K2CO3, and  $0.05~\mathrm{g}$ . Cu powder was heated at 250.degree. 1.5 hrs., the powdered product boiled with 40cc. 0.2N NaOH soln., and the mixt. filtered and acidified with dil. AcOH, a gummy solid was obtained. This was dissolved in 60 cc. 2N NaOH, boiled a few min., and cooled, and the Na salt collected, dissolved in hot H2O and treated with 50% AcOH gave 2.5 g. III (R = R2 = R3 = H, R1 = Me), m. 234.degree. (EtOH). This was similarly prepd, from I and the Ac deriv. of II to give III (R = Ac, R1 = Me, R2 = R3 = H), m. 260.degree., followed by hydrolysis (2 hrs.) with boiling 2N NaOH. Using the resp. 2-chloroquinolines and the above method, the following derivs. of III were prepd.: R = R3 = H, R1 = R2 = Me, m. 228.degree., 45%; R = R2 = H, R1 = R3= Me, m. 251.degree., 40%; R = R3 = H, R1 = Me, R2 = OMe, m. 241.degree., 40%. By using 4-chloroquinoline (IV), V(R = R1 = R2 = H), m. 248.degree., was obtained; however, similar treatment of derivs. of IV gave solids that turned violet with acid and were thought to be derivs. of triquinolinylmethanes. When equimol. quants. of 2-chloro-4methylquinoline (VI) and II were heated, an exothermic reaction occurred at 195-200.degree.. After 3 min. the mixt. was cooled and extd. with hot 15% HCl, and the residue crystd. from H2O to give the hydrochloride of VII (R = Me, R1 = R2 = H), m. 265.degree. The base was liberated by hot NH4OH and after crystn. from EtOH or dil. EtOH m. 257.degree., 80% yield. Similarly, using the resp. derivs. of VI, the following derivs. of VII were obtained [compd., m.p. (reaction temp.), % yield given): R = R1 = Me, R2 = H, 275.degree. (215-25.degree.), 75 [HCl m. 240-50.degree. (decompn.)]; R = R2 = Me, R1 = H, 269.degree. (218.degree.), 90 [HCl m. 260-70.degree. (decompn.)]; R = Me, R1 = OMe, R2 = H, 247.degree. (220.degree.), 80 (HCl m. 240.degree.). The following derivs. of VIII were also similarly prepd. from derivs. of IV: R = Me, R1 = R2 = H, 282.degree. (210.degree.), 57 (HCl m. >360.degree.); R = R1 = Me, R2 = H, 275.degree. (215-20.degree.), 50 (HCl m. >360.degree.); R = R2 = Me, R1 = R1 = MeH, m. 219.degree. (222.degree.), 70 [HCl m. 330.degree. (decompn.)]; R = Me, R1 = OMe, R2 = H, 299-301.degree. (225.degree.), 70 (HCl m. 330.degree.); R = Me, R1 = H, R2 = OMe, 288.degree. (195.degree.), 65 [HCl

340.degree. (decompn.)].

ANSWER 53 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN L31964:39216 CAPLUS ΑN DN 60:39216 OREF 60:6960d-h,6961a TI Diaza polymethine dyes ΙN Huenig, Siegfried PΑ Badische Anilin- & Soda-Fabrik A.-G. SO 10 pp. DT Patent Unavailable LA PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_ -----PΙ GB 938029 19630925 GB ĎΕ 19600901 DE 1197565 DE IT 14976-45-5, p-Toluenesulfonic acid, 2-(2-quinolyl)hydrazide (prepn. of) RN 14976-45-5 CAPLUS p-Toluenesulfonic acid, 2-(2-quinolyl)hydrazide (7CI, 8CI) (CA INDEX CN NAME)

AΒ The title compds., which are suitable for dyeing polyacrylonitrile, were prepd. by reaction of benzenesulfonylhydrazones of heterocyclic carbonyl compds. with oxidizing agents and subsequent coupling, or by reaction of benzenesulfonylazo derivs. of heterocyclic compds. with coupling components. Thus, 3-methyl-2-benzothiazolinone benzenesulfonylhydrazone 16 and NaNO2 1 were suspended in a mixt. of H2O 100 and 50% aq. HBF4 100, 65-70% HNO3 100 added in 10 min. by cooling and stirring, and H2O 200 added to give 17.8 parts (88%) I (R = 3-methyl-2-benzothiazolyl, X = H) (II), m. 180-4.degree. (decompn.). A mixt. of II 4, 2,6-Et2C6H3OH (IIa) 1.5, Me2CO 300, and 1N NaOH 100 was boiled for 10 min. with stirring, H2O added to the hot soln., and cooled to 0.degree. to give 2.6 parts (80%) III (R = 3-methyl-2-benzothiazolinylidene), red, m. 179-80.degree.. Similarly, I (R = 1, 3-diethyl-2-benzimidazolyl, X = H) (prepd. from 1,3-diethyl-2-chlorobenzimidazolium tetrafluoroborate 18.1 and PhSO2NHNH2 21 parts), m. 180-4.degree., was oxidized to I (R = 1,3-diethyl-2benzimidazolyl, X = H), m. 152-4.degree., which with IIa gave 97% III (R = 1,3-diethyl-2-benzimidazolinylidene), violet, m. 180-2.degree.. A soln. of Et3N 9.8 and 4-MeC6H4SO2Cl 13.4 in N-methylpyrrolidinone (IV) 25 was added gradually with stirring in 30 min. to a soln. of 2-hydrazinoquinoline 11.2 in IV 100, the mixt. stirred at 50.degree. for 1 hr., H2O 200 parts added, and the ppt. filtered to give 90-100% 2-(p-toluenesulfonylhydrazino)quinoline (V), m. 170.degree. (decompn.). A soln. of K3Fe(CN)6 13.8 in H2O 100 was added by stirring in 30 min. to a soln. of V 6.26 in a mixt. of IV 60 and 1N NaOH 40, dild. with H2O 150, the ppt. filtered and washed with H2O to give 5.25 parts (83%)

2-(p-toluenesulfonylazo)quinoline (VI), m. 107-8.degree. (CC14-CH2C12). Et3O+BF4- 4 was added to a mixt. of VI 3.1 and dry CH2Cl2 40, stirred, left at room temp. for 12 hrs., a little iso-PrOH added, and the ppt. filtered, washed with Et2O, and dried to give 73% I (R = 1-ethyl-2-quinolyl, X = Me) (VII), m. 150-3.degree. (decompn.). A mixt. of VII 4, PhNMe2 1.4, and Me2CO 200 was refluxed for 20 min., hot H2O 600  $\,$ added, and NaClO4 30 in hot H2O 200 added to give 3.8 parts (93%) VIII (R = 1-ethyl-2-quinolyl), m. 210-17.degree.. Similarly, 2 parts I (R = 1-methyl-2-quinolyl, X = H), orange-yellow crystals, m. 149-51.degree. [prepd. by treating 1-methyl-2(1H)-quinoline benzenesulfonylhydrazone with Pb(OAc)4 and HBF4] was coupled with 9 parts 1-phenyl-3-methyl-5-pyrazolone to give 1.6 parts (94%) 4-[(1-methyl-2(1H)-quinolylidene)hydrazono]-3methyl-1-phenyl 5-pyrazolone, brown-red crystals, m. 199-204.degree. (decompn.). 1-Ethyl-4-(benzenesulfonylhydrazino)quinolinium tetrafluoroborate, m. 190.degree. (decompn.), treated with Pb(OAc)4 in AcOH soln. gave 95% I (R = 1-ethyl-2-quinolyl, X = H), m. 125-30.degree. (decompn.), which was coupled with PhNMe2 to give 93.5% VIII (R = 1-ethyl-4-quinolyl), blue.

L3ANSWER 54 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN 1962:462647 CAPLUS AN 57:62647 DИ OREF 57:12432b-d Synthesis of 3-amino-8-hydroxyquinoline ΤI ΑU Gopalchari, R. Central Drug. Res. Inst., Lucknow CS SO Journal of Scientific and Industrial Research, Section B: Physical Sciences (1962), 21B(No. 4), 183-5 CODEN: JSIBAW; ISSN: 0368-4210 DT Journal LΑ Unavailable IT 93014-23-4, p-Toluenesulfonamide, N-(8-hydroxy-3-quinoly1)-(prepn. of) RN 93014-23-4 CAPLUS CN p-Toluenesulfonamide, N-(8-hydroxy-3-quinoly1)- (7CI) (CA INDEX NAME)

AB Et 4-hydroxy-8-methoxyquinoline-3-carboxylate (5 g.) was refluxed with 10 ml. POCl3 for 1 hr. to give 3 g. 4-chloro analog (I), m. 77-8.degree.. I (10 g.) with 75 ml. abs. MeOH shaken for 12 hrs. with 2 g. 5% Pd-C in H gave 3 g. Et 8-methoxyquinoline-3-carboxylate (II). II (2 g.) in 20 ml. abs. MeOH satd. with NH3 at -5.degree. and shaken 12 hrs. under pressure at room temp. gave 1 g. 8-methoxyquinoline-3-carboxamide (III), m. 250-1.degree. (EtOH). NaOCl soln. (20 ml., N) was added with stirring to 4.6 g. II in 20 ml. H2O followed by heating to 60-70.degree. for 15 min. to give 1.8 g. 3-amino-8-methoxyquinoline (IV) (Hofmann reaction with III), m. 125-6.degree.; HCl salt m. 244-5.degree.; picrate m.

235-6.degree.. IV refluxed with HBr (d. 1.49) for 6 hrs. gave 3-amino-8-hydroxyquinoline-HBr (V), m. 298-9.degree.. V with NH4OH and extd. with Et2O liberated free base m. 119-20.degree. (EtOH); tosylamino deriv. m. 179-80.degree.; acetylamino deriv. m. 140-1.degree..

L3 ANSWER 55 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1960:62765 CAPLUS

DN 54:62765

OREF 54:12156h-i,12157a

TI Tautomerism of derivatives of heterocyclic compounds. X. Tautomerism of acylated heterocyclic amines

AU Sheinker, Yu. N.; Peresleni, E. M.; Zosimova, N. P.; Pomerantsev, Yu. I.

CS S. Ordzhonikidze Chem.-Pharm. Inst., Moscow

SO Zhurnal Fizicheskoi Khimii (1959), 33, 2096-2109

CODEN: ZFKHA9; ISSN: 0044-4537

DT Journal

LA Unavailable

RN 33757-75-4 CAPLUS

CN Benzenesulfonamide, N-2-quinolinyl- (9CI) (CA INDEX NAME)

AB Infrared and ultraviolet absorption spectra in H2O, abs. EtOH, dioxane, and n-heptane solns. and the infrared absorption spectra in the cryst. state (in suspensions in petr. jelly or polyfluoro hydrocarbons) were used in the structure study of acylated pyridine, thiazole, thiadiazole, quinoline, pyrimidine, benzothiazole, and acridine. The assumption of a shift in the amino-imino tautomeric equil. by the introduction of electroneg. substituents into the amino groups of heterocyclic amines was confirmed. The effects of acylating substituents on the equil. of the type of heterocyclic compds. and of solvents on the tautomeric equil. were investigated. Acylamines could have the amino or imino structure in a mixt. of tautomeric forms produced by the factors listed. The amino-imino tautomerism of heterocyclic acylamines obeyed qual. and quant. the usual acid-base equil. relationship.

L3 ANSWER 56 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1958:11139 CAPLUS

DN 52:11139

OREF 52:2017d-h

TI Synthesis of nitrogen-containing heterocycles. XV. Several sulfonamides of 2-aminonicotinic acid

AU Dornow, Alfred; Hahmann, Otto

CS Tech. Hochschule, Hannover, Germany

SO Arch. Pharm. (1957), 290, 298-302

DT Journal

LA Unavailable

IT 109513-29-3, 3-Quinolinecarboxylic acid, 2-sulfanilamido-

(prepn. of)
RN 109513-29-3 CAPLUS
CN 3-Quinolinecarboxylic acid, 2-sulfanilamido- (6CI) (CA INDEX NAME)

cf. preceding abstr. Et 2-aminonicotinate (2.3 g.) and 3.2 g. p-acetamidobenzenesulfonyl chloride in 25 ml. (CH2Cl)2 treated over 1 hr. with 20 ml. 10% (CH3) 3N in dry C6H6, heated 3 hrs. at 40-50.degree., 5 ml. more amine soln. added, the mixt. kept 4 hrs. at 50.degree., the solvents removed, and the residue purified from alc.-H2O gave 60% 2-(p-acetamidobenzenesulfonamido) nicotinic acid, m. 229.degree. (alc.); 2-(p-aminobenzenesulfonamido)nicotinic acid (65%), m. 226.degree. (H2O). Similarly were prepd. 66% Et 2-(p-acetamidobenzenesulfonamido)-6methylnicotinate, m. 232.degree. (alc.), 72% 2-(pacetamidobenzenesulfonamido)-6-methylnicotinic acid, m. 242.degree. (20% alc.), 79% 2-(p-aminobenzenesulfonamido)-6-methylnicotinic acid, m. 255-6.degree. (20% alc.); 72% Et 2-(p-acetamidobenzenesulfonamido)-4,6dimethylnicotinate (I), m. 161.degree. (alc.), 8.5% Et 2-bis(p-acetamidobenzenesulfonamido)-4,6-dimethylnicotinate (II), m. 270.degree., 91% 2-(p-aminobenzenesulfonamido)-4,6-dimethylnicotinic acid, m. 170.degree. (H2O) (from I or II); 87% 2-(p-acetamidobenzenesulfonamido)-5,6-dimethylnicotinic acid m. 212-13.degree. (alc.), and 90% 2-(p-aminobenzenesulfonamido)-5,6-dimethylnicotinic acid, m. 229.degree. (80% alc.). To 12 g. MeCOCHMeCHO (prepd. from 18 g. Na deriv.) was added EtO2CCH2C(OEt):NH in Et2O (prepd. from 47 g. of the hydrochloride), the Et20 evapd., the residue warmed on the steam bath 20 hrs. and cooled to give 60% Et 2-amino-5,6-dimethylnicotinate, m. 124.degree. (alc.). 2-(p-Acetamidobenzenesulfonamido)-bz-tetrahydroquinoline-3-carboxamide (0.34 g.) in 3 ml. 10% NaOH was heated on the steam bath 2 hrs., cooled, filtered, dissolved in H2O, and neutralized to give 0.10 g. 2-(p-aminobenzenesulfonamido)-bz-tetrahydroquinoline-3-carboxylic acid, m. 241.degree..

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L3 ANSWER 57 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1951:49926 CAPLUS

DN 45:49926

OREF 45:8527e-g

TI Polarization of aromatic heterocyclic compounds. XLVIII. Syntheses of 4-sulfapyridine and 4-sulfaquinoline

AU Ochiai, Eiji; Teshigawara, Takashi; Oda, Kenzo; Naito, Takeo

CS Univ., Tokyo

SO Yakugaku Zasshi (1945), 65(No. 5/6A), 1 CODEN: YKKZAJ; ISSN: 0031-6903

DT Journal

LA Unavailable

IT 93945-98-3, Sulfanilamide, N1-4-quinolyl-(prepn. of)

RN 93945-98-3 CAPLUS

CN Sulfanilamide, N1-4-quinolyl- (7CI) (CA INDEX NAME)

Patel <11/9/2003>

AB cf. C.A. 45, 8376h. A simple method of prepg. 4-aminopyridine (I) and 4-aminoquinoline (II) by nitration of pyridine or quinoline 1-oxides and subsequent reduction of the 4-nitro compds. was developed. I and p-AcNHC6H4SO2Cl (III) in dry Me2CO yielded rhombic prisms, which, heated a short time with 13% HCl and the pH adjusted to 4-5, gave 4-sulfanilamidopyridine-HCl, colorless, scaly crystals, decomp. 178-80.degree.. When II and III gave crystals which were assumed to be 4-(p-acetamidophenylsulfonamido)-quinoline (IV) but hydrolyzed easily even on recrystn. from MeOH and formed 4-sulfanilamidoquinoline, m. 268-70.degree.. Although condensation of 4-aminopyridine 1-oxide (V) and III was difficult, V.HCl in pyridine with III gave 4-(pacetamidophenylsulfonamido)pyridine 1-oxide, which hydrolyzed easily, and no deacetylated compd. could be obtained. 4-Aminoquinoline 1-oxide and III in pyridine gave a compd. corresponding to IV 1-oxide, decomp. 240.degree., but its deacetylation was unsuccessful. Heating 4-chloroquinoline, PhNHNH2, and liquid paraffin 1 hr. at 200.degree. gave 4-phenylhydrazinoquinoline (VI), light yellow tablets, m. 232-3.degree.. Catalytic reduction of VI with Pd-charcoal gave 4-aminoquinoline.

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L3 ANSWER 58 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN AN 1951:49925 CAPLUS
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DN 45:49925

OREF 45:8527a-e

TI Polarization of aromatic heterocyclic compounds. XLVI. Nitration of isoquinoline 2-oxides

AU Ochiai, Eiji; Zai-Ren, Sai

CS Univ., Tokyo

SO Yakugaku Zasshi (1945), 65(No. 4A), 17-19 CODEN: YKKZAJ; ISSN: 0031-6903

DT Journal

LA Unavailable

IT 93945-98-3, Sulfanilamide, N1-4-quinolyl-(prepn. of)

RN 93945-98-3 CAPLUS

CN Sulfanilamide, N1-4-quinolyl- (7CI) (CA INDEX NAME)

AΒ O. and Ishikawa (C.A. 45, 5153e) demonstrated that, while C5 or C8 is nitrated in quinolines, C4 is nitrated in quinoline 1-oxide, and that this phenomenon is due to the polar effect of the N-oxide group. Nitration of both isoquinoline 2-oxide and isoquinoline gives the 5-nitro derivs. (5-nitroisoquinoline 2-oxide (I), yellow needles, m. 220-2.degree.), which shows that the polar effect of the N-oxide group in this case is not so pronounced as in quinoline 1-oxide. The fact that C2 and C6 in quinoline 1-oxide are inactive and only C4 is active to nitration shows that the .omicron.-position to the N-oxide group (C2) is inactive and that the polar effect of the N-oxide group is confined to the pyridine nucleus. follows, therefore, that C1 and C6 in isoquinoline 2-oxide should be inactive, and only C5 should be active to nitration as in isoquinoline. Since the structure of I was based only on dipole measurements, it was proved by converting I to 5-amino-1-isoquinolinecarbonitrile (II), yellow needles, very weakly acidic diazotizable, and forming an Ac deriv., pale yellow needles, decomp. 260.degree.. Since under various conditions its NH2 and CN radicals did not react to form an imidazole ring, it is definite that the NH2 group is not at C8 but at C5, as assumed by Le F'evre and Le F'evre (C.A. 30, 102.2). I resists further nitration, although a dinitro compd. of unknown structure can be obtained by treatment with concd. HNO3 and P2O5. Catalytic reduction of I in acid soln. gave 5-aminoisoguinoline, but on reduction in neutral alc. soln., only 3 mols. H was absorbed, showing that the N-oxide group resists reduction as do the N-oxides of pyridine and quinoline.

L3 ANSWER 59 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1941:16198 CAPLUS

DN 35:16198

OREF 35:2609b-c

The chemotherapeutic effect of p-aminophenylsulfonylacetamide and seven isomers of sulfanilylaminoquinoline

AU Schmith, Kai

SO Dansk Tidsskrift for Farmaci (1940), 14, 215-18 CODEN: DTFAAN; ISSN: 0011-6513

DT Journal

LA German

IT 93945-98-3, Sulfanilamide, N1-4-quinolyl-(prepn. of)

RN 93945-98-3 CAPLUS

CN Sulfanilamide, N1-4-quinolyl- (7CI) (CA INDEX NAME)

Patel <11/9/2003>

AB Sulfapyridine was found to be much more effective in vitro against type I pneumococcus than was p-aminophenylsulfonylacetamide. The latter was without effect against gonococcus. Seven isomeric forms of sulfanilylaminoquinoline were equally effective against pneumococcus and had the same apparent bactericidal effect as sulfapyridine.

L3 ANSWER 60 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1941:16197 CAPLUS

DN 35:16197

OREF 35:2609a-b

TI Phenothiazine for the anthelmintic treatment of sheep and goats

AU Gordon, W. E.

SO Agr. News Letter, Pub. Relations Dept., E. I. du Pont de Nemours & Co. (1941), 9(No. 1), 10-13

DT Journal

LA Unavailable

RN 93945-98-3 CAPLUS

CN Sulfanilamide, N1-4-quinolyl- (7CI) (CA INDEX NAME)

AB The exptl. work is reviewed. The urine of sheep or goats treated with phenothiazine develops a pink to red tinge when exposed to air, owing to the oxidation of decompn. products from phenothiazine with the formation of thionol. If proper precautions are not taken, the discolored urine is likely to cause staining of the wool with a resultant decrease in the value of the fleece.

L3 ANSWER 61 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1941:15646 CAPLUS

DN 35:15646

OREF 35:2483a-b

TI Sulfanilyl derivatives of heterocyclic amines. I. Quinoline derivatives

AU Jensen, K. A.; Lundquist, F.

SO Dansk Tidsskrift for Farmaci (1940), 14, 208-14

CODEN: DTFAAN; ISSN: 0011-6513

DT Journal

LA German

IT 93945-98-3, Sulfanilamide, N1-4-quinolyl-

(prepn. of)

RN 93945-98-3 CAPLUS

CN Sulfanilamide, N1-4-quinolyl- (7CI) (CA INDEX NAME)

AB The prepn. of 7 isomers of sulfanilylaminoquinoline is described: 2-, m. 198.degree.; 3-, m. 185.degree.; 4-, m. 248.degree.; 5-, m. 230.degree.; 6-, m. 208.degree.; 7-, m. 206.degree.; 8-, m. 195.degree.; 6-methoxy-8-sulfanilylaminoquinoline, m. 195-6.degree., is also prepd. These were used in the chemotherapeutic studies of Schmith (C. A. 35, 2609.2).

L3 ANSWER 62 OF 62 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1941:15645 CAPLUS

DN 35:15645

OREF 35:2482i,2483a

TI Reaction of aromatic amines with benzoic anhydride

AU Steele, C. Truman

SO (1940) 66 pp. Avail.: Univ. Microfilms (Ann Arbor, Mich.), Order No. 155 From: Microfilm Abstracts 2, No. 2, 22-4

DT Dissertation

LA Unavailable

Patel <11/9/2003>

IT 93945-98-3, Sulfanilamide, N1-4-quinolyl-(prepn. of)

RN 93945-98-3 CAPLUS

CN Sulfanilamide, N1-4-quinolyl- (7CI) (CA INDEX NAME)

## AB Unavailable

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medicinal/org. chem. labs. E. coli cells were used for convent (1) the bacterium is grown using com. available broths, where smultiplies rapidly, and requires little specialized equipment and handling; and (2) more is known about the genetics and biogradiation damage to those cells and their repair than any other.

L3 ANSWER 424 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN

1995:418217 CAPLUS

DN 122:305528

AN

TI pH and pKa limitations in the CZE analysis of sulfonamides

AU Cross, Reginald F.; Ricci, Maria C.

CS Sch. Chem. Sci., Swinburne Univ. Technol., Hawthorn, 3122, Aus

SO LC-GC (1995), 13(2), 132-42 CODEN: LCGCE7; ISSN: 0888-9090

PB Advanstar

DT Journal

LA English

IT 59-40-5, Sulfaquinoxaline

RL: ANT (Analyte); PRP (Properties); ANST (Analytical study) (pH and pKa limitations in CZE anal. of sulfonamides)

RN 59-40-5 CAPLUS

CN Benzenesulfonamide, 4-amino-N-2-quinoxalinyl- (9CI) (CA INDEX

AB The application of simple capillary zone electrophoresis in fused silica is limited by the magnitude of the electroosmotic samples contg. both cations and anions that are injected at the detected at the cathode, and for a sufficiently diverse range charged species, this limitation results in two problems: anal are ionized too weakly are not resolved, and highly mobile and have excessive anal. times or undergo net migration away from detector. These limitations are quantified in terms of pKa va sulfonamides and are referred to in terms of characteristic mothat can be applied to all mols. Plots of the reciprocal of m times vs. the degree of dissocn. are linear for the singly chaamide-deprotonated sulfonamides and demonstrate the variation selectivity as a function of pH.

L3 ANSWER 425 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1995:402274 CAPLUS

DN 122:314514

TI Oxidative amination of some nitroquinoxalines with liquid methylamine/potassium permanganate

AU Wozniak, Marian; Grzegozek, Maria; Nowak, Krystyna

CS Institute Organic Chemistry and Technology, Cracow Technical U Krakow, PL-31155, Pol.

SO Indian Journal of Heterocyclic Chemistry (1994), 4(2), 75-80 CODEN: IJCHEI; ISSN: 0971-1627

DT Journal

Patel <11/9/2003>

LA English

IT 163388-53-2P 163388-54-3P 163388-55-4P

163388-57-6P 163388-60-1P 163388-61-2P

163388-62-3P 163388-63-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(oxidative amination of nitroquinoxalines with liq.

methylamine/potassium permanganate)

RN 163388-53-2 CAPLUS

IDUX NAME) CN 2-Quinoxalinamine, N-methyl-7-nitro- (9CI). (CA INDEX NAME)

O<sub>2</sub>N NHMe

RN 163388-54-3 CAPLUS

CN 2,5-Quinoxalinediamine, N,N'-dimethyl-6-nitro- (9CI) (CA INDEX NAME)

NHMe O<sub>2</sub>N NHMe

RN 163388-55-4 CAPLUS

(CA INDECN MARZ) 8-Quinoxalinediamine, N,N'-dimethyl-7-nitro- (9CI) (CA INDEX NAME)

NHMe 02N NHMe

RN 163388-57-6 CAPLUS

CN 2,8-Quinoxalinediamine, N,N',3-trimethyl-7-nitro- (9CI) (CA INDEX NAME)

 $\begin{array}{c|c} \text{NHMe} & \text{NHMe} \\ \text{O}_2\text{N} & \text{NHMe} \\ \\ \text{N} & \text{Me} \end{array}$ 

RN 163388-60-1 CAPLUS

CN 2-Quinoxalinamine, N-methyl-6-nitro- (9CI) (CA INDEX NAME)

Patel

RN 163388-61-2 CAPLUS CN 2,3-Quinoxalinediamine, N,N'-dimethyl-6-nitro- (9CI) (CA INDEX NAME)

RN 163388-62-3 CAPLUS
CN 2,3-Quinoxalinediamine, N2-methyl-6-nitro- (9CI) (CA INDEX NAME)

RN 163388-63-4 CAPLUS
CN 2,3-Quinoxalinediamine, N3-methyl-6-nitro- (9CI) (CA INDEX NAME)

AB 5- And 6-nitroquinoxaline and some of their Me and chloro derivs. are aminated in a liq. methylamine soln. of potassium permanganate to the corresponding mono- or mono- and bis(methylamino)-substituted compds. The intermediate 5-(methylamino) o-adduct of 6-nitroquinoxaline is detected by 1H NMR. Quantum chem. calcns. are used to explain the regionselectivity of the reactions.

- L3 ANSWER 426 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1995:383606 CAPLUS
- DN 122:150735
- TI Micellar liquid-chromatographic separation of sulfonamides in physiological samples using direct on-column injection
- AU Yang, Shenyuan; Khaledi, Morteza G.
- CS Department of Chemistry, North Carolina State University, P.O. Box 8204, Raleigh, NC, 27695-8204, USA
- SO Journal of Chromatography, A (1995), 692(1 + 2), 311-18 CODEN: JCRAEY; ISSN: 0021-9673
- PB Elsevier
- DT Journal
- LA English

<11/9/2003>

rationA with liq.

(CA INDEX MAME)

(CATINDER NAME:

medičinal/org. chem. labs. E. coli cells were used for conven. (1) the bacterium is grown using com. available broths, where multiplies rapidly, and requires little specialized equipment and handling; and (2) more is known about the genetics and bioradiation damage to those cells and their repair than any othe.

L3 ANSWER 424 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN

1995:418217 CAPLUS AN

DN 122:305528

pH and pKa limitations in the CZE analysis of sulfonamides TΤ

ΑIJ Cross, Reginald F.; Ricci, Maria C.

CS Sch. Chem. Sci., Swinburne Univ. Technol., Hawthorn, 3122, Aus

SO LC-GC (1995), 13(2), 132-42

CODEN: LCGCE7; ISSN: 0888-9090

PR Advanstar

DT Journal

LΑ English

IT 59-40-5, Sulfaquinoxaline

> RL: ANT (Analyte); PRP (Properties); ANST (Analytical study) (pH and pKa limitations in CZE anal. of sulfonamides)

RN 59-40-5 CAPLUS

CN Benzenesulfonamide, 4-amino-N-2-quinoxalinyl- (9CI) (CA INDEX

AB-The application of simple capillary zone electrophoresis in fused silica is limited by the magnitude of the electroosmotic samples contg. both cations and anions that are injected at the detected at the cathode, and for a sufficiently diverse range charged species, this limitation results in two problems: anal are ionized too weakly are not resolved, and highly mobile ana have excessive anal. times or undergo net migration away from detector. These limitations are quantified in terms of pKa va sulfonamides and are referred to in terms of characteristic mol that can be applied to all mols. Plots of the reciprocal of m times vs. the degree of dissocn. are linear for the singly cha amide-deprotonated sulfonamides and demonstrate the variation selectivity as a function of pH.

L3 ANSWER 425 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN

1995:402274 CAPLUS AN

DN 122:314514

Oxidative amination of some nitroquinoxalines with liquid TI methylamine/potassium permanganate

ΑU Wozniak, Marian; Grzegozek, Maria; Nowak, Krystyna

Institute Organic Chemistry and Technology, Cracow Technical U CS Krakow, PL-31155, Pol.

Indian Journal of Heterocyclic Chemistry (1994), 4(2), 75-80 SO CODEN: IJCHEI; ISSN: 0971-1627

DTJournal

<11/9/2003>

LA English

LIK MAME)

IT 163388-53-2P 163388-54-3P 163388-55-4P 163388-57-6P 163388-60-1P 163388-61-2P

163388-62-3P 163388-63-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (oxidative amination of nitroquinoxalines with liq. methylamine/potassium permanganate)

RN 163388-53-2 CAPLUS

CN 2-Quinoxalinamine, N-methyl-7-nitro- (9CI) (CA INDEX NAME)

O<sub>2</sub>N NHMe

RN 163388-54-3 CAPLUS

CN 2,5-Quinoxalinediamine, N,N'-dimethyl-6-nitro- (9CI) (CA INDEX NAME)

O2N NHMe

RN 163388-55-4 CAPLUS .(CA INDECN NAME) 8-Quinoxalinediamine, N,N'-dimethyl-7-nitro- (9CI) (CA INDEX NAME)

NHMe 02N NHMe

RN 163388-57-6 CAPLUS

CN 2,8-Quinoxalinediamine, N,N',3-trimethyl-7-nitro- (9CI) (CA INDEX NAME)

O<sub>2</sub>N NHMe NHMe

RN 163388-60-1 CAPLUS

CN 2-Quinoxalinamine, N-methyl-6-nitro- (9CI) (CA INDEX NAME)

<11/9/2003>

Page 1043 09483504.8

) rn 163388-61-2 CAPLUS 2,3-Quinoxalinediamine, N,N'-dimethyl-6-nitro- (9CI) (CA INDEX NAME)

163388-62-3 CAPLUS 2,3-Quinoxalinediamine, N2-methyl-6-nitro- (9CI) (CA INDEX NAME) CN

 $\triangle_{\mathrm{RN}}$ 163388-63-4 CAPLUS 2,3-Quinoxalinediamine, N3-methyl-6-nitro- (9CI) (CA INDEX NAME)

5- And 6-nitroquinoxaline and some of their Me and chloro derivs. are AB aminated in a liq. methylamine soln. of potassium permanganate to the corresponding mono- or mono- and bis(methylamino)-substituted compds. The intermediate 5-(methylamino) o-adduct of 6-nitroquinoxaline is detected by 1H NMR. Quantum chem. calcns. are used to explain the regioselectivity of the reactions.

- ANSWER 426 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN L3
- 1995:383606 CAPLUS AN
- 122:150735 DN
- Micellar liquid-chromatographic separation of sulfonamides in ΤI physiological samples using direct on-column injection
- Yang, Shenyuan; Khaledi, Morteza G. ΑU
- Department of Chemistry, North Carolina State University, P.O. Box 8204, CS Raleigh, NC, 27695-8204, USA
- Journal of Chromatography, A (1995), 692(1 + 2), 311-18 SO CODEN: JCRAEY; ISSN: 0021-9673
- Elsevier PB
- Journal DT
- English LA

<11/9/2003>

11.0 (415.)

RN 51144-19-5 CAPLUS

CN 2(1H)-Quinoxalinone, 3-methyl-, hydrazone (9CI) (CA INDEX NAME)

GI

 1026

- AB IR and NMR spectral measurements showed that quinoxaline thiosemicarbazides I (R = Me, Ph; R1 = Me, Me2CH, Ph) and oxoindeno[2,3-b]quinoxaline thiosemicarbazones II (Rn = H, Me, 3,4-Me2), as a rule, exist in only one tautomeric form.
- L3 ANSWER 835 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1981:169423 CAPLUS
- DN 94:169423
- TI Quinoxaline herbicides
- PA Kyowa Gas Chemical Industry Co., Ltd., Japan
- SO Jpn. Kokai Tokkyo Koho, 6 pp. CODEN: JKXXAF
- DT Patent
- LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	JP 55167205	A2	19801226	JP 1979-74312	19790613
				TP 1979-74312	19790613

IT 41213-10-9P 46316-10-3P 77139-17-4P 77139-18-5P 77139-19-6P 77186-60-8P 77186-61-9P 77186-63-1P 77186-64-2P 77186-66-4P 77186-67-5P 77186-69-7P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except

<11/9/2003>

adverse); BSU (Biological study, unclassified); SPN (Synthetic motion); USES (Use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and herbicidal activity of)

RN 41213-10-9 CAPLUS

CN 2-Quinoxalinamine, N-ethyl- (9CI) (CA INDEX NAME)

RN 46316-10-3 CAPLUS

CN 2-Quinoxalinamine, N-propyl- (9CI) (CA INDEX NAME)

RN 77139-17-4 CAPLUS

CN 2-Quinoxalinamine, 6(or 7)-chloro-N-propyl- (9CI) (CA INDEX NAME)

D1-C1

RN 77139-18-5 CAPLUS

CN 2-Quinoxalinamine, 6(or 7)-methyl-N-propyl- (9CI) (CA INDEX NAME)

D1-Me

RN 77139-19-6 CAPLUS

CN 2-Quinoxalinamine, 6(or 7)-nitro-N-propyl- (9CI) (CA INDEX NAME)

<11/9/2003>

 $D1-NO_2$ 

FRMAR YURBY ADA LEDOL

(RN 77186-60-8 CAPLUS CN 2-Quinoxalinamine, N-ethyl-3-methyl- (9CI) (CA INDEX NAME)

RN 77186-61-9 CAPLUS CN 2-Quinoxalinamine, 3-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 77186-63-1 CAPLUS
CN 2-Quinoxalinamine, N,3-diethyl- (9CI) (CA INDEX NAME)

RN 77186-64-2 CAPLUS
CN 2-Quinoxalinamine, 3-ethyl-N-propyl- (9CI) (CA INDEX NAME)

RN 77186-66-4 CAPLUS CN 2-Quinoxalinamine, 3-(3-chlorophenyl)-N-ethyl- (9CI) (CA INDEX NAME)

Patel <11/9/2003>

RN 77186-67-5 CAPLUS

(CA INDEX MAM2-Quinoxalinamine, 3-(3-chlorophenyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 77186-69-7 CAPLUS

CN 2-Quinoxalinamine, 3-(3-methylphenyl)-N-propyl- (9CI) (CA INDEX NAME)

GΙ

$$R^3$$
 $R^2$ 
 $R^2$ 
 $R^2$ 

- AB Quinoxalines I (R1 = H, OH, Me, Et, m-chlorophenyl, or m-tolyl; R2 = Cl, NHEt, or NHPr; R3 = H, Cl, Me, or NO2) are herbicides. Thus, 2000 g 2-(m-chlorophenyl)-3-hydroxyquinoxaline [77139-20-9]/10 are controlled Echinochloa crus-galli, Rotola indica, and broad-leaf weeds in rice.
- L3 ANSWER 836 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1981:84164 CAPLUS
- DN 94:84164
- TI Heterotricyclic derivatives and their use in pharmaceutical preparations
- IN Barnes, Alan Charles; Rowlands, David Alun
- PA Roussel-UCLAF, Fr.
- SO Ger. Offen., 49 pp. CODEN: GWXXBX
- DT Patent
- LA German

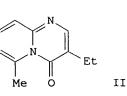
FAN.CNT 2

PATENT NO.

KIND DATE

APPLICATION NO. DATE

<11/9/2003>





Ring closure of 2-substituted 3-(2-pyridylamino)acrylates in POC13-polyphosphoric acid gave pyrido[1,2-a]pyrimidines and in Dowtherm A gave pyrido[1,2-a]pyrimidines and 1,8-naphthyridines. E.g., I with POC13-polyphosphoric acid at 130.degree. gave 95% II and with Dowtherm A at 25% gave 62% II and 11% III. The pyridopyrimidines rearranged in Dowtherm A or liq. paraffin to give 1,8-naphthyridines. E.g., II in liq. paraffin at 325.degree. for 30 min gave 70% III. Similar 1.fwdarw.3, N.fwdarw.C-acyl migrations occurred in pyrimido[1,2-a]naphthyridines dipyrido[2-a; 2',3'-d]pyrimidines, pyrimido[1,2-a]pyrazines, -[1,6-a]pyrimidines, and -[1,2b]-pyridazines.

III

- ANSWER 941 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN L3
- ΑN 1977:468423 CAPLUS
- DN 87:68423
- TI Imidazoquinoxaline fungicides
- Sam, Donnie Joe; Wuonola, Mark A. IN
- PΑ du Pont de Nemours, E. I., and Co., USA
- U.S., 9 pp. Division of U.S. 3,919,423. SO
  - CODEN: USXXAM
- DT Patent
- English LΑ

FAN.	CNT 4 PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 4022777	Α.	19770510	US 1975-615495	19750922	
				US 1972-277604	19720801	
				US 1973-369740	19730613	
	US 3919423	Α	19751111	US 1973-369740	19730613	
		•		US 1972-277604	19720801	
	JP 49075726	A2	19740722	JP 1973-85033	19730730	
				US 1972-277604	19720801	
				US 1973-369740	19730613	
	AU 7358663	A1	19750130	AU 1973-58663	19730730	
				US 1972-277604	19720801	
				US 1973-369740	19730613	
	ES 417393	A1	19760716	ES 1973-417393	19730730	
				US 1972-277604	19720801	
				US 1973-369740	19730613	
	CH 585012	Α	19770228	CH 1973-11088	19730730	

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73 11088	19730730	• *			US 1972-277604 19720801	
72-277604	19720801	···	· ·		us 1973-369740 19730613	}
7:-369740	197306PR	2194371	A1	19740301	FR 1973-28047 :19730731	
73-28047 -	1.197307 <b>3</b> ເ		•		US 1972-277604 19720801	
	107:0001	•			us 1973-369740 19730613	
* • 369740	19730 <b>@</b> A	7305198	Α	19740731	ZA 1973-5198 19730731	
13 <b>5</b> 109	7.63300337			•	US 1972-277604 19720801	
72-277604	1.97208 <b>ZA</b>	7305199	Α	19740828	ZA 1973-5199 19730731	
·53-53.99	19730731				US 1972-277604 19720801	
20 012808	10200cDD	108194	c	19740912	-DD 1973-170089 19730731	
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					US 1973-369740 19730613	
	шп	168197	P	19760328	HU 1973-DU207 1973073	
	. 110	100197	-	13700320	US 1972-277604 1972080	
					US 1973-369740 19730613	
-	DK	133578	В	19760614	DK 1973-4214 1973073	
		100070	2	25.0002.	US 1972-277604 1972080	
	and garage		•	-	US 1973-369740 1973061	3
	- CA	1010451	A1	19770517	CA 1973-177829 1973073	1
					US 1972-277604 1972080	1
					US 1973-369740 1973061	3
	BE	803098	A1	19731203	BE 1973-134132 1973080	1
					US 1972-277604 1972080	
					us 1973-369740 1973061	
	NL	7310658	Α	19740205	NL 1973-10658 1973080	
	22				US 1972-277604 1972080	
					US 1973-369740 1973061	
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		4.400000	_	10760001	US 1973-369740 1973061	
	GB	1430277	A	19760331	GB 1973-36499 1973080 US 1972-277604 1972080	
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	GD	1430270	A	19700551	US 1972-277604 1972080	
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		74:108578				
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•	PI DE	2339012	A1	19740214	DE 1973-2339012 1973080	
					US 1972-277604 1972080	
					us 1973-369740 1973061	
	US	3919423	Α	19751111	us 1973-369740 1973061	
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	JE	49075726	A2	19740722	JP 1973-85033 1973073	
					US 1972-277604 1972080	
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	JA	J 7.358663	A1	19750130	AU 1973-58663 1973073	
					US 1972-277604 1972080 US 1973-369740 1973063	
	D	5 417393	<b>7</b> .1	19760716	ES 1973-417393 1973063	
	E	41/393	A1	19/00/10	US 1973-417393 1973073	
					US 1973-369740 197306	
					05 15/3 305/40 15/300.	

, , c	9483	504.	. 8	Page	1666			
19720801		СН 5	85012		A	19770228		19730730 19720801 19730613
19730613 19730731 19720901		FR 2	2194371		A1	19740301	FR 1973-28047 US 1972-277604	19730731 19720801
19730613 19730737 19720801		ZA 7	7305198		Α	19740731	US 1973-369740 ZA 1973-5198 US 1972-277604	19730613 19730731 19720801
19730731 19720001		ZA 7	7305199		Α	19740828	ZA 1973-5199 US 1972-277604	19730731 19720801
19730730		DD I	108194		С	19740912	DD 1973-170089 US 1972-277604	19730731 19720801
7 77 00 07 0		IT S	995104		А	19751110	US 1973-369740 IT 1973-27345 US 1972-277604	19730613 19730731 19720801
: A 7 \ A 2 A .		HU :	168197		Р	19760328	US 1973-369740 HU 1973-DU207 US 1972-277604	19730613 19730731 19720801
		DK :	133578		В	19760614	US 1973-369740 DK 1973-4214 US 1972-277604	19730613 19730731 19720801
		CA	1010451	L	A1	19770517	US 1973-369740 CA 1973-177829 US 1972-277604	19730613 19730731 19720801
		BE	803098		A1	19731203	US 1973-369740 BE 1973-134132 US 1972-277604	19730613 19730801 19720801
11 - 28 . V 147 1-20 . 147 1-20 .		NL	7310658	3	A	19740205	US 1973-369740 NL 1973-10658 US 1972-277604 US 1973-369740	19730613 19730801 19720801 19730613
		n m	730676	<u> </u>	A	19750415	AT 1973-369740	19730813
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		GB	143027	7	Α	19760331	US 1973-369740 GB 1973-36499 US 1972-277604 US 1973-369740	19730613 19730801 19720801 19730613
		GB	143027	8	Α	19760331	GB 1975-35001 US 1972-277604 US 1973-369740	19730801 19730801 19720801 19730613
	FAN	PAT	74:1334 ENT NO	•	KIND	DATE	APPLICATION NO.	DATE
	PI		233902		A1	19740214	DE 1973-2339023 US 1972-277604	19730801 19720801
		JP	490668	30	A2	19740628	US 1972-277604	19730604 19730730 19720801
		AU	735866	1	A1	19750130	US 1973-366877 AU 1973-58661 US 1972-277604 US 1973-366877	19730604 19730730 19720801 19730604
		ES	417395		A1	19760716	ES 1973-417395 US 1972-277604	19730604 19730730 19720801 19730604
		СН	582991		Α	19761231	US 1973-366877 CH 1973-11089 US 1972-277604	19730730 19720801

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	•	FR 2194705	A1	19740301	FR 1973-28046	19730731
				137,10301	US 1972-277604	19720801
		•			US 1973-366877	19730604
		ZA 7305198	Α	19740731	ZA 1973-5198	19730731
		ZA 7303190	Δ.	19/40/31	US 1972-277604	19720801
			~	10740012	DD 1973-170575	19730731
		DD 107381	С	19740812		
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					US 1972-277604	19720801
•		IT 995103	Α	19751110	IT 1973-27344	19730731
14 Testido (1	the Property	a riversita di America			US 1972-277604	19720801
0					US 1973-366877	19730604
		HU 168198	Р	19760328	HU 1973-DU208	19730731
					us 1973-366877	19730604
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		•			US 1973-366877	19730604
		CS 174216	P	19770331	CS 1973-5451	19730731
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		BE 803099	A1	19731203	BE 1973-134133	19730801
		•			US 1972-277604	19720801
					US 1973-366877	19730604
		NL 7310659	Α	19740205	NL 1973-10659	19730801
					US 1972-277604	19720801
					US 1973-366877	19730604
		AT 7306767	Α	19750615	AT 1973-6767	19730801
		AT 328793	В	19760412	•	:
			. —		us 1973-366877	19730604
		GB 1424602	A	19760211	GB 1973-36500	19730801
		02 1121002		23,00222	US 1972-277604	19720801
					US 1973-366877	19730604
		RO 69447	P	19810430	RO 1973-75684	19730801
		NO 05447	L	13010430	US 1972-277604	19720801
					US 1973-366877	19730604
,	FAN	1977:89884			05 1575 500077	13,30001
*	I. VIII	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		FAIENI NO.	KIND	DATE	ATTECATION NO.	
	DТ	US 3987171	A	19761019	US 1975-565853	19750407
	ΡI	03 390/1/1	A	19/01019	US 1973-366877	19730604
					US 1974-443198	19740219
		1111 169109	n	19760328	HU 1973-DU208	19730731
		ни 168198	P	19/60320	US 1973-366877	19730604
-		G7 1000077	n 1	10761100		19730731
		CA 1000277	A1	19761123	CA 1973-177819	
			_	1000001	US 1973-366877	19730604
		CS 174216	P	19770331	CS 1973-5451	19730731
					US 1973-366877	19730604
		AT 7306767	A	19750615	AT 1973-6767	19730801
		AT 328793	В	19760412		10700604
					US 1973-366877	19730604
		US·3895011	Α	19750715	US 1974-443198	19740219
					US 1973-366877	19730604
	IT	52312-43-3P				
		RL: RCT (Reactar	nt); S	PN (Synthetic	preparation); PREP	(Preparation); RACT
		(Reactant or rea				
		(prepn. and	cycliz	ation of)		
	RN	52312-43-3 CAP	LUS			

CN Acetamide, N-(3-amino-2-quinoxalinyl)- (9CI) (CA INDEX NAME)

. ...... Y MANGT

IT 6640-47-7P 52312-40-0P 52312-41-1P

52312-42-2P

RL:-RET (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclization with anhydrides)

RN 6640-47-7 CAPLUS

CN 2,3-Quinoxalinediamine (9CI) (CA INDEX NAME)

RN 52312-40-0 CAPLUS

CN 2,3-Quinoxalinediamine, 6-chloro- (9CI) (CA INDEX NAME)

RN 52312-41-1 CAPLUS

CN 2,3-Quinoxalinediamine, 6-fluoro- (9CI) (CA INDEX NAME)

RN 52312-42-2 CAPLUS

CN 2,3-Quinoxalinediamine, 6-bromo- (9CI) (CA INDEX NAME)

Patel

DN 127:99609

CONTION NO. FEATE Oral dosage form new againal drugs; sulfaquinoxaline drinking water

CS Food and Drug Administration, Rockville, MD, 20855, USA

:::072 198@112Bederal Register (1997), 62(135), 37712, 15 Jul 1997

GY, CA, CH, CN, CUÇODEN: DEFREAC; ISSN: 0097-6326

HE DT HTJournal ...

9499

TR. TT, UA, ULA USEngkishm,

IT 967-80-6, Sulfaquinoxaline sodium

THE HELD BACK (Biological activity or effector, except adverse); BSU (Biological study, or engaged activity or effector, except adverse); BSU (Biological study); or effector, except adverse); adverse adverse

(Uses)

A countries (stds. for sulfaquinoxaline sodium drinking water for control 19991177 coccidiosis and acute fowl cholera and typhoid in chickens and

RN 967-80-6 CAPLUS

CN Benzenesulfonamide, 4-amino-N-2-quinoxalinyl-, monosodium salt (9 INDEX NAME)



● Na

- AB The Food and Drug Administration (FDA) is amending the animal drug regulations to reflect approval of a supplemental new animal drug application (NADA) filed by Solvay Animal Health, Inc. The supplemental NADA provides for revised conditions of use of 28.62% sulfaquinoxaline sodium in the drinking water of chickens and turkeys for control of coccidiosis, acute fowl cholera, and fowl typhoid, to reflect compliance with the results of the National Academy of Sciences/National Research Council (NAS/NRC), Drug Efficacy Study Implementation (DESI) evaluation of the product and FDA's conclusions based on that evaluation.
  - L3 ANSWER 321 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN
  - AN 1997:467735 CAPLUS
  - DN 127:95295
  - TI Preparation of 3-aminoquinoxaline-2-one compounds having activity at the glycine binding site of the N-methyl-D-aspartate (NMDA)-receptor
  - IN Bata, Imre; Batori, Sandor; Bence, Judit; Bocskei, Zsolt; Csikos, Eva; Erdo, Sandor; Gonczi, Csaba; Hermecz, Istvan; Heja, Gergely; Lakics, Viktor; Majlath, Csilla; Molnar, Peter; Podanyi, Benjamin; Ritz, Imola; Santane, Csutor Andrea; Szokene, Szappanos Andrea; Szvoboda, Gyorgyne; et al.
  - PA Chinoin Gyogyszer Es Vegyeszeti Termekek Gyara Rt.To U. 1-5h-1045 Budapest, Hung.; Batori, Sandor; Bence, Judit
  - SO PCT Int. Appl., 30 pp.
    - CODEN: PIXXD2
  - DT Patent
  - LA English

Patel

DAMENIM NO

EVN	CNT	7

•	#12 x 3 ± 5	PATENT	NO.			DATE			•					DATE		٥	• •
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жų,	BRI BER	KZ, 14.,	DK, EE,	ES,	FI,	GB,	GE,	HU,	IL,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,
HΧ,	NG, NZ,	Pr. Pr	LK, LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,
υA,	UĞ, ÜS,	uΖ, VÑ,	RO, RU,	SD,	SE,	SG,	SI,	SK,	ТJ,	TM,	TR,	TT,	UA,	UG,	US,	UZ,	VN,
	•		AM, AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM							
Щ.,	Prof. 1507	. RW:	KE, LS,	MW,	SD,	SZ,	UG,	ΑT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,
,		J	IE, IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI;	CM,	GΑ,	GN,	ML,
			MR, NE,	SN,	TD,	TG											4-
1.	. 44										95-3			1995			
		110 /030	2	Α	2	1997	0728		H	U 19	95-3	422		1995	1130		
		ZA 9610	002	Α		1997	0613		Z.	A 19	96-1	0002		1996	1128		
									H	U 19	95-3	422	Α	1995	1130		
		AU 9677	053	A	1	1997	0619		A	Մ 19	96-7	7053		1996	1128		
									H	U 19	95-3	422	Α	1995	1130		
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	. 08	MARPAT	127:9529	95													

VIND DAME

192075-86-8P 192075-87-9P 192075-88-0P IT 192075-89-1P 192075-90-4P 192075-91-5P 192075-92-6P 192075-93-7P 192076-03-2P 192076-04-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

ADDITION NO

חשתב

(prepn. of aminoquinoxalineone compds. having activity at glycine binding site of NMDA receptor as disease therapy)

192075-86-8 CAPLUS

2,3-Quinoxalinediamine, 6,7-dichloro- (9CI) (CA INDEX NAME)

CN

192075-87-9 CAPLUS

2,3-Quinoxalinediamine, 6-chloro-7-(2,3-dichlorophenoxy)- (9CI) (CA INDEX CN NAME)

192075-88-0 CAPLUS RN

CN 2,3-Quinoxalinediamine, 5,7-dichloro- (9CI) (CA INDEX NAME) (9CL) 7CL INDEA NH2

 $\phi$  if the commutation  $\phi$  (i.e.,  $\phi$ ) (i.e.,  $\phi$ )

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RN 192075-89-1 CAPLUS

CN Thiocyanic acid, 2,3-diamino-7-chloro-6-quinoxalinyl ester (9CI) (CA INDEX NAME)

NC-S NH2

RN 192075-90-4 CAPLUS

CN Thiocyanic acid, 2,3-diamino-7-fluoro-6-quinoxalinyl ester (9CI) (CA INDEX NAME)

NC-S NH2

RN 192075-91-5 CAPLUS
CN 2(1H)-Quinoxalinethione, 3-amino-6-chloro-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 192075-92-6 CAPLUS
CN 2(1H)-Quinoxalinethione, 6-chloro-3-(methylamino)-7-(trifluoromethyl)(9CI) (CA INDEX NAME)

F3C H N S NHMe

RN 192075-93-7 CAPLUS

Patel

CN = 12(1H)-Quinoxalinethione, 6,7-dichloro-3-(phenylamino)- (9CI) (CA INDEX NAME)

RN 192076-03-2 CAPLUS

CN --2(1H) =Quinoxalinethione, 3-amino-7-chloro-6-(trifluoromethyl)- (9CI) (CA

INDEX NAME)

RN 192076-04-3 CAPLUS
2(1H)-Quinoxalinethione, 7-chloro-3-(methylamino)-6-(trifluoromethyl)(9CI) (CA INDEX NAME)

GI

The invention relates to compds. of general formula (I; Z1 = hydrogen, hydroxy, C1-4 alkyl, C7-9 phenylalkyl, optionally substituted Ph, CO2-C1-4 alkyl, C2-14 acyl, C1-4 alkylsulfonyl, trifluoromethyl-sulfonyl, optionally substituted benzoyl, optionally substituted phenyl-sulfonyl group; Y1 = hydrogen, or optionally substituted amino group, or Y1 and Z1 form together a CO2 group, where Y2 and Z2 mean together a valency bond, or Y1 and Z2 mean together a valency bond, and at the same time Z2 = hydrogen, hydroxy, C1-4 alkyl,

Patel <1

Ι

C7-9 phenylalkyl, optionally substituted Ph, CO2C1-4 alkyl, C2-4 alkylsulfonyl, trifluoromethyl-sulfonyl, optionally substituted benzoyl, optionally substituted phenyl-sulfonyl group; X1 and X2 mean together O, or S, or X1 = hydrogen, NHR4 or WR5 groups, and at the same time X2 = hydrogen, or X2 and X3 together form a valency bond; X3 = hydrogen, C1-4, C7-9 phenylalkyl, optionally substituted Ph; R1, R2 = hydrogen, halogen, Cl-4 alkyl, trifluoromethyl, cyano, mercapto or sulfonylamido group, R3 = hydrogen or nitro group; R4 = hydrogen or hydroxy group; R5 = hydrogen, C1-4 alkyl, C7-9 phenylalkyl group; W = oxygen or sulfur; some proviso given) and salts, tautomeric forms and N-oxides thereof. They show a significant activity at the glycine binding site of the NMDA-receptor and therefore may have a significant neuroprotective effect which may play a therapeutic role in the treatment of Alzheimer disease, stroke, epilepsy, AIDS, and Parkinson's disease. 3-Lauroylamino-6,7-dichloro-8nitroquinoxaline-2-one showed 54 IC50 of .mu.g/mL for inhibiting the binding of [3H]dichlorokinurenic acid (DCK) to homogenized rat cerebellum and brain stem (J. Pharma. Pharmacol., 44, 812-816, 1992) vs. 4,000 nM for 6-trifluoromethylquinoxaline-2,3-dione.

L3 ANSWER 322 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:453297 CAPLUS

DN 127:128671

TI Silver halide color photographic material and image formation

IN Makuta, Toshiyuki; Nakamura, Takemare

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 65 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PΙ

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09152695	A2	19970610	JP 1995-334202	19951130
			JP 1995-334202	19951130

IT 192387-91-0

RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)

(photog. paper contg. reducing agent, diffusible coupler, and mordant)

RN 192387-91-0 CAPLUS

CN Hydrazinecarboxamide, 2-[3-(methylsulfonyl)-2-quinoxalinyl]-N-octadecyl-(9CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

AB The title material, comprising a support coated with .gtoreq.l photog. constitutive layers, contains .gtoreq.l reducing agent I (C.alpha. = C atoms; Z = carbamoyl, acyl, alkoxycarbonyl, aryloxycarbonyl; Q = atoms forming an unsatd. ring along with C.alpha.) which reacts with a coupler to form a dye, .gtoreq.l diffusible dye-forming coupler, and .gtoreq.l

/1 - (9CI) (C/DNLND430:/25028

TI Fluorinated heterocycles: II. Synthesis of quinoxaline

1,4-dioxides.

AU Kotovskaya, S. K.; Charushin, V. N.; Chupakhin, O. N.; Kozhevnikova, E. O.

CS Ural State Technical University, Yekaterinburg, 620002, Russia

SO Russian Journal of Organic Chemistry (Translation of Zhurnal Organicheskoi Khimii) (1998), 34(3), 369-374
CODEN: RJOCEQ; ISSN: 1070-4280

PB MAIK Nauka/Interperiodica Publishing

DT Journal

LA English

717

771:

IT 163777-39-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of quinoxaline dioxides)

RN 163777-39-7 CAPLUS

CN 2-Quinoxalinecarbonitrile, 3-amino-6,7-difluoro-, 1,4-dioxide (9CI) (CA

INDEX NAME)

AB 7-Amino-6-fluoroquinoxaline 1,4-dioxides have been synthesized by reaction of 5,6-difluorobenzofuroxan with enamines derived from cycloalkanones and with malononitrile. The transformation of 5,6-difluorobenzofuroxan into quinoxaline 1,4-dioxides in the presence of cycloalkenylamines is accompanied by replacement of the 6-fluoro atom by the amine residue.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 33 OF 130 CAPLUS COPYRIGHT 2003 ACS on STN

Reever

AN 1998:577345 CAPLUS

DN 129:224913

- TI Altering the Balance between Ligand-Based Radical Anion Formation and Dechelation in Electrochemically Reduced Binuclear Copper(I) Complexes: A Resonance Raman Spectroelectrochemical Study
- AU Page, Simon E.; Gordon, Keith C.; Burrell, Anthony K.
- CS Department of Chemistry, University of Otago, Dunedin, N. Z.
- SO Inorganic Chemistry (1998), 37(17), 4452-4459 CODEN: INOCAJ; ISSN: 0020-1669
- PB American Chemical Society

DT Journal

LA English

IT 212312-62-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and complexation with copper)

RN 212312-62-4 CAPLUS

<11/14/2003>

(CA INDEX MANGE inoxaline, 6,7-dichloro-2,3-di-2-quinolinyl- (9CI) (CA INDEX NAME)

The electrochem. and spectral properties of mono- and binuclear complexes AB with bridging ligands based on 2,3-di(2-quinolyl)quinoxaline are reported. The ligands are 2,3-di(2-quinolyl)quinoxaline (dqq), 6,7-dimethyl-2,3-di(2-quinolyl)quinoxaline (dqqMe2), and 6,7-dichloro-2,3-di(2-quinolyl)quinoxaline (dqqCl2). The complexes are [Cu(dqq)(PPh3)2]BF4, 1.cntdot.[BF4]; [Cu(dqqMe2)(PPh3)2]BF4, 2.cntdot.[BF4]; [Cu(dqqCl2)(PPh3)2]BF4, 3.cntdot.[BF4]; [(PPh3)2Cu(dqq)Cu(PPh3)2](BF4)2, 4.cntdot.[BF4]2; [(PPh3)2Cu(dqqMe2)Cu(PPh3)2](BF4)2, 5.cntdot.[BF4]2; [(PPh3)2Cu(dqqCl2)Cu(PPh3)2](BF4)2, 6.cntdot.[BF4]2. The mononuclear complexes reduce at the metal and dechelate, as evidenced by UV/visible spectroelectrochem. Redn. of the binuclear complexes results in ligand-based radical anion formation for 4 and 6 but decompn. of 5 to 2. The "redn. species are identified using resonance Raman spectroscopy. The structures of [Cu(PPh3)2(C26H14Cl2N4)][BF4] (3.cntdot.[BF4]) and [(Cu(PPh3)2)2(C26H14Cl2N4)][BF4]2.cntdot.2CH2Cl2 (6.cntdot.[BF4]2) were detd. by single-crystal x-ray diffraction. 3.cntdot.[BF4] crystd. in the monoclinic space group P.hivin.1 with a 10.956(2), b 15.278(3), c 16.032(3) .ANG., .alpha. 100.342(8), .beta. 95.291(13), .gamma. 93.968(12).degree., Z = 2, .rho.calcd = 1.431 g/cm3, and R(Fo) = 0.0589. 6.cntdot.[BF4]2 crystd. in the monoclinic space group C2/c with a 21.295(4), b 24.322(5), c 20.034(4) .ANG., .beta. 112.64(3).degree., Z = 8, .rho.calcd = 1.486 g/cm3, and R(Fo) = 0.0422. THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 49

L20 ANSWER 34 OF 130 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:550899 CAPLUS

DN 129:276185

TI Synthesis of imidazo[4,5-b]quinoxaline ribonucleosides as linear dimensional analogs of antiviral polyhalogenated benzimidazole ribonucleosides

ALL CITATIONS AVAILABLE IN THE RE FORMAT

AU Zhu, Zhijian; Saluja, Sunita; Drach, John C.; Townsend, Leroy B.

CS Department of Chemistry, University of Michigan, Ann Arbor, MI, 48109-1065, USA

SO Journal of the Chinese Chemical Society (Taipei) (1998), 45(4), 465-474 CODEN: JCCTAC; ISSN: 0009-4536

PB Chinese Chemical Society

DT Journal

LA English

IT 192075-86-8P

<11/14/2003>

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2 379022 - FA730713
- 165381
            19740429
  1351°
            19740627
3-379022
                                OH
            1978Q/13
· ... 65381
            19740627
9486
                                SH
              Cl
  379022. . 39730733
 165793
            107469799
. . . . 7.65
            19746627
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.35562

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RN 55817-20-4 CAPLUS

"GI" For diagram(s), see printed CA Issue.

ABOURDE Mercaptoquinoxaline di-N-oxides I (R = Me, NH2, OH; R1 and R2 = H, Cl, Mercaptoquinoxaline di-N-oxides I (R = Me, NH2, OH; R1 and R2 = H, Cl, Mercaptoquinoxaline di-N-oxides I (R = Me, F, CF3) were prepd. by treating the cyanoquinoxaline di-N-oxides I (R = Me, Na2S.9H2O or NaSH. II were prepd. by treating benzofuroxans with methylisoxazoles, NCCH2CO2Me, CH2(CN)2. I (R = Me, NH2, OH, R1 = R2 i H; R = Me, R1 = Cl, OEt, R2 = H; R = Me, R1 = H, R2 = Cl, OEt) had bactericidal min. inhibitory concns. of 50-128 .gamma./ml against Escherichia coli A261 and 100-128 .gamma./ml Staphylococcus aureus 133. I (R = Me, R1 = R2 = H) was S-methylated.

- L4 ANSWER 225 OF 250 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1975:156377 CAPLUS
- DN 82:156377
- TI Piperazinyl quinoxalines
- IN Engelhard, Edward L.; Lumma, William C., Jr.; Saari, Walfred S.
- PA Merck and Co., Inc.
- SO Ger. Offen., 36 pp. CODEN: GWXXBX
- DT Patent
- LA German

FAN.CNT 1

LIM.	0111 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 2433397	A1	19750206	DE 1974-2433397	19740711
				US 1973-379022	19730713
				US 1974-465381	19740429
	FI 7401939	Α	19750114	FI 1974-1939	19740625
				US 1973-379022	19730713
				US 1974-465381	19740429
	DK 7403426	Α	19750303	DK 1974-3426	19740626

<11/14/2003>

19730713						110	1973-379022	19730713.
19740429							1974-465381	19740429
19740627	NO	7402351		Α	19750114		1974-2351	19740627
19730713	NO	7402331		Α.	19/30114		1973-379022	19730713
19740429							1974-465381	19740429
19740627	0.0	7408486		70	19750114		1974-8486	19740627
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		417316		C	19810625			-
1.9730¥13	SE	41/316	••	C	19610623	110	1973-379022	19730713
19740429		. <del>-</del>	•				1974-465381	19740429
19740427		7400705		70	10750115		1974-8705	19740429
19/4000	ИГ	7408705		A	19750115		1973-379022	19730713
							1974-465381	19740429
	7.11	7470721		2.1	10760100		1974-70731	19740702
1777434711	ΑU	7470731		A1	19760108		1973-379022	19730713
							1974-465381	19740429
		1 4 4 0 7 0 0		_	10760602			
	GB	1440722		Α	19760623		1974-30176 1973-379022	19740708 19730713
1917111								
		400107		- 1	10761116		1974-465381	19740429
V.1 27121	ES	428107		A1	19761116		1974-428107	19740709
1.1 1111	-						1973-379022	19730713
					1 1005000		1974-465381	19740429
	FR	2236499		A1	19750207		1974-24114	19740711
							1973-379022	19730713
		440400		~	10750000		1974-465381	19740429
	DD	112127		С	19750320		1974-179871	19740711
							1973-379022	19730713
		017600		- 1	10750110		1974-465381	19740429
reaction of the Table 1 and 1	BE	817608		A1	19750113		1974-146519	19740712
19740712		7404466		_	10760005		1973-379022	19730713 19740712
	ZA	7404466		Α	19760225		1974-4466	
0117 1117 . 1		605010		_	10701010		1973-379022	19730713
	CH	605919		Α	19781013		1974-9648	19740712
							1973-379022	19730713
		r 0 0 0 0 0 0 0 0 0 0		7.0	10750400		1974-465381	19740429
	JP	50037791		A2	19750408		1974-79774	19740713
							1973-379022	19730713
						US	1974-465381	19740429

IT 55686-52-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) 55686-52-7 CAPLUS

RN

CN Quinoxaline, 6,7-dichloro-2-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

- AB 2-Amino-3-chloroquinoxaline (I, R = NH2, R1 = C1) was prepd. by bubbling NH3 gas into a formamide soln. of I (R = R1 = C1). Addn. of NH4Cl into the latter reaction mixt. gave I (R = R1 = NH2).

  Bis(aminoquinoxalinyl)amine II was obtained by bubbling NH3 at a higher temp. into a formamide soln. of I (R = R1 = C1). The oxidn. of dihydrotetraazanaphthacene III with KMn04 gave

  White the solution of the m.p. data for the known compds. are ascribed to the formation of intra- or intermol. condensation products.
  - L3 ANSWER 589 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN
  - AN 1990:179028 CAPLUS
  - DN 112:179028
  - TI Imidazoquinoxalinium salts as intermediates for dyes
  - IN Inagaki, Yoshio; Adachi, Keiichi
  - PA Fuji Photo Film Co., Ltd., Japan
  - SO Jpn. Kokai Tokkyo Koho, 5 pp.
  - CODEN: JKXXAF
  - DT Patent
  - LA Japanese

FAN.CNT 1

E PAIN .	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 01261389 JP 06081755	A2 B4	19891018 19941019	JP 1988-88379	19880411
	01 00001733	21	13311013	JP 1988-88379	19880411

- OS MARPAT 112:179028
- IT 126444-88-0 126444-89-1 126444-90-4 126444-91-5 126444-92-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with acetic anhydride and toluenesulfonic acid)

- RN 126444-88-0 CAPLUS
- CN 2,3-Quinoxalinediamine, N,N'-bis(2-methylpropyl)- (9CI) (CA INDEX NAME)

- RN 126444-89-1 CAPLUS
- CN 2,3-Quinoxalinediamine, N,N'-bis(3-methylbutyl)- (9CI) (CA INDEX NAME)

<11/9/2003>

Patel

200

h improved soly, in org. s (isobutylamino) quinoxaline, each for 2 hato give 5 g-F (R.= ing for 3 h to give 14 g crude to 5 g increase, NH-CH<sub>2</sub>-CH<sub>2</sub>-CHMe<sub>2</sub> ing for 3 h to give 14 g crude to ..., in 5 g increase, N, 5 NH-CH<sub>2</sub>-CH<sub>2</sub>-CHMe<sub>2</sub> inoxalinium perchlorate, a

126444-90-4 CAPLUS RN

2,3-Quinoxalinediamine, N,N'-bis(2-methylbutyl)- (9CI) (CA INDEX NAME) Zamer der der der CN

Me .... . .... .. ... ... ... <del>...</del> NH-CH2-CH-Et Me NH-CH2-CH-Et

> RN126444-91-5 CAPLUS

2,3-Quinoxalinediamine, N,N'-bis(2-ethylhexyl)- (9CI) (CA INDEX NAME) CN

Εt NH-CH2-CH-Bu-n Εt NH-CH2-CH-Bu-n

RN 126444-92-6 CAPLUS

CN 2,3-Quinoxalinediamine, 6-chloro-N,N'-bis(2-methylpropyl)- (9CI) (CA INDEX NAME)

NHBu-i NHBu-i

GI

Х -

The title compds. I (R = C4-8 branched alkyl; R1, R2 = H, C1, Me; X =AB

Patel

in pyridine wcH2CHMe2, R1 = R2 = H, X = tosylate) (II). II (24 g) in pyridine was 1 h to give 14 gteEdded with (MeO) 2CHCH2CH(OMe) 2 under heating for 1 h to give 14 g crude 14 h to give 4 g 2-[5-(1,3-isobutylimidazo[4,5-b]quinoxalin-2-ylidene)-1,3-diisobutylimidazo[4,5-b]quinoxalinium perchlorate, a cyanine dye.

- L3 ANSWER 590 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1990:178887 CAPLUS
- DN 112:178887
- The Wilmation Reactions of furazano[3,4-b]quinoxalines with phosphorus ylides and an unusual oxidative transformation of the transylidation product
  - AU Gallos, John K.; Lianis, Pygmalion S.; Nicolaides, Demetrios N.
  - CS Dep. Chem., Univ. Thessaloniki, Thessaloniki, 54006, Greece
  - SO Journal of Heterocyclic Chemistry (1989), 26(5), 1415-20. CODEN: JHTCAD; ISSN: 0022-152X
  - DT Journal
  - LA English
  - OS CASREACT 112:178887
  - IT 126448-31-5P
    - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
      - (prepn. and oxidative ring closure of, furoxanoquinoxaline from)
  - RN 126448-31-5 CAPLUS
  - ····CN·····2,3-Quinoxalinedione, 6-chloro-1,4-dihydro-, dioxime (9CI) (CA INDEX NAME)

GI

walues obtained \$10 .mu.M). Principal component anal. of the IC50 values obtained for self lines tested into three main families showing different sensitivities toward amphoma, and Helthe dompds. in our series (i, CCRF-CEM, Burkitt's lymphoma, and HeLa; ii, HT-29; and iii, MEXF 276 L).

RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 293 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:26198 CAPLUS

DN 128:56874

- TI Retention behavior of multiple sulfonamides in various liquid chromatographic systems
- AU Botsoglou, N. A.; Fletouris, D. J.; Simeonidou, E. J.; Psomas, I. E. School Veterinary Medicine, Aristotle University, Thessaloniki, 54006, Greece
  - SO Chromatographia (1997), 46(9/10), 477-482 CODEN: CHRGB7; ISSN: 0009-5893
  - PB Friedrich Vieweg & Sohn Verlagsgesellschaft mbH

DT Journal

- LA English
- IT 59-40-5, Sulfaquinoxaline

RL: ANT (Analyte); PEP (Physical, engineering or chemical process); ANST (Analytical study); PROC (Process)

(liq. chromatog. sepn. of sulfonamides and processing parameter effects on their retention behavior)

RN 59-40-5 CAPLUS

CN Benzenesulfonamide, 4-amino-N-2-quinoxalinyl- (9CI) (CA INDEX NAME)

- AB The retention behavior of a series of sulfonamides differin and polarity has been studied under various chromatog. conc influence on retention of inorg. buffers and of neg. or pos pairing ions has been examd., as has the effect of column t results showed that marked improvements in selectivity with elution order can be achieved by changing the ionic state of the solutes, the concn. of the inorg. buffer, the type, and concn. of the pairing ion, and the temp. of the column.
- L3 ANSWER 294 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1997:792615 CAPLUS
- DN 128:75375
- TI Tetracyanoquinodimethanes fused with a [1,2,5]chalcogenadiazole ring
- AU Suzuki, Takanori; Yamashita, Yoshiro; Fukushima, Takanori; Miyashi, Tustomu
- CS Division of Chemistry, Graduate School of Science, Hokkaido University, Sapporo, 060, Japan
- SO Molecular Crystals and Liquid Crystals Science and Technology, Section A: Molecular Crystals and Liquid Crystals (1997), 296, 165-180

<11/9/2003>

Patel

(p)

CODEN: MCLCE9; ISSN: 1058-725X

PB Gordon & Breach Science Publishers

DT Journal .

LA English

IT 6640-47-7, 2,3-Quinoxalinediamine

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. and properties of (benzoxadiazolediylidene)propanedinitrile and analogs)

RN 6640-47-7 CAPLUS

CN 2,3-Quinoxalinediamine (9CI) (CA INDEX NAME)



N NH2

IT 200815-10-7P

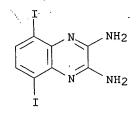
RL: RCT: (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and properties of (benzoxadiazolediylidene)propanedinitrile and analogs)



200815-10-7 CAPLUS

2,3-Quinoxalinediamine, 5,8-diiodo- (9CI) (CA INDEX NAME)



AB The title compds. were designed as novel electron acceptors and prepd.
from 4,7-dihalobenzo[1,2,5]chalcogenadiazoles in two steps. Comparison of
X-ray structures indicated that the packing arrangements of the different
chalcogenadiazole derivs. are quite different from each other in spite of
their similar mol. geometries. In the crystal of a selenadiazole deriv.
are obsd. very short intermol. contacts between Se and N to form infinite
"sheet"-like network, whereas coplanar dyads are formed by
C-H.cntdot..cntdot..cntdot.N.tplbond.C hydrogen bonds in an oxadiazole and
a thiadiazole deriv. These acceptors afforded stable anion-radical salts
upon one-electron redn. and gave highly conductive charge-transfer
complexes with tetrathiafulvalene derivs.

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L3 ANSWER 295 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1997:789621 CAPLUS
- DN 128:7396
- TI Semipreparative separation and fractionation of sulfonamides via supercritical fluid chromatography

Patel

the tC50 values Portoxyethyfation of 183 g PhN(CH2CH2OH)2 with 4400 g ethylene oxide;

ind. the cell linesacetylation, and reaction with 306.8 g POCl3, 202.5 g DMF, and 20.4 g Ac20

different sensitivate 5-cencents (CH2CH2O) 50Ac]2 (I). Heating I 1665, 2-H2NC6H4SH 37.6;

which the cell linesacetylation, and reaction with 306.8 g POCl3, 202.5 g DMF, and 20.4 g Ac20

different sensitivate 5-cencents (CH2CH2O) 50Ac]2 (I). Heating I 1665, 2-H2NC6H4SH 37.6;

benzothiazole deriv. of I with UV absorption max. 362 nm, which emitted blue fluorescence. Use of this compd. to identify dyed wool is described.

L3 ANSWER 558 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1991:470795 CAPLUS

DN 115:70795\

Ma on Sin

TI Substituent effects on the luminescence of 2-substituted 3-methylquinoxalines in poly(vinyl alcohol) films

AU Gryczynski, Z.; Kawski, Alfons

CS Inst. Exp. Phys., Univ. Gdansk, Gdansk, 80-952, Pol.

------So---Zeitschrift fuer Naturforschung, A: Physical Sciences (1991), 46(4), 304-6

CODEN: ZNASEI; ISSN: 0932-0784

DT Journal

LA English

IT 34972-22-0, 2-Amino-3-methylquinoxaline

RL: PRP (Properties)

(luminescence of, in PVA films, temp. dependence of)

RN 34972-22-0 CAPLUS

CN 2-Quinoxalinamine, 3-methyl- (9CI) (CA INDEX NAME)

- AB The effect of 2-substitutions (NH2, O, MeO, Cl, Br) in 3-methylquinoxalines on the fluorescence and phosphorescence band position and intensity at 293 K, and the temp. dependence of their fluorescence and phosphorescence quantum yields were investigated in poly(vinyl alc.) films.
- L3 ANSWER 559 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1991:247238 CAPLUS
- DN 114:247238
- TI Reactions of 2,3-bishydroxyimino-1,2,3,4-tetrahydroquinoxalines and 2,3-bishydroxyimino-2,3-dihydro-4H-1,4-benzoxazines with ethyl chloroformate
- AU Varella, Evangelia A.; Nicolaides, Demetrios N.
- CS Dep. Chem., Aristotelian Univ. Thessaloniki, Thessaloniki, 54006, Greece
- SO Journal of Heterocyclic Chemistry (1991), 28(2), 311-15 CODEN: JHTCAD; ISSN: 0022-152X
- DT Journal
- LA English
- OS CASREACT 114:247238
- IT 4332-02-9 134021-61-7

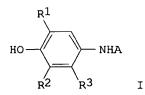
RL: RCT (Reactant); RACT (Reactant or reagent) (cyclocondensation of, with Et chloroformate)

- RN 4332-02-9 CAPLUS
- CN 2,3-Quinoxalinedione, 1,4-dihydro-, dioxime (7CI, 8CI, 9CI) (CA INDEX

<11/9/2003>

GI

Limi), Phill (Altymanilim)



102 (p)

AB The title compds. [I; R1, R2 = alkyl; R3 = H, alkyl; A = (un)substituted quinolinyl, benzothiazolyl, 3,4-dihydro-2(1H)-quinolinyl, indazolyl, 2-benzoxazolinyl, quinoxalinyl], useful as pharmaceuticals (no data), are prepd. A mixt. of 2.20 g 2,6-di-tert-butyl-1,4-benzoquinone and 4.33 g 3-aminoquinoline in C2H4Cl2 was refluxed for 20 h in the presence of TiCl4 to give 2.16 g 2,6-di-tert-butyl-4-(3-quinolylimino)-2,5-cyclohexadien-1-one which was stirred 1 h at room temp. in THF with addn. of aq. NaHS to give 2.00 g I (R1 = R2 = Me3C, R3 = H, A = 3-quinolinyl).

L3 ANSWER 637 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1988:492044 CAPLUS

DN 109:92044

TI The nitration of aminoquinoxalines

AU Poradowska, Henryka

CS Pol

SO Zeszyty Naukowe Uniwersytetu Jagiellonskiego, Prace Chemiczne (1987), 30, 97-115

CODEN: ZUJCAQ; ISSN: 0373-0166

DT Journal

LA Polish

IT 5424-05-5, 2-Aminoquinoxaline 6640-47-7,

2,3-Diaminoquinoxaline

RL: RCT (Reactant); RACT (Reactant or reagent)
 (nitration of, regiochem. of)

RN 5424-05-5 CAPLUS

CN 2-Quinoxalinamine (9CI) (CA INDEX NAME)

RN 6640-47-7 CAPLUS

CN 2,3-Quinoxalinediamine (9CI) (CA INDEX NAME)

Patel

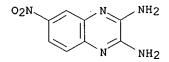
IT 90004-55-0P 115726-26-6P

(Trapagation); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(prepn. and spectra of)

RN 90004-55-0 CAPLUS CN 2,3-Quinoxalinedian

2,3-Quinoxalinediamine, 6-nitro- (9CI) (CA INDEX NAME)



RN 115726-26-6 CAPLUS

CN 2-Quinoxalinamine, 6-nitro- (9CI) (CA INDEX NAME)

- The nitration reactions of aminoquinoxalines were carried out in concd. sulfuric acid. The substrates used were: 2-amino-, 2,3-diamino-, 5-amino-, 6-amino-, 6-amino-2-methyl-, 6-amino-3-methyl- and 6-amino-2,3-dimethylquinoxaline. The position of electrophilic substitution in the quinoxaline ring depends on the position of the amino group. 2-Amino- and 2,3-diaminoquinoxaline undergo C-nitration. The amino group at the 5 position facilitates C-dinitration at the 6 and 8 positions. In the case of 6-aminoquinoxaline derivs., N-nitration takes place independently of the presence or the absence of the Me groups in a pyrazine ring. The influence of sulfuric acid at 50.degree. on the behavior of N-nitroaminoquinoxalines was investigated. The rearrangement of substrates to aminonitroquinoxalines took place and the nitro group was introduced into the 5 position of quinoxaline. The IR, 1H-NMR and mass spectra were discussed.
- L3 ANSWER 638 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1988:482489 CAPLUS
- DN 109:82489
- TI Luminescence of neutral and protonated aminoquinoxalines
- AU Waluk, Jacek
- CS Inst. Phys. Chem., Pol. Acad. Sci., Warsaw, 01-224, Pol.
- SO Journal of Luminescence (1988), 40-41, 211-12 CODEN: JLUMA8; ISSN: 0022-2313

Patel

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09483504.8 Page 1862
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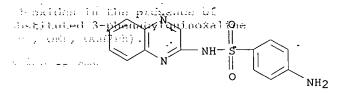
LA English

IT 59-40-5

RL: ANT (Analyte); ANST (Analytical study)
 (detn. of, in eggs and poultry)

RN 59-40-5 CAPLUS

CN Benzenesulfonamide, 4-amino-N-2-quinoxalinyl- (9CI) (CA INDEX NAME)



AB A procedure for the detection and detn. of residues of sulfaquinoxaline (I) in eggs and poultry is described. I is a coccidiostat and can be incorporated in poultry feed at concns. up to 100 mg/kg. I is extd. from the sample with acetonitrile and, after a partition clean-up process, is hydrolyzed to 2-aminoquinoxaline. The trifluoroacetyl deriv. of this amine is a suitable compd. for gas chromatog. with electron-capture detection. The method is applicable to residues at concns. of 0.1-5 mg/kg. The method is capable of detecting I at much lower levels, but the corresponding extn. efficiency was not investigated.

L3 ANSWER 1081 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN

AN....1971:529758 CAPLUS

DN 75:129758

(3.2.5)

104463

TIMEQuinoxalines. XIV. Reaction of 2-substituted quinoxaline 4-oxide with

1971@acetophenone

AU Iijima, Chihoko; Hayashi, Eisaku

CSF Shizuoka Coll. Pharm., Shizuoka, Japan

SO Yakugaku Zasshi (1971), 91(7), 721-6

CODEN: YKKZAJ; ISSN: 0031-6903

DT Journal

LA Japanese

IT 33870-76-7P 33870-77-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

ARN 33870-76-7 CAPLUS

CN 2-Quinoxalinamine, 3-(1-methylethyl)- (9CI) (CA INDEX NAME)

#RN 33870-77-8 CAPLUS

CN 2-Quinoxalinamine, 3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

in the proable Addn. of PhAc to 2-substituted quinoxaline 4-oxides in the presence of 3-phenacy) quinoxaline Managen C6H6, under ice cooling, gave 2-substituted 3-phenacylquinoxaline Managen (I, R = Et, iso-Pr, tert-Bu, Ph, OMe, OEt, OCH2Ph).

L3 ANSWER 1082 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1971:510336 CAPLUS

DN 75:110336

TI 2-(Trifluoromethyl)quinoxaline di-N-oxides

IN Abushanab, Elie

PA Pfizer Inc.

SO Ger. Offen., 58 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	FAN.	NT I					
		PATENT NO	. KIND	DATE	APPLICATION NO.	DATE	
	PI	DE 2105112	2 A	19710812	DE 1971-2105112	19710204	
					US 1970-9041	19700205	
		US 3752812	2 A	19730814	US 1970-9041	19700205	
11 %		GB 131552	4 A	19730502	GB 1970-21671	19700505	
1979929	1.3	•			US 1970-9041	19700205	
:9/LUXU	14	CA 942308	A1	19740219	CA 1971-104463	19710204	
	•				US 1970-9041	19700205	
* 1.7 1 1.7.1.		FR 208149	1 A5	19711203	FR 1971-3944	19710205	
		FR 208149	1 B1	19750418			
					US 1970-9041	19700205	
		JP 550290	73 B4	19800731	JP 1971-4329	19710205	
					US 1970-9041	19700205	

IT 33574-93-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 33574-93-5 CAPLUS

CN Quinoxaline, 2-amino-3-(trifluoromethyl)-, 1,4-dioxide (8CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

<11/9/2003>

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L. Brackers

threat count

CM 2

CRN 42151-56-4 CMF C11 H17 N O

Absolute stereochemistry. Rotation (+).

Ι

GΙ

The title compds. [I; R = (un)substituted 5-membered heteroaryl contg. 3 or 4 N atoms which is linked to the quinoxalinedione ring by a ring C or N atom, or a 6-membered heteroaryl contg. 1-3 N atoms which is linked to the quinoxalinedione ring by a ring C atom; R1, R2 = H, F, Cl, Cl-4 alkyl, etc.], useful as NMDA receptor antagonists for treating acute neurodegenerative and chronic neurol. disorders such as stroke, transient ischemic attack, peri-operative ischemia or traumatic head injury, were prepd. and formulated. Thus, treatment of 6,7-dichloro-2,3-dimethoxy-5-(4-pyridyl)quinoxaline with 2N HCl in 1,4-dioxane afforded 17% I [R = 4-pyridyl; R1 = R2 = H]. Compd. I [R = 1-methyl-1H-tetrazol-5-yl; R1 = R2 = Cl] showed IC50 of 3 nM against binding at the glycine site of the NMDA receptor.

L12 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN

<11/14/2003>

1992:592207 CAPLUS AN

DΝ 117:192207

Lealu syathasm Fluorine+19 NMR studies on the mechanism of riboflavin synthase. Synthesis of 6-(trifluoromethyl)-7-oxo-8-(D-ribityl)lumazine and - lumazine and 6-(trifluoromethyl)-7-methyl-8-(D-ribityl)lumazine

Cushman, Mark; Patel, Hemantkumar H.; Scheuring, Johannes; Bacher, o oracionado), men**au**ras

Adelbert

.to, IN, 47965. Sch. Pharm. Pharm. Sci., Purdue Univ., West Lafayette, IN, 47907, USA Journal of Organic Chemistry (1992), 57(21), 5630-43 CODEN: JOCEAH; ISSN: 0022-3263

> DTJournal

> English LA

IT 143309-87-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 143309-87-9 CAPLUS

Quinoxaline, 6,7-dichloro-2-methyl-3-(trifluoromethyl)- (9CI) (CA INDEX CN

GI

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
  - Title oxo-(D-ribityl)lumazine I was synthesized by reaction of Me AB trifluoropyruvate with 5-amino-6-(D-ribitylamino)pyrimidine -2,4(1H,3H)-dione hydrochloride and utilized as a 19F NMR probe of the light riboflavin synthase of Bacillus subtillis. I was found to be an inhibitor of riboflavin synthase with an inhibition const. KI = 55 .mu.M. The enzyme-bound ligand gave rise to several broad 19F NMR signals which were shifted to low field. The bound ligand I could be displaced from the enzyme by the enzyme product, riboflavin (II), and the product analog, 5-nitroso-6-(ribitylamino)-2,4(1H,3H)-pyrimidinedione. Title methyl-(D-ribityl)lumazine III was synthesized by reaction of 5-amino-6-(D-ribitylamino)pyrimidine-2,4(1H,3H)-dione hydrochloride with 1,1,1-trifluorobutane-2,3-dione. Three mols. of III can be bound relatively tightly per mol of riboflavin synthase, i.e., one ligand mol. per protein subunit. A scheme for the catalytic cycle of riboflavin synthase is proposed.
  - L12 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
  - AN 1974:536183 CAPLUS
  - DN
  - Antibacterial 3-cyano-2-hydroxyquinoxaline N,N'-dioxides TΙ
  - Seng, Florin; Ley, Kurt IN
  - PA Bayer A.-G.
  - Ger. Offen., 15 pp.

<11/14/2003>

(2)

Condensation of II (R = H) with CO(CH2CO2Et)2 gave III.

L3 ANSWER 876 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1980:76440 CAPLUS

DN 92:76440

and investigation of 6-chloroquinoxaline with potassium amide in liquid ammonia

AU Czuba, Wladyslaw; Poradowska, Henryka

S . Inst. Org. Chem. Technol., Tech. Univ., Krakow, Pol.

\$6.79 Zeszyty Naukowe Uniwersytetu Jagiellonskiego, Prace Chemiczne (1979), 24, 7-12

CODEN: ZUJCAQ; ISSN: 0373-0166

DT Journal

hemiczne

LA English

IT 2427-70-5P 52312-40-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, by amination of chloroquinoxaline)

RN 2427-70-5 CAPLUS

CN 2-Quinoxalinamine, 7-chloro- (9CI) (CA INDEX NAME)

RN 52312-40-0 CAPLUS

CN 2,3-Quinoxalinediamine, 6-chloro- (9CI) (CA INDEX NAME)

- AB Amination of 3.292 g 6-chloroquinoxaline with 4-fold excess KNH2-NH3 gave traces of 6-aminoquinoxaline together with 2.141 g 3-amino-6-chloroquinoxazaline and 0.413 g 2,3-diamino-6-chloroquinoxaline.
- L3 ANSWER 877 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1980:33916 CAPLUS

DN 92:33916

TI Toxicity tests and residue determinations, in chickens, of a coccidiostat composed of sulfaquinoxaline and diaveridine

AU Gennaro Soffietti, Maria; Tappero, P.

CS Fac. Med. Chir., Univ. Torino, Turin, Italy

SO Annali della Facolta di Medicina Veterinaria di Torino (1978), 25, 230-5 CODEN: AMVTAA; ISSN: 0496-4748

DT Journal

LA Italian

IT 65566-74-7

RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (residues and toxicity of, in chicken)

RN 65566-74-7 CAPLUS

CN Benzenesulfonamide, 4-amino-N-2-quinoxalinyl-, mixt. with

<11/9/2003>

column chromatograph water bath. The ext. was cleaned by alumina column chromatogrand cowed by ion-paired to HPLC on a .mu.Bondapak C18 column, followed by ion-paired exercised of 2hromatogrand detn. at OD254. The method had a recovery rate of 97.4% continued and powdahdfar relative std. deviation of 2.8% for both pelletized and powder-form feed mixes.

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ANSWER 712 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN
     1985:437081 CAPLUS
ИA'
     103:37081
DN
     Reactivity of cyanodithioformate towards primary amines
ŤΙ
     De Diego, Carmen; Gomez, Encarnacion; Avendano, Carmen
AU
     Fac. Farm., Univ. Complutense, Madrid, 28040, Spain
     Heterocycles (1985), 23(3), 649-51
CODEN: HTCYAM; ISSN: 0385-5414
SO
     Journal
DT
     English
LΑ
     CASREACT 103:37081
os
     34972-19-5 97122-10-6 97183-62-5
IT
     RL: RCT (Reactant); RACT (Reactant or reagent))
      34972-19-5 CAPLUS
RN
```

2(1H)-Quinoxalinethione, 3-amino- (9CI) (CA INDEX NAME)

CN

en.

RN 97122-10-6 CAPLUS
CN 2(1H)-Quinoxalinethione, 3-amino-6(or 7)-methyl- (9CI) (CA INDEX NAME)

D1-Me

RN 97183-62-5 CAPLUS
CN 2-Quinoxalinamine, 3-(ethylthio)- (9CI) (CA INDEX NAME)

GI

si on STN

comy Pol:

Prace Chemiczne (1979), 24,

AB Dithiooxamides RNHCSCSNHR (R = PhCH2, PhCH2CH2, Bu, cyclohexyl) were obtained by the reaction of NCCS2Me (I) with RNH2. o-Phenylenediamines underwent cycloaddn.-cyclocondensation with I to yield quinoxalines II (R1 = H, Me). I and PhNH2 gave PhNHCSNHPh, while NCC(:NNHCONHPh)SMe was obtained from I and H2NNHCONHPh.

With the Comment

- L3 ANSWER 713 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1985:431967 CAPLUS
- DN 103:31967
- TI Relationship between the hydrophobic substitution constants obtained from pyridine derivatives and those from benzene derivatives
- AU Kim, Ki Hwan; Martin, Yvonne C.
- CS Abbott Lab., North Chicago, IL, USA
- SO QSAR Des. Bioact. Compd. (1984), 61-7. Editor(s): Kuchar, M. Publisher: Prous, Barcelona, Spain. CODEN: 53SIAU
- DT Conference
- LA English
- IT 5424-05-5 6479-24-9

RL: BIQL (Biological study)
(hydrophobic substituent consts. prediction for, QSAR studies in relation to)

- RN 5424-05-5 CAPLUS
- CN 2-Quinoxalinamine (9CI) (CA INDEX NAME)

RN 6479-24-9 CAPLUS

CN Acetamide, N-2-quinoxalinyl- (8CI, 9CI) (CA INDEX NAME)

GΙ

Treparation): USES (Uses) (CA INDEX NAME)

: NAME)

RN 51144-19-5 CAPLUS

CN 2(1H)-Quinoxalinone, 3-methyl-, hydrazone (9CI) (CA INDEX NAME)

$$\bigvee_{N \text{ Me}}^{H} ^{N-NH_2}$$

GT

 1026

AB IR and NMR spectral measurements showed that quinoxaline thiosemicarbazides I (R = Me, Ph; Rl = Me, Me2CH, Ph) and oxoindeno[2,3-b]quinoxaline thiosemicarbazones II (Rn = H, Me, 3,4-Me2), as a rule, exist in only one tautomeric form.

L3 ANSWER 835 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1981:169423 CAPLUS

DN 94:169423

TI Quinoxaline herbicides

PA Kyowa Gas Chemical Industry Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 6 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 55167205	A2	19801226	JP 1979-74312	19790613

IT 41213-10-9P 46316-10-3P 77139-17-4P 77139-18-5P 77139-19-6P 77186-60-8P 77186-61-9P 77186-63-1P 77186-64-2P 77186-66-4P 77186-67-5P 77186-69-7P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except

<11/9/2003>

09483504.8 Page 1512

adverse); BSU (Biological study, unclassified); SPN (Synthetic ration); USES (Uspreparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and herbicidal activity of)

RN 41213-10-9 CAPLUS

CN 2-Quinoxalinamine, N-ethyl- (9CI) (CA INDEX NAME)

RN 46316-10-3 CAPLUS

CN 2-Quinoxalinamine, N-propyl- (9CI) (CA INDEX NAME)

RN 77139-17-4 CAPLUS

CN 2-Quinoxalinamine, 6(or 7)-chloro-N-propyl- (9CI) (CA INDEX NAME)

D1-C1

RN 77139-18-5 CAPLUS

CN 2-Quinoxalinamine, 6(or 7)-methyl-N-propyl- (9CI) (CA INDEX NAME)

D1-Me

RN 77139-19-6 CAPLUS

CN 2-Quinoxalinamine, 6(or 7)-nitro-N-propyl- (9CI) (CA INDEX NAME)

Patel

09483504.8 Page 1513

 $D1-NO_2$ 

(OCT) TON THOMY MAME!

CN 77186-60-8 CAPLUS
CN 2-Quinoxalinamine, N-ethyl-3-methyl- (9CI) (CA INDEX NAME)

RN 77186-61-9 CAPLUS
CN 2-Quinoxalinamine, 3-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 77186-63-1 CAPLUS
CN 2-Quinoxalinamine, N,3-diethyl- (9CI) (CA INDEX NAME)

PN 77186-64-2 CAPLUS
CN 2-Quinoxalinamine, 3-ethyl-N-propyl- (9CI) (CA INDEX NAME)

RN 77186-66-4 CAPLUS CN 2-Quinoxalinamine, 3-(3-chlorophenyl)-N-ethyl- (9CI) (CA INDEX NAME)

<11/9/2003>

RN 77186-67-5 CAPLUS

(GCI) (CA INDEX NAME) (GCI) (CA INDEX NAME)

RN 77186-69-7 CAPLUS
-CN -2-Quinoxalinamine, 3-(3-methylphenyl)-N-propyl- (9CI) (CA INDEX NAME)

GΙ

$$R^3$$
  $R^2$   $R^2$   $R^2$ 

Quinoxalines I (R1 = H, OH, Me, Et, m-chlorophenyl, or m-tolyl; R2 = Cl, NHEt, or NHPr; R3 = H, Cl, Me, or NO2) are herbicides. Thus, 2000 g 2-(m-chlorophenyl)-3-hydroxyquinoxaline [77139-20-9]/10\_are controlled Echinochloa crus-galli, Rotola indica, and broad-leaf weeds in rice.

L3 ANSWER 836 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1981:84164 CAPLUS

DN 94:84164

TI Heterotricyclic derivatives and their use in pharmaceutical preparations

IN Barnes, Alan Charles; Rowlands, David Alun

PA Roussel-UCLAF, Fr.

SO Ger. Offen., 49 pp.

CODEN: GWXXBX

DT Patent

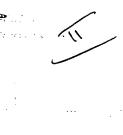
LA German

FAN.CNT 2

PATENT NO.

KIND DATE

APPLICATION NO. DATE



105(p)

AB Ring closure of 2-substituted 3-(2-pyridylamino)acrylates in POC13-polyphosphoric acid gave pyrido[1,2-a]pyrimidines and in Dowtherm A gave pyrido[1,2-a]pyrimidines, and 1,8-naphthyridines. E.g., I with POC13-polyphosphoric acid at 130.degree. gave 95% II and with Dowtherm A at 25% gave 62% II and 11% III. The pyridopyrimidines rearranged in Dowtherm A or liq. paraffin to give 1,8-naphthyridines. E.g., II in liq. paraffin at 325.degree. for 30 min gave 70% III. Similar 1.fwdarw.3, N.fwdarw.C-acyl migrations occurred in pyrimido[1,2-a]naphthyridines dipyrido[2-a; 2',3'-d]pyrimidines, pyrimido[1,2-a]pyrazines, -[1,6-a]pyrimidines, and -[1,2b]-pyridazines.

III

- L3 ANSWER 941 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1977:468423 CAPLUS
- DN 87:68423
- TI Imidazoquinoxaline fungicides

Me

- IN Sam, Donnie Joe; Wuonola, Mark A.
- PA du Pont de Nemours, E. I., and Co., USA
- SO U.S., 9 pp. Division of U.S. 3,919,423. CODEN: USXXAM
- DT Patent
- LA English
- FAN.CNT 4

r Auv.	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	us 4022777	A	19770510	US 1975-615495 US 1972-277604	19750922 19720801	
				US 1972-277604 US 1973-369740	19730613	
	US 3919423	Α	19751111	US 1973-369740	19730613	
				US 1972-277604	19720801	
	JP 49075726	A2	19740722	JP 1973-85033	19730730	
				US 1972-277604	19720801	
				US 1973-369740	19730613	
	AU 7358663	<b>A</b> 1	19750130	AU 1973-58663	19730730	
				US 1972-277604	19720801	
				US 1973-369740	19730613	
	ES 417393	Al	19760716	ES 1973-417393	19730730	
				US 1972-277604	19720801	
				US 1973-369740	19730613	
	CH 585012	Α	19770228	CH 1973-11088	19730730	

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071-369740	197306	ER 2194371	A1	19740301	FR 1973-28047	1:9730731
973-28047	197307	/31.			US 1972-277604	19720801
112-277004	197208	101			US 1973-369740	19730613
973-369740	197306	ZA 7305198	Α	1,9740731		19730731
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112-277604	1.97208	ZA 7305199	Α	19740828	ZA 1973-5199	19730731
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					US 1972-277604	19720801
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		HU 168197	P	19760328	HU 1973-DU207	19730731
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					US 1973-369740	19730613
• •	•	GB 1430277	Α	19760331	GB 1973-36499	19730801
			•		US 1972-277604	19720801
					US 1973-369740	19730613
		GB 1430278	Α	19760331	GB 1975-35001	19730801
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	-PI	DE 2339012	A1	19740214	DE 1973-2339012	19730801
	-FI	DE 2339012	AI	19/40214	US 1972-277604	19720801
					US 1973-369740	19730613
		US 3919423	A	19751111	US 1973-369740	19730613
		05 3313423	11	13,31111	US 1972-277604	19720801
		JP 49075726	A2	19740722	JP 1973-85033	19730730
		11 110 70.720			US 1972-277604	19720801
					US 1973-369740	19730613
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					US 1973-369740	19730613
		ES 417393	A1	19760716	ES 1973-417393	19730730
					US 1972-277604	19720801
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Patel <11/9/2003>

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		JP	49066830	A2	19740628	JP 1973-85034	19730730
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						US 1973-366877	19730604
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						US 1973-366877	19730604
		ES	417395	<b>A</b> 1	19760716	ES 1973-417395	19730730
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						US 1973-366877	19730604
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	•			US 1972-277604	19720801	
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	ZA 7305198	Α	19740731	ZA 1973-5198	19730731	
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	DD 107381	С	19740812	DD 1973-170575	19730731	
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	ZA 7305199	А	19740828	ZA 1973-5199	19730731	
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	CA 1000277	A1	19761123	CA 1973-177819	19730731	
	-			US 1973-366877	19730604	
	CS 174216	P	19770331	CS 1973-5451	19730731	
				US 1973-366877	19730604	
	BE 803099	A1	19731203	BE 1973-134133	19730801	
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				US 1973-366877	19730604	
	AT 7306767	70.	19750615	AT 1973-6767	19730801	
		A	19760412	AI 13/3 0/0/	13730001	
•	AT 328793	В	19/00412	US 1973-366877	19730604	
	CD 1404600		10760011			
	GB 1424602	А	19760211	GB 1973-36500	19730801	
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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 3987171	Α	19761019	US 1975-565853	19750407	
				US 1973-366877	19730604	
				US 1974-443198	19740219	
	HU 168198	P	19760328	HU 1973-DU208	19730731	
				us 1973-366877	19730604	
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	CA 10002//	n.	13701123	US 1973-366877	19730604	
	CS 174216	P	19770331	CS 1973-5451	19730731	
	CS 1/4210	ŗ	19110331	US 1973-366877	19730604	
	P. 3206363		10750615		19730801	
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••				US 1973-366877	19730604	
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	(prepn. and		ation of)			
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FUV	JEJIE 4J J CAE	200				

Patel <11/9/2003>

CN Acetamide, N-(3-amino-2-quinoxalinyl)- (9CI) (CA INDEX NAME)

IMINAY MAME)

IT 6640-47-7P 52312-40-0P 52312-41-1P

52312-42-2P

RL:-RET (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclization with anhydrides)

RN 6640-47-7 CAPLUS

CN 2,3-Quinoxalinediamine (9CI) (CA INDEX NAME)

RN 52312-40-0 CAPLUS

CN 2,3-Quinoxalinediamine, 6-chloro- (9CI) (CA INDEX NAME)

RN 52312-41-1 CAPLUS

CN 2,3-Quinoxalinediamine, 6-fluoro- (9CI) (CA INDEX NAME)

RN 52312-42-2 CAPLUS

CN 2,3-Quinoxalinediamine, 6-bromo- (9CI) (CA INDEX NAME)

Patel

DN 127:99609

FATE Oral dosage form new animal drugs; sulfaquinoxaline drinking water COM-NOTIVAL GS -- Food and Drug Administration, Rockville, MD, 20855, USA

1980112Bederal Register (1997), 62(135), 37712, 15 Jul 1997

HY, CA, CH, CN, CUÇODEN: DFEREAC; ISSN: 0097-6326

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967-80-6, Sulfaquinoxaline sodium IT

HID, FI, HIRLESBACH(Biological activity or effector, except adverse); BSU (Bi www.study, unclassified); THU (Therapeutic use); BIOL (Biological study)

(Uses)

A 1995 Flat (stds. for sulfaquinoxaline sodium drinking water for control 70027730 coccidiosis and acute fowl cholera and typhoid in chickens and

...RN 967-80-6 CAPLUS

CN Benzenesulfonamide, 4-amino-N-2-quinoxalinyl-, monosodium salt (9

INDEX NAME)



🕨 Na

The Food and Drug Administration (FDA) is amending the animal drug regulations to reflect approval of a supplemental new animal drug application (NADA) filed by Solvay Animal Health, Inc. The supplemental NADA provides for revised conditions of use of 28.62% sulfaquinoxaline sodium in the drinking water of chickens and turkeys for control of coccidiosis, acute fowl cholera, and fowl typhoid, to reflect compliance with the results of the National Academy of Sciences/National Research Council (NAS/NRC), Drug Efficacy Study Implementation (DESI) evaluation of the product and FDA's conclusions based on that evaluation.

- T.3 ANSWER 321 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN
- 1997:467735 CAPLUS ΑN
- DN 127:95295
- Preparation of 3-aminoquinoxaline-2-one compounds having activity at the TΙ glycine binding site of the N-methyl-D-aspartate (NMDA)-receptor
- TN Bata, Imre; Batori, Sandor; Bence, Judit; Bocskei, Zsolt; Csikos, Eva; Erdo, Sandor; Gonczi, Csaba; Hermecz, Istvan; Heja, Gergely; Lakics, Viktor; Majlath, Csilla; Molnar, Peter; Podanyi, Benjamin; Ritz, Imola; Santane, Csutor Andrea; Szokene, Szappanos Andrea; Szvoboda, Gyorgyne; et
- Chinoin Gyogyszer Es Vegyeszeti Termekek Gyara Rt.To U. 1-5h-1045 PA Budapest, Hung.; Batori, Sandor; Bence, Judit
- PCT Int. Appl., 30 pp. SO CODEN: PIXXD2
- DTPatent
- English LA

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OS MARPAT 127:95295

IT 192075-86-8P 192075-87-9P 192075-88-0P 192075-89-1P 192075-90-4P 192075-91-5P 192075-92-6P 192075-93-7P 192076-03-2P 192076-04-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminoquinoxalineone compds. having activity at glycine binding site of NMDA receptor as disease therapy) 192075-86-8 CAPLUS

RN

2,3-Quinoxalinediamine, 6,7-dichloro- (9CI) (CA INDEX NAME)

RN 192075-87-9 CAPLUS

CN 2,3-Quinoxalinediamine, 6-chloro-7-(2,3-dichlorophenoxy)- (9CI) (CA INDEX NAME)

$$C1$$
 $O$ 
 $N$ 
 $NH_2$ 
 $NH_2$ 

RN 192075-88-0 CAPLUS

CN 2,3-Quinoxalinediamine, 5,7-dichloro- (9CI) (CA INDEX NAME)

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Page 834

n, lamino) - (9C1) (CA ledex NH2 NH2 NH2

RN 192075-89-1 CAPLUS

CN Thiocyanic acid, 2,3-diamino-7-chloro-6-quinoxalinyl ester (9CI) (CA INDEX NAME)

(trilituoromounyi) - (9%1) (ta

RN 192075-90-4 CAPLUS

CN Thiocyanic acid, 2,3-diamino-7-fluoro-6-quinoxalinyl ester (9CI) (CA INDEX NAME)

NC-S NH2

RN 192075-91-5 CAPLUS
CN 2(1H)-Quinoxalinethione, 3-amino-6-chloro-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)

 $F_3C$  N N N N N N

RN 192075-92-6 CAPLUS
CN 2(1H)-Quinoxalinethione, 6-chloro-3-(methylamino)-7-(trifluoromethyl)(9CI) (CA INDEX NAME)

F3C H N S

RN 192075-93-7 CAPLUS

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GNA 「2 (平計) - Quinoxalinethione, 6,7-dichloro-3-(phenylamino) - (9CI) 。 (CA: INDEX NAME)

C1 NHPh

RN 192076-03-2 CAPLUS

CN = -12(1H) = Quinoxalinethione, 3-amino-7-chloro-6-(trifluoromethyl) - (9CI) (CI
INDEX NAME)

F3C NH2

RN 192076-04-3 CAPLUS
CN 2(1H)-Quinoxalinethione, 7-chloro-3-(methylamino)-6-(trifluoromethyl)(9CI) (CA INDEX NAME)

F3C NHMe

GI

Ι

The invention relates to compds. of general formula (I; Z1 = hydrogen, hydroxy, C1-4 alkyl, C7-9 phenylalkyl, optionally substituted Ph, CO2-C1-4 alkyl, C2-14 acyl, C1-4 alkylsulfonyl, trifluoromethyl-sulfonyl, optionally substituted benzoyl, optionally substituted phenyl-sulfonyl group; Y1 = hydrogen, or optionally substituted amino group, or Y1 and Z1 form together a CO2 group, where Y2 and Z2 mean together a valency bond, or Y1 and Z2 mean together a valency bond, and at the same time Z2 = hydrogen, hydroxy, C1-4 alkyl,

Patel

C7-9 phenylalkyl, optionally substituted Ph, CO2C1-4 alkyl, C2-4 alkylsulfonyl, trifluoromethyl-sulfonyl, optionally substituted benzoyl, optionally substituted phenyl-sulfonyl group; X1 and X2 mean together O, or \$, or X1 = hydrogen, NHR4 or WR5 groups, and at the same time X2 = hydrogen, or X2 and X3 together form a valency bond; X3 = hydrogen, C1-4, C7-9 phenylalkyl, optionally substituted Ph; R1, R2 = hydrogen, halogen, Cl-4 alkyl, trifluoromethyl, cyano, mercapto or sulfonylamido group, R3 = hydrogen or nitro group; R4 = hydrogen or hydroxy group; R5 = hydrogen, C1-4 alkyl, C7-9 phenylalkyl group; W = oxygen or sulfur; some provisogiven) and salts, tautomeric forms and N-oxides thereof. They show a significant activity at the glycine binding site of the NMDA-receptor and therefore may have a significant neuroprotective effect which may play a therapeutic role in the treatment of Alzheimer disease, stroke, epilepsy, AIDS, and Parkinson's disease. 3-Lauroylamino-6,7-dichloro-8nitroquinoxaline-2-one showed 54 IC50 of .mu.g/mL for inhibiting the binding of [3H]dichlorokinurenic acid (DCK) to homogenized rat cerebellum and brain stem (J. Pharma. Pharmacol., 44, 812-816, 1992) vs. 4,000 nM for 6-trifluoromethylquinoxaline-2,3-dione.

-- L3 ANSWER 322 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:453297 CAPLUS

DN 127:128671

TI Silver halide color photographic material and image formation

IN Makuta, Toshiyuki; Nakamura, Takemare

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 65 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	JP 09152695	A2	19970610	JP 1995-334202	19951130
				JP 1995-334202	19951130

IT 192387-91-0

RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)

(photog. paper contg. reducing agent, diffusible coupler, and mordant)

RN 192387-91-0 CAPLUS

CN Hydrazinecarboxamide, 2-[3-(methylsulfonyl)-2-quinoxalinyl]-N-octadecyl-(9CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

AB The title material, comprising a support coated with .gtoreq.1 photog. constitutive layers, contains .gtoreq.1 reducing agent I (C.alpha. = C atoms; Z = carbamoyl, acyl, alkoxycarbonyl, aryloxycarbonyl; Q = atoms forming an unsatd. ring along with C.alpha.) which reacts with a coupler to form a dye, .gtoreq.1 diffusible dye-forming coupler, and .gtoreq.1

- (9CI) (CADNINDE 30125028

TI Fluorinated heterocycles: II. Synthesis of quinoxaline

1,4-dioxides

AU Kotovskaya, S. K.; Charushin, V. N.; Chupakhin, O. N.; Kozhevnikova, E. O.

CS Ural State Technical University, Yekaterinburg, 620002, Russia

SO Russian Journal of Organic Chemistry (Translation of Zhurnal Organicheskoi Khimii) (1998), 34(3), 369-374 CODEN: RJOCEQ; ISSN: 1070-4280

PB MAIK Nauka/Interperiodica Publishing

DT Journal

LA English

IT 163777-39-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of quinoxaline dioxides)

RN 163777-39-7 CAPLUS

CN 2-Quinoxalinecarbonitrile, 3-amino-6,7-difluoro-, 1,4-dioxide (9CI) (CA\_\_\_\_\_INDEX NAME)

F NH2

(3.catalot. [604]) and

AB 7-Amino-6-fluoroquinoxaline 1,4-dioxides have been synthesized by reaction of 5,6-difluorobenzofuroxan with enamines derived from cycloalkanones and with malononitrile. The transformation of 5,6-difluorobenzofuroxan into quinoxaline 1,4-dioxides in the presence of cycloalkenylamines is accompanied by replacement of the 6-fluoro atom by the amine residue.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 33 OF 130 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:577345 CAPLUS

DN 129:224913

TI Altering the Balance between Ligand-Based Radical Anion Formation and Dechelation in Electrochemically Reduced Binuclear Copper(I) Complexes: A Resonance Raman Spectroelectrochemical Study

AU Page, Simon E.; Gordon, Keith C.; Burrell, Anthony K.

CS Department of Chemistry, University of Otago, Dunedin, N. Z.

SO Inorganic Chemistry (1998), 37(17), 4452-4459 CODEN: INOCAJ; ISSN: 0020-1669

PB American Chemical Society

DT Journal

LA English

IT 212312-62-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and complexation with copper)

RN 212312-62-4 CAPLUS

<11/14/2003>

(CA INDEN MACEinoxaline, 6,7-dichloro-2,3-di-2-quinolinyl- (9CI) (CA INDEX NAME)

AB

cc.(Dfd), and

The electrochem. and spectral properties of mono- and binuclear complexes with bridging ligands based on 2,3-di(2-quinoly1)quinoxaline are reported. The ligands are 2,3-di(2-quinolyl)quinoxaline (dqq), 6,7-dimethyl-2,3-di(2-quinolyl)quinoxaline (dqqMe2), and 6,7-dichloro-2,3-di(2-quinoly1)quinoxaline (dqqCl2). The complexes are [Cu(dqq)(PPh3)2]BF4, 1.cntdot.[BF4]; [Cu(dqqMe2)(PPh3)2]BF4, 2.cntdot.[BF4]; [Cu(dqqCl2)(PPh3)2]BF4, 3.cntdot.[BF4]; [(PPh3)2Cu(dqq)Cu(PPh3)2](BF4)2, 4.cntdot.[BF4]2; [(PPh3)2Cu(dqqMe2)Cu(PPh3)2](BF4)2, 5.cntdot.[BF4]2; [(PPh3)2Cu(dqqCl2)Cu(PPh3)2](BF4)2, 6.cntdot.[BF4]2. The mononuclear complexes reduce at the metal and dechelate, as evidenced by UV/visible spectroelectrochem. Redn. of the binuclear complexes results in ligand-based radical anion formation for 4 and 6 but decompn. of 5 to 2. The redn. species are identified using resonance Raman spectroscopy. structures of [Cu(PPh3)2(C26H14Cl2N4)][BF4] (3.cntdot.[BF4]) and [-{Cu(PPh3)2)2(C26H14Cl2N4)][BF4]2.cntdot.2CH2Cl2 (6.cntdot.[BF4]2) were detd. by single-crystal x-ray diffraction. 3.cntdot.[BF4] crystd. in the detail of the control of detd. by single-crystal x-ray diffraction. 3.cntdot.[BF4] crystd. in the monoclinic space group P.hivin.1 with a 10.956(2), b 15.278(3), c 16.032(3) .ANG., .alpha. 100.342(8), .beta. 95.291(13), .gamma. 93.968(12).degree., Z = 2, .rho.calcd = 1.431 g/cm3, and R(Fo) = 0.0589. 6.cntdot.[BF4]2 crystd. in the monoclinic space group C2/c with a 21.295(4), b 24.322(5), c 20.034(4) .ANG., .beta. 112.64(3).degree., Z = 8, .rho.calcd = 1.486 g/cm3, and R(Fo) = 0.0422. THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 49

ANSWER 34 OF 130 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:550899 CAPLUS

DN 129:276185

Synthesis of imidazo[4,5-b]quinoxaline ribonucleosides as linear TI dimensional analogs of antiviral polyhalogenated benzimidazole ribonucleosides

ALL CITATIONS AVAILABLE IN THE RE FORMAT

Zhu, Zhijian; Saluja, Sunita; Drach, John C.; Townsend, Leroy B. ΑU

Department of Chemistry, University of Michigan, Ann Arbor, MI, 48109-1065, USA

Journal of the Chinese Chemical Society (Taipei) (1998), 45(4), 465-474 SO CODEN: JCCTAC; ISSN: 0009-4536

Chinese Chemical Society PB

DΤ Journal

LA English

IT 192075-86-8P

<11/14/2003>

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RN 55817-20-4 CAPLUS

ISCN 0412-Quinoxalinol, 7-chloro-6-fluoro-3-mercapto-, 1,4-dioxide (9CI) (CA : 0.000 (PT) (CA)

...GI.....For diagram(s), see printed CA Issue.

ABJ: Mercaptoquinoxaline di-N-oxides I (R = Me, NH2, OH; R1 and R2 = H, C1, 197807 OEt, Me, F, CF3) were prepd. by treating the cyanoquinoxaline di-N-oxides 197807 IVI with aq. Na2S.9H2O or NaSH. II were prepd. by treating benzofuroxans with methylisoxazoles, NCCH2CO2Me, CH2(CN)2. I (R = Me, NH2, OH, R1 = R2 transfer H; R = Me, R1 = C1, OEt, R2 = H; R = Me, R1 = H, R2 = C1, OEt) had bactericidal min. inhibitory concns. of 50-128 .gamma./ml against Escherichia coli A261 and 100-128 .gamma./ml Staphylococcus aureus 133. I (R = Me, R1 = R2 = H) was S-methylated.

- L4 ANSWER 225 OF 250 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1975:156377 CAPLUS
- DN 82:156377
- TI Piperazinyl quinoxalines
- IN Engelhard, Edward L.; Lumma, William C., Jr.; Saari, Walfred S.
- PA Merck and Co., Inc.
- SO Ger. Offen., 36 pp. CODEN: GWXXBX
- DT Patent
- LA German
- FAN.CNT 1

	V1.1 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2433397	A1	19750206	DE 1974-2433397	19740711
				US 1973-379022	19730713
				US 1974-465381	19740429
	FI 7401939	Α	19750114	FI 1974-1939	19740625
				US 1973-379022	19730713
				US 1974-465381	19740429
	DK 7403426	Α	19750303	DK 1974-3426	19740626

Patel <11/14/2003>

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19740627	SE 7408486	·· A	19750114	SE 1974-8486 19740627
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: 7/12/12/1	AU 7470731	A1	19760108	AU 1974-70731 19740702 ·
				US 1973-379022 19730713
				US 1974-465381 19740429
	GB 1440722	Α	19760623	GB 1974-30176 19740708
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•				US 1974-465381 19740429
	ES 428107	A1	19761116	ES 1974-428107 19740709
1 1 2 2 2 2 3 3 4 4 4 4 4 4 4 4 4 4 4 4 4 4	•			us 1973-379022 19730713
			•	US 1974-465381 19740429
	FR 2236499	A1	19750207	FR 1974-24114 19740711
117111711 -	*			us 1973-379022 19730713
				US 1974-465381 19740429
	DD 112127	C	19750320	DD 1974-179871 19740711
				us 1973-379022 19730713
				us 1974-465381 19740429
	BE 817608	A1	19750113	BE 1974-146519 19740712
in the second filter				us 1973-379022 19730713
10340215	ZA 7404466	Α	19760225	ZA 1974-4466 19740712
1 1 / 51 / 7 / 5				us 1973-379022 19730713
1 m / ## 2 / 2 /	СН 605919	Α	19781013	CH 1974-9648 19740712
			•	us 1973-379022 19730713
				US 1974-465381 19740429
	JP 50037791	A2	19750408	JP 1974-79774 19740713
				us 1973-379022 19730713
				US 1974-465381 19740429

IT 55686-52-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 55686-52-7 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

C1 N NH

HCl

Patel

<11/14/2003>

- AB ---2-Amino-3-chloroquinoxaline (I, R = NH2, R1 = C1) was prepd. by-bubbling NH3 gas into a formamide soln. of I (R = R1 = C1). Addn. of NH4Cl into the latter reaction mixt. gave I (R = R1 = NH2).

  Bis-(aminoquinoxalinyl) amine II was obtained by bubbling NH3 at a higher
  - Bis-(aminoquinoxalinyl) amine II was obtained by bubbling NH3 at a higher temp. into a formamide soln. of I (R = Rl = Cl). The oxidn. of dihydrotetraazanaphthacene III with KMnO4 gave
- diffydrotetraazanthracenedione IV. Some discrepancies in the m.p. data for the known compds. are ascribed to the formation of intra- or intermol condensation products.
  - L3 ANSWER 589 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN
  - AN 1990:179028 CAPLUS
  - DN 112:179028
  - TI Imidazoquinoxalinium salts as intermediates for dyes
  - IN Inagaki, Yoshio; Adachi, Keiichi
  - PA Fuji Photo Film Co., Ltd., Japan
  - SO Jpn. Kokai Tokkyo Koho, 5 pp. CODEN: JKXXAF
  - DT Patent
  - LA Japanese
  - FAN.CNT 1

FAN. CNT	T		•		
PA	TENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP	01261389	A2	19891018	JP 1988-88379	19880411
JP	06081755	В4	19941019		
				TP 1988-88379	19880411

- OS MARPAT 112:179028
- IT 126444-88-0 126444-89-1 126444-90-4 126444-91-5 126444-92-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with acetic anhydride and toluenesulfonic acid)

- RN 126444-88-0 CAPLUS
- CN 2,3-Quinoxalinediamine, N,N'-bis(2-methylpropyl)- (9CI) (CA INDEX NAME)

- RN 126444-89-1 CAPLUS
- CN 2,3-Quinoxalinediamine, N,N'-bis(3-methylbutyl)- (9CI) (CA INDEX NAME)

<11/9/2003>

th improved soly, in org. es (isobutylamino)quinoxaline,

word for 2 hato give 5-g-F (R.=

LT (24 g) in which we NH-CH2-CH2-CHMe2 ling for 1 h to give 14 g crude with 5 g index 100 m in the control of t

NH-CH2-CH2-CHMe2

oinexalinium perchlorate, a

126444-90-4 CAPLUS

2,3-Quinoxalinediamine, N,N'-bis(2-methylbutyl)- (9CI) (CA INDEX NAME) PAGE WEE BEEF \_ CN

Me NH-CH2-CH-Et NH-CH2-CH-Et

> RN 126444-91-5 CAPLUS

2,3-Quinoxalinediamine, N,N'-bis(2-ethylhexyl)- (9CI) (CA INDEX NAME) CN

Εt NH-CH2-CH-Bu-n Εt NH-CH2-CH-Bu-n

RN126444-92-6 CAPLUS

CN 2,3-Quinoxalinediamine, 6-chloro-N,N'-bis(2-methylpropyl)- (9CI) (CA INDEX NAME)

GI

The title compds. I (R = C4-8 branched alkyl; R1, R2 = H, C1, Me; X =

Patel

aved soly. in org.anion) which can be converted into dyes with improved soly. in org. any(amino)quinoxaline#wents, are prepd. A mixt. of 7 g 2,3-bis(isobutylamino)quinoxaline, 2 h to give 5-g 4.8Rg=p-MeC6H4SO3H.H2O, and Ac2O was refluxed for 2 h to give 5-g-I (R-g) in pyridine wcH2CHMe2, R1 = R2 = H, X = tosylate) (II). II (24 g) in pyridine was 1 h to give 14 gtpented with (MeO)2CHCH2CH(OMe)2 under heating for 1 h to give 14 g crude 5-4H C104- in MeOH was treated with 5 g Bu4N+ C104- in MeOH to 2 ylldam) 1.3 give 4 g 2-[5-(1,3-isobutylimidazo[4,5-b]quinoxalin-2-ylidene)-1,3-intum perchlorate, pentadienyl]-1,3-diisobutylimidazo[4,5-b]quinoxalinium perchlorate, a cyanine dye.

- L3 ANSWER 590 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1990:178887 CAPLUS
- DN 112:178887

5717

- TIBLE VILLETTIBLE Reactions of furazano[3,4-b] quinoxalines with phosphorus ylides and an unusual oxidative transformation of the transylidation product
  - AU Gallos, John K.; Lianis, Pygmalion S.; Nicolaides, Demetrios N.
  - CS Dep. Chem., Univ. Thessaloniki, Thessaloniki, 54006, Greece
  - SO Journal of Heterocyclic Chemistry (1989), 26(5), 1415-20. CODEN: JHTCAD; ISSN: 0022-152X
  - DT Journal
  - LA English
  - OS CASREACT 112:178887
  - IT 126448-31-5P
    - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
    - (prepn. and oxidative ring closure of, furoxanoquinoxaline from)
  - RN 126448-31-5 CAPLUS
  - ·CN·····2;3-Quinoxalinedione, 6-chloro-1,4-dihydro-, dioxime (9CI) (CA INDEX NAME)

GΙ

values obtained FO mu.M). Principal component anal. of the IC50 values obtained for call lines testernization of cell proliferation revealed that the cell lines tested can at sensitivities be winded into three main families showing different sensitivities toward symphoma, and Heltheldompds. in our series (i, CCRF-CEM, Burkitt's lymphoma, and HeLa; ii, HT-29; and iii, MEXF 276 L).

RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 293 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:26198 CAPLUS

DN 128:56874

TI Retention behavior of multiple sulfonamides in various liquid chromatographic systems

AU Botsoglou, N. A.; Fletouris, D. J.; Simeonidou, E. J.; Psomas, I. E. CS School Veterinary Medicine, Aristotle University, Thessaloniki, 54006,

Greece

SO Chromatographia (1997), 46(9/10), 477-482 CODEN: CHRGB7; ISSN: 0009-5893

PB Friedrich Vieweg & Sohn Verlagsgesellschaft mbH

DT Journal

LA English

IT 59-40-5, Sulfaquinoxaline

RL: ANT (Analyte); PEP (Physical, engineering or chemical process); ANST (Analytical study); PROC (Process)

(liq. chromatog. sepn. of sulfonamides and processing parameter effects on their retention behavior)

RN 59-40-5 CAPLUS

CN Benzenesulfonamide, 4-amino-N-2-quinoxalinyl- (9CI) (CA INDEX NAME)

- AB The retention behavior of a series of sulfonamides differin and polarity has been studied under various chromatog. conc influence on retention of inorg. buffers and of neg. or pos pairing ions has been examd., as has the effect of column t results showed that marked improvements in selectivity with elution order can be achieved by changing the ionic state of the solutes, the concn. of the inorg. buffer, the type, and concn. of the pairing ion, and the temp. of the column.
- L3 ANSWER 294 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1997:792615 CAPLUS
- DN 128:75375
- TI Tetracyanoquinodimethanes fused with a [1,2,5]chalcogenadiazole ring
- AU Suzuki, Takanori; Yamashita, Yoshiro; Fukushima, Takanori; Miyashi, Tustomu
- CS Division of Chemistry, Graduate School of Science, Hokkaido University, Sapporo, 060, Japan
- SO Molecular Crystals and Liquid Crystals Science and Technology, Section A: Molecular Crystals and Liquid Crystals (1997), 296, 165-180

<11/9/2003>

Patel

105 p

09483504.8 Page 781

CODEN: MCLCE9; ISSN: 1058-725X

PB Gordon & Breach Science Publishers

DT Journal .

LA English

IT 6640-47-7, 2,3-Quinoxalinediamine

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. and properties of (benzoxadiazolediylidene)propanedinitrile and analogs)

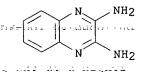
6640-47-7 CAPLUS

RN CN

RN

1.1

2,3-Quinoxalinediamine (9CI) (CA INDEX NAME)



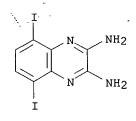
IT 200815-10-7P

RLa RCT: (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and properties of (benzoxadiazolediylidene)propanedinitrile and analogs)

200815-10-7 CAPLUS

2,3-Quinoxalinediamine, 5,8-diiodo- (9CI) (CA INDEX NAME)



AB The title compds. were designed as novel electron acceptors and prepd. from 4,7-dihalobenzo[1,2,5]chalcogenadiazoles in two steps. Comparison of X-ray structures indicated that the packing arrangements of the different chalcogenadiazole derivs. are quite different from each other in spite of their similar mol. geometries. In the crystal of a selenadiazole deriv. are obsd. very short intermol. contacts between Se and N to form infinite "sheet"-like network, whereas coplanar dyads are formed by C-H.cntdot..cntdot.N.tplbond.C hydrogen bonds in an oxadiazole and a thiadiazole deriv. These acceptors afforded stable anion-radical salts upon one-electron redn. and gave highly conductive charge-transfer complexes with tetrathiafulvalene derivs.

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L3 ANSWER 295 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1997:789621 CAPLUS
- DN 128:7396
- TI Semipreparative separation and fractionation of sulfonamides via supercritical fluid chromatography

<11/9/2003>

Andrew Control

of the 1050 values porgatiful fation of 183 g PhN (CH2CH2OH) 2 with 4400 g ethylene oxide, that the cell linesacesylation, and reaction with 306.8 g POCl3, 202.5 g DMF, and 20.4 g Ac20 consistivate p-oche6H4N[(CH2CH2O) 50Ac]2 (I). Heating I 1665, 2-H2NC6H4SH 37.6; kill's lymphoma, and HACOH 123 g at 190-200.degree. for 4 h with distn. of AcOH gave a benzothiazole deriv. of I with UV absorption max. 362 nm, which emitted blue fluorescence. Use of this compd. to identify dyed wool is described. 100 100 1

ANSWER 558 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1991:470795 CAPLUS

DN 115:70795

ACS on STA

Substituent effects on the luminescence of 2-substituted ΤI 3-methylquinoxalines in poly(vinyl alcohol) films

AU CS Gryczynski, Z.; Kawski, Alfons

Inst. Exp. Phys., Univ. Gdansk, Gdansk, 80-952, Pol.

20 Zeitschrift fuer Naturforschung, A: Physical Sciences (1991), 46(4), 304 - 6

CODEN: ZNASEI; ISSN: 0932-0784

DTJournal

LΑ English

)IT 34972-22-0, 2-Amino-3-methylquinoxaline

RL: PRP (Properties)

(luminescence of, in PVA films, temp. dependence of)

RN 34972-22-0 CAPLUS

2-Quinoxalinamine, 3-methyl- (9CI) (CA INDEX NAME) CN

- AB The effect of 2-substitutions (NH2, O, MeO, Cl, Br) in 3-methylquinoxalines on the fluorescence and phosphorescence band position and intensity at 293 K, and the temp. dependence of their fluorescence and phosphorescence quantum yields were investigated in poly(vinyl alc.)
- ANSWER 559 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN Li3
- AN 1991:247238 CAPLUS
- DN 114:247238
- Reactions of 2,3-bishydroxyimino-1,2,3,4-tetrahydroquinoxalines and 2,3-bishydroxyimino-2,3-dihydro-4H-1,4-benzoxazines with ethyl chloroformate
- Varella, Evangelia A.; Nicolaides, Demetrios N. AU
- Dep. Chem., Aristotelian Univ. Thessaloniki, Thessaloniki, 54006, Greece CS
- SO Journal of Heterocyclic Chemistry (1991), 28(2), 311-15 CODEN: JHTCAD; ISSN: 0022-152X
- DTJournal
- LA English
- OS CASREACT 114:247238
- IT4332-02-9 134021-61-7
  - RL: RCT (Reactant); RACT (Reactant or reagent) (cyclocondensation of, with Et chloroformate)
- RN 4332-02-9 CAPLUS
- 2,3-Quinoxalinedione, 1,4-dihydro-, dioxime (7CI, 8CI, 9CI) (CA INDEX

<11/9/2003>

GI

ation), PRUE (Peoparation)

$$R^1$$
 $R^2$ 
 $R^3$ 
 $R^3$ 
 $R^3$ 
 $R^3$ 

102(p)

AB The title compds. [I; R1, R2 = alkyl; R3 = H, alkyl; A = (un)substituted quinolinyl, benzothiazolyl, 3,4-dihydro-2(lH)-quinolinyl, indazolyl, 2-benzoxazolinyl, quinoxalinyl], useful as pharmaceuticals (no data), are prepd. A mixt. of 2.20 g 2,6-di-tert-butyl-1,4-benzoquinone and 4.33 g 3-aminoquinoline in C2H4Cl2 was refluxed for 20 h in the presence of TiCl4 to give 2.16 g 2,6-di-tert-butyl-4-(3-quinolylimino)-2,5-cyclohexadien-1-one which was stirred 1 h at room temp. in THF with addn. of aq. NaHS to give 2.00 g I (R1 = R2 = Me3C, R3 = H, A = 3-quinolinyl).

L3 ANSWER 637 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1988:492044 CAPLUS

DN 109:92044

TI The nitration of aminoquinoxalines

AU Poradowska, Henryka

CS Pol.

SO Zeszyty Naukowe Uniwersytetu Jagiellonskiego, Prace Chemiczne (1987), 30, 97-115

CODEN: ZUJCAQ; ISSN: 0373-0166

DT Journal

LA Polish

IT 5424-05-5, 2-Aminoquinoxaline 6640-47-7,

2,3-Diaminoquinoxaline

RL: RCT (Reactant); RACT (Reactant or reagent)
 (nitration of, regiochem. of)

RN 5424-05-5 CAPLUS

CN 2-Quinoxalinamine (9CI) (CA INDEX NAME)

RN 6640-47-7 CAPLUS

CN 2,3-Quinoxalinediamine (9CI) (CA INDEX NAME)

Patel

IT 90004-55-0P 115726-26-6P

THEF (Preparation); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(prepn. and spectra of)

₩ RN 90004-55-0 CAPLUS

N CN

2,3-Quinoxalinediamine, 6-nitro- (9CI) (CA INDEX NAME)

RN 115726-26-6 CAPLUS

CN 2-Quinoxalinamine, 6-nitro- (9CI) (CA INDEX NAME)

- The nitration reactions of aminoquinoxalines were carried out in concd. sulfuric acid. The substrates used were: 2-amino-, 2,3-diamino-, 5-amino-, 6-amino-, 6-amino-2-methyl-, 6-amino-3-methyl- and 6-amino-2,3-dimethylquinoxaline. The position of electrophilic substitution in the quinoxaline ring depends on the position of the amino group. 2-Amino- and 2,3-diaminoquinoxaline undergo C-nitration. The amino group at the 5 position facilitates C-dinitration at the 6 and 8 positions. In the case of 6-aminoquinoxaline derivs., N-nitration takes place independently of the presence or the absence of the Me groups in a pyrazine ring. The influence of sulfuric acid at 50.degree. on the behavior of N-nitroaminoquinoxalines was investigated. The rearrangement of substrates to aminonitroquinoxalines took place and the nitro group was introduced into the 5 position of quinoxaline. The IR, 1H-NMR and mass spectra were discussed.
- L3 ANSWER 638 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1988:482489 CAPLUS
- DN 109:82489
- TI Luminescence of neutral and protonated aminoquinoxalines
- AU Waluk, Jacek
- CS Inst. Phys. Chem., Pol. Acad. Sci., Warsaw, 01-224, Pol.
- SO Journal of Luminescence (1988), 40-41, 211-12 CODEN: JLUMA8; ISSN: 0022-2313

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09483504.8 Page 1862
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LA English

IT 59-40-5

RL: ANT (Analyte); ANST (Analytical study)
 (detn. of, in eggs and poultry)

RN 59-40-5 CAPLUS

CN Benzenesulfonamide, 4-amino-N-2-quinoxalinyl- (9CI) (CA INDEX NAME)

boxides in the presence of obstituted 3-plenary forinoxal One

AB A procedure for the detection and detn. of residues of sulfaquinoxaline (I) in eggs and poultry is described. I is a coccidiostat and can be incorporated in poultry feed at concns. up to 100 mg/kg. I is extd. from the sample with acetonitrile and, after a partition clean-up process, is hydrolyzed to 2-aminoquinoxaline. The trifluoroacetyl deriv. of this amine is a suitable compd. for gas chromatog. with electron-capture detection. The method is applicable to residues at concns. of 0.1-5 mg/kg. The method is capable of detecting I at much lower levels, but the corresponding extn. efficiency was not investigated.

Fr. C. D. J.J.

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L3 ANSWER 1081 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN

AN....1971:529758 CAPLUS

DN(0075:129758

-- - <u>115.</u>\_

770 001: 771 104463 Time Quinoxalines. XIV. Reaction of 2-substituted quinoxaline 4-oxide with

10710acetophenone

AU. ... Iijima, Chihoko; Hayashi, Eisaku

CSTAShizuoka Coll. Pharm., Shizuoka, Japan

SO Yakugaku Zasshi (1971), 91(7), 721-6

CODEN: YKKZAJ; ISSN: 0031-6903

DT Journal

LA Japanese

IT 33870-76-7P 33870-77-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

ARN 33870-76-7 CAPLUS

CN 2-Quinoxalinamine, 3-(1-methylethyl)- (9CI) (CA INDEX NAME)

#RN 33870-77-8 CAPLUS

CN 2-Quinoxalinamine, 3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

<11/9/2003>

For diagram(s), see printed CA Issue.

in the programme Addn. of PhAc to 2-substituted quinoxaline 4-oxides in the presence of Hed 3-phenacylquin WaNH21sin C6H6, under ice cooling, gave 2-substituted 3-phenacylquinoxaline ., OCHZEN). 4-oxides (I, R = Et, iso-Pr, tert-Bu, Ph, OMe, OEt, OCH2Ph).

> ANSWER 1082 OF 1398 CAPLUS COPYRIGHT 2003 ACS on STN L3

1971:510336 CAPLUS AN

DN 75:110336

TI 2-(Trifluoromethyl)quinoxaline di-N-oxides

ΙN Abushanab, Elie

PΑ Pfizer Inc.

Ger. Offen., 58 pp. SO

CODEN: GWXXBX

DTPatent

German LA

	LAN.	CNT	T				
** *****		PA'	TENT NO.	KIND	DATE	APPLICATION NO.	DATE
	ΡI	DE	2105112	Α	19710812	DE 1971-2105112	19710204
						US 1970-9041	19700205
		US	3752812	Α	19730814	US 1970-9041	19700205
100000		GB	1315524	Α	19730502	GB 1970-21671	19700505
1970020	35		•			US 1970-9041	19700205
1971020	34	CA	942308	A1	19740219	CA 1971-104463	19710204
	•					US 1970-9041	19700205
7971020	7 47	FR	2081491	A5	19711203	FR 1971-3944	19710205
		FR	2081491	В1	19750418		
						US 1970-9041	19700205
		JΡ	55029073	В4	19800731	JP 1971-4329	19710205
		-				US 1970-9041	19700205

IT 33574-93-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) 33574-93-5 CAPLUS

RN

Quinoxaline, 2-amino-3-(trifluoromethyl)-, 1,4-dioxide (8CI) (CA INDEX CN NAME)

For diagram(s), see printed CA Issue.

Patel